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## CLAIMS

We claim:

1. A compound represented by the formula:



wherein:

10  $X_1$  is -CH;

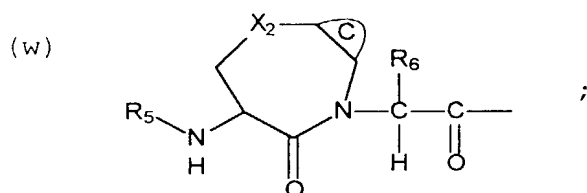
$g$  is 0 or 1;

each J is independently selected from the group consisting of -H, -OH, and -F, provided that when a first and second J are bound to a C and said first J is  
15 -OH, said second J is -H;

$m$  is 0, 1, or 2;

T is -OH, -CO-CO<sub>2</sub>H, -CO<sub>2</sub>H, or any bioisosteric replacement for -CO<sub>2</sub>H;

20  $R_1$  is selected from the group consisting of the following formulae, in which any ring may optionally be singly or multiply substituted at any carbon by  $Q_1$ , at any nitrogen by  $R_5$ , or at any atom by =O, -OH, -CO<sub>2</sub>H, or halogen; and any saturated ring may optionally be unsaturated at one or two bonds;



wherein each ring C is independently chosen from the group consisting of benzo, pyrido, thieno, pyrrolo, 5 furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl;

$R_3$  is:

$$\begin{array}{l}
\text{10} \quad \begin{array}{l}
-\text{CN}, \\
-\text{CH}=\text{CH}-\text{R}_9, \\
-\text{CH}=\text{N}-\text{O}-\text{R}_9, \\
-(\text{CH}_2)_{1-3}-\text{T}_1-\text{R}_9, \\
-\text{CJ}_2-\text{R}_9, \\
-\text{CO}-\text{R}_{13}, \text{ or} \\
\qquad\qquad\qquad / \text{R}_5
\end{array} \\
\text{15} \quad \begin{array}{l}
-\text{CO}-\text{CO}-\text{N} \\
\qquad\qquad\qquad \backslash \text{R}_{10};
\end{array}
\end{array}$$

each  $R_4$  is independently selected from the group consisting of:

20

-H,  
-Ar<sub>1</sub>,  
-R<sub>9</sub>,  
-T<sub>1</sub>-R<sub>9</sub>, and  
-(CH<sub>2</sub>)<sub>1,2,3</sub>-T<sub>1</sub>-R<sub>9</sub>;

each  $T_1$  is independently selected from the group  
25 consisting of:

$$\text{CH}=\text{CH}-, \\ -\text{O}-,$$

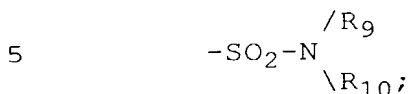
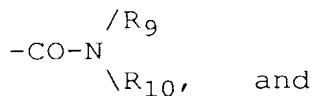
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-S-,  
 -SO-,  
 -SO<sub>2</sub>-,  
 -NR<sub>10</sub>-,  
 5      -NR<sub>10</sub>-CO-,  
         -CO-,  
         -O-CO-,  
         -CO-O-,  
         -CO-NR<sub>10</sub>-,  
 10      -O-CO-NR<sub>10</sub>-,  
         -NR<sub>10</sub>-CO-O-,  
         -NR<sub>10</sub>-CO-NR<sub>10</sub>-,  
         -SO<sub>2</sub>-NR<sub>10</sub>-,  
         -NR<sub>10</sub>-SO<sub>2</sub>-,      and  
 15      -NR<sub>10</sub>-SO<sub>2</sub>-NR<sub>10</sub>-;

each R<sub>5</sub> is independently selected from the group consisting of:

-H,  
 -Ar<sub>1</sub>,  
 20      -CO-Ar<sub>1</sub>,  
         -SO<sub>2</sub>-Ar<sub>1</sub>,  
         -CO-NH<sub>2</sub>,  
         -SO<sub>2</sub>-NH<sub>2</sub>,  
         -R<sub>9</sub>,  
 25      -CO-R<sub>9</sub>,  
         -CO-O-R<sub>9</sub>,  
         -SO<sub>2</sub>-R<sub>9</sub>,  
             /Ar<sub>1</sub>  
         -CO-N  
 30      \R<sub>10</sub>,  
             /Ar<sub>1</sub>  
         -SO<sub>2</sub>-N  
             \R<sub>10</sub>,

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R<sub>6</sub> is:

-H  
 -Ar<sub>1</sub>,  
 10      -R<sub>9</sub>,  
 -(CH<sub>2</sub>)<sub>1,2,3</sub>-T<sub>1</sub>-R<sub>9</sub>, or  
 an α-amino acid side chain residue;

each R<sub>9</sub> is a C<sub>1-6</sub> straight or branched alkyl group optionally singly or multiply substituted with -OH, -F, or =O and optionally substituted with one or two Ar<sub>1</sub> groups;

15

each R<sub>10</sub> is independently selected from the group consisting of -H or a C<sub>1-6</sub> straight or branched alkyl group;

each R<sub>13</sub> is independently selected from the group consisting of -Ar<sub>2</sub>, -R<sub>4</sub> and  $\begin{array}{c} -N-OH \\ \backslash \\ R_5; \end{array}$

20

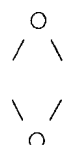
each Ar<sub>1</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, a cycloalkyl group which contains between 3 and 15 carbon atoms and between 1 and 3 rings, said cycloalkyl group being optionally benzofused, and a heterocycle group containing between 5 and 15 ring

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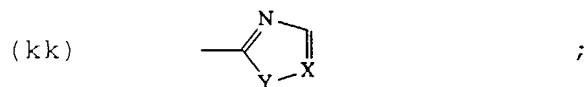
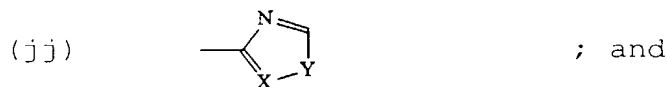
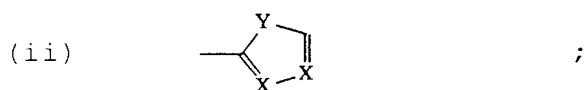
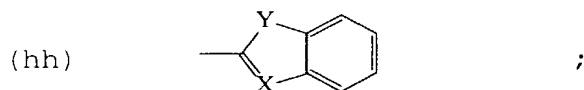
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atoms and between 1 and 3 rings, said heterocycle group containing at least one heteroatom group selected from -O-, -S-, -SO-, -SO<sub>2</sub>-, =N-, and -NH-, said heterocycle group optionally containing one or more double bonds,  
 5 said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted with -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN,

10 =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, , or -Q<sub>1</sub>;

each Ar<sub>2</sub> is independently selected from the  
 15 following group, in which any ring may optionally be singly or multiply substituted by -Q<sub>1</sub> and -Q<sub>2</sub>:





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$X_2$  is O,

$R_5$  is benzyloxycarbonyl, and

ring C is benzo,

then  $R_3$  cannot be  $-\text{CO}-R_{13}$  when:

5  $R_{13}$  is  $-\text{CH}_2-\text{O}-\text{Ar}_1$  and

$\text{Ar}_1$  is 1-phenyl-3-trifluoromethyl-  
pyrazole-5-yl wherein the phenyl is optionally  
substituted with a chlorine atom;

or when

10  $R_{13}$  is  $-\text{CH}_2-\text{O}-\text{CO}-\text{Ar}_1$ , wherein

$\text{Ar}_1$  is 2,6-dichlorophenyl.

2. The compound according to claim 1,

wherein:

$X_1$  is  $-\text{CH}$ ;

15

$g$  is 0;

$J$  is  $-\text{H}$ ;

$m$  is 0 or 1 and  $T$  is  $-\text{CO}-\text{CO}_2\text{H}$ , or any bioisosteric  
replacement for  $-\text{CO}_2\text{H}$ , or

20  $m$  is 1 and  $T$  is  $-\text{CO}_2\text{H}$ ;

ring C is benzo optionally substituted with  
 $-\text{C}_{1-3}$  alkyl,  $-\text{O}-\text{C}_{1-3}$  alkyl,  $-\text{Cl}$ ,  $-\text{F}$  or  $-\text{CF}_3$ ;

$R_5$  is:

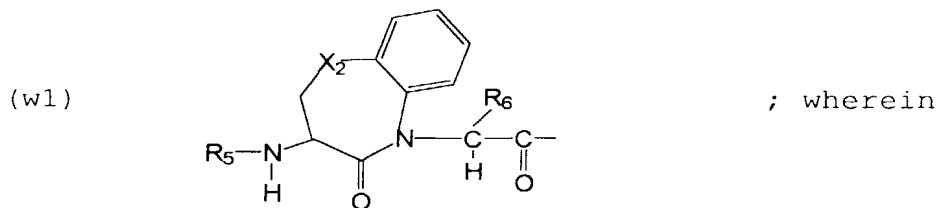
25  $-\text{CO}-\text{Ar}_1$   
 $-\text{SO}_2-\text{Ar}_1$ ,  
 $-\text{CO}-\text{NH}_2$ ,  
 $-\text{CO}-\text{NH}-\text{Ar}_1$   
 $-\text{CO}-R_9$ ,





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3. The compound according to claims 1 or 2,  
wherein the R<sub>1</sub> group is:



5

X<sub>2</sub> is:

-O- ,  
-S- ,  
-SO<sub>2</sub>-, or  
-NH-;

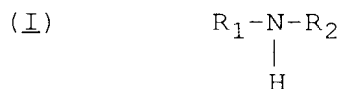
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optionally substituted with R<sub>5</sub> or Q<sub>1</sub> at X<sub>2</sub> when X<sub>2</sub>  
is -NH-; and

ring C is benzo substituted with -C<sub>1-3</sub> alkyl,  
-O-C<sub>1-3</sub> alkyl, -Cl, -F or -CF<sub>3</sub>.

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4. A compound represented by the formula:



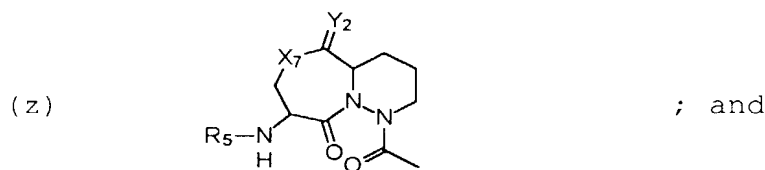
wherein:

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R<sub>1</sub> is selected from the group consisting of the  
following formulae:

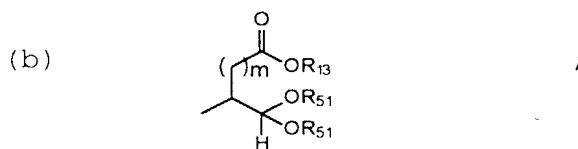
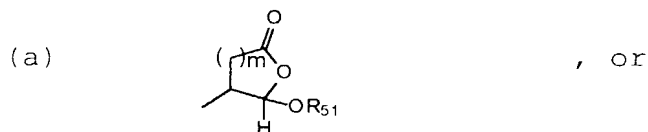


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ring C is chosen from the group consisting of  
benzo, pyrido, thieno, pyrrolo, furano, thiazolo,  
5 isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,  
cyclopentyl, and cyclohexyl;

R<sub>2</sub> is:



10 m is 1 or 2;

R<sub>5</sub> is selected from the group consisting of:

-C(O)-R<sub>10</sub>,  
-C(O)O-R<sub>9</sub>,  
15  $\begin{array}{c} \text{R}_{10} \\ | \\ \text{-C(O)-N} \\ | \\ \text{R}_{10} \end{array}$ ,  
-S(O)<sub>2</sub>-R<sub>9</sub>,  
20 -C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,

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each  $R_{10}$  is independently selected from the group consisting of -H,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

$R_{13}$  is selected from the group consisting of H,  $Ar_3$ , and a  $C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-CONH_2$ ,  $-OR_5$ ,  $-OH$ ,  $-OR_9$ , or  $-CO_2H$ ;

each  $R_{51}$  is independently selected from the group consisting of  $R_9$ ,  $-C(O)-R_9$ ,  $-C(O)-N(H)-R_9$ , or each  $R_{51}$  taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing -O-, -S-, or -NH-;

each  $R_{21}$  is independently selected from the group consisting of -H or a  $-C_{1-6}$  straight or branched alkyl group;

each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-,  $SO_2$ , =N-, and -NH-, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

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each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-NHR_9$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and



10 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

15 5. The compound according to claim 4, wherein  $R_5$  is selected from the group consisting of:

$-C(O)-R_{10}$ ,  
 $-C(O)O-R_9$ , and  
 $-C(O)-NH-R_{10}$ .

20 6. The compound according to claim 4, wherein  $R_5$  is selected from the group consisting of:

$-S(O)_2-R_9$ ,  
 $-S(O)_2-NH-R_{10}$ ,  
 $-C(O)-C(O)-R_{10}$ ,  
 25  $-R_9$ , and  
 $-C(O)-C(O)-OR_{10}$ .

7. The compound according to claims 5 or 6, wherein:

m is 1;

30

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R<sub>13</sub> is H or a C<sub>1-4</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, -OH, -OR<sub>9</sub>, -CO<sub>2</sub>H, wherein the R<sub>9</sub> is a C<sub>1-4</sub> branched or straight chain alkyl group; wherein Ar<sub>3</sub> is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q<sub>1</sub>;

R<sub>21</sub> is -H or -CH<sub>3</sub>;

R<sub>51</sub> is a C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein Ar<sub>3</sub> is phenyl, optionally substituted by -Q<sub>1</sub>;

each Ar<sub>3</sub> cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and

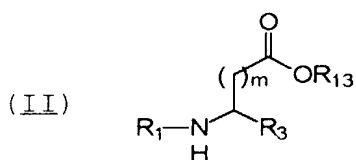


wherein each R<sub>9</sub> and R<sub>10</sub> are independently a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub> wherein Ar<sub>3</sub> is phenyl;

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provided that when  $-\text{Ar}_3$  is substituted with a  $\text{Q}_1$  group which comprises one or more additional  $-\text{Ar}_3$  groups, said additional  $-\text{Ar}_3$  groups are not substituted with another  $-\text{Ar}_3$ .

5 8. A compound represented by the formula:

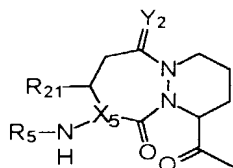


wherein:

$m$  is 1 or 2;

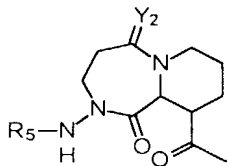
10  $\text{R}_1$  is selected from the group consisting of the following formulae:

(e10)



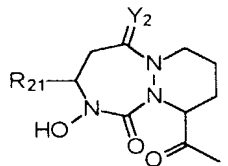
, wherein  $\text{X}_5$  is N;

(e11)



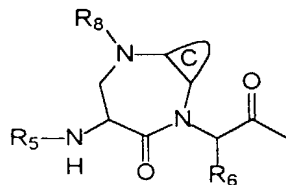
;

(e12)



;

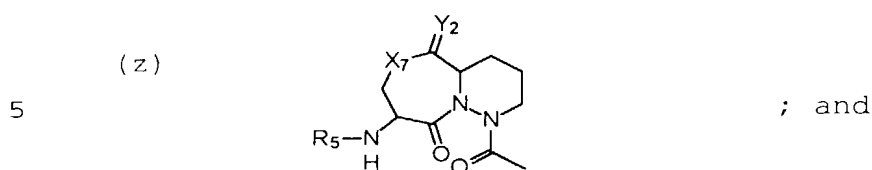
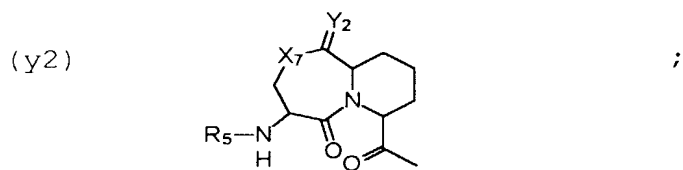
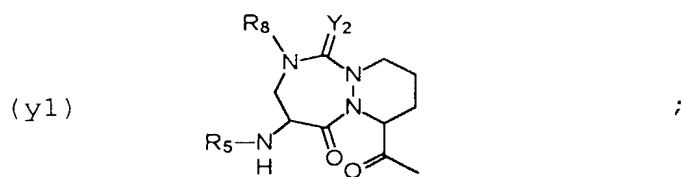
(w2)



;



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ring C is chosen from the group consisting of  
benzo, pyrido, thieno, pyrrolo, furano, thiazolo,  
isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,  
10 cyclopentyl, and cyclohexyl;

R<sub>3</sub> is selected from the group consisting of:

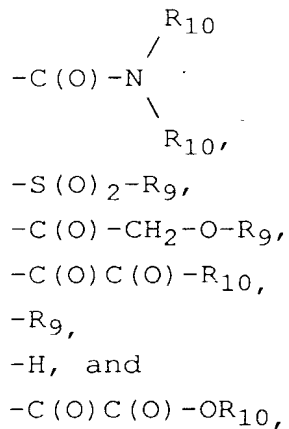
- CN,
- C(O)-H,
- C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>,
- 15 -C(O)-CH<sub>2</sub>-F,
- C=N-O-R<sub>9</sub>, and
- CO-Ar<sub>2</sub>;

R<sub>5</sub> is selected from the group consisting of:

- C(O)-R<sub>10</sub>,
- 20 -C(O)O-R<sub>9</sub>,

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$\text{Y}_2$  is  $\text{H}_2$  or  $\text{O}$ ;

$\text{X}_7$  is  $-\text{N}(\text{R}_8)-$  or  $-\text{O}-$ ;

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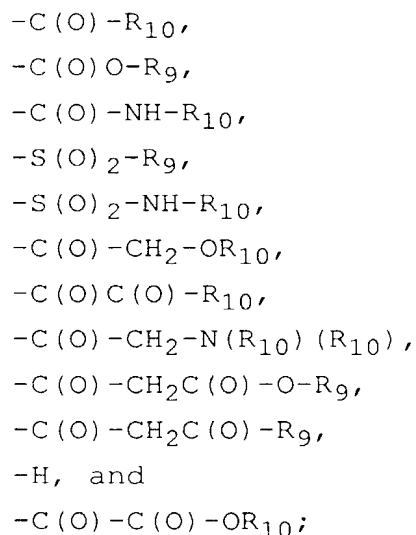
each  $\text{T}_1$  is independently selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ , and  $-\text{S}(\text{O})_2-$ ;

$\text{R}_6$  is selected from the group consisting of  $-\text{H}$  and  $-\text{CH}_3$ ;

20

$\text{R}_8$  is selected from the group consisting of:

25



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each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

5        each  $R_{10}$  is independently selected from the group consisting of  $-H$ ,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

10        each  $R_{11}$  is independently selected from the group consisting of:

$-Ar_4$ ,  
       $-(CH_2)_{1-3}-Ar_4$ ,  
       $-H$ , and

15         $-C(O)-Ar_4$ ;

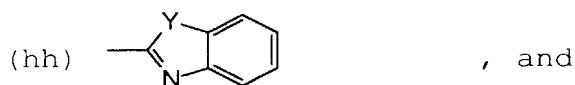
$R_{13}$  is selected from the group consisting of  $H$ ,  $Ar_3$ , and a  $C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-CONH_2$ ,  $-OR_5$ ,  $-OH$ ,  $-OR_9$ , or  $-CO_2H$ ;

20         $OR_{13}$  is optionally  $-N(H)-OH$ ;

      each  $R_{21}$  is independently selected from the group consisting of  $-H$  or a  $-C_{1-6}$  straight or branched alkyl group;

25         $Ar_2$  is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by  $-Q_1$  or phenyl, optionally substituted by  $Q_1$ :

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wherein each Y is independently selected from the  
 5 group consisting of O and S;

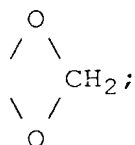
each Ar<sub>3</sub> is a cyclic group independently selected  
 from the set consisting of an aryl group which contains  
 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings  
 and an aromatic heterocycle group containing between 5  
 10 and 15 ring atoms and between 1 and 3 rings, said  
 heterocyclic group containing at least one heteroatom  
 group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-,  
 -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
 containing one or more double bonds, said heterocycle  
 15 group optionally comprising one or more aromatic rings,  
 and said cyclic group optionally being singly or  
 multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> is a cyclic group independently selected  
 from the set consisting of an aryl group which contains  
 20 6, 10, 12, or 14 carbon atoms and between 1 and 3  
 rings, and a heterocycle group containing between 5 and  
 15 ring atoms and between 1 and 3 rings, said  
 heterocyclic group containing at least one heteroatom  
 group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-,  
 25 -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
 containing one or more double bonds, said heterocycle  
 group optionally comprising one or more aromatic rings,  
 and said cyclic group optionally being singly or  
 multiply substituted by -Q<sub>1</sub>;

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each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN, =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and

5



10

provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub> group which comprises one or more additional -Ar<sub>3</sub> groups, said additional -Ar<sub>3</sub> groups are not substituted with another -Ar<sub>3</sub>.

15

9. The compound according to claim 8, wherein R<sub>1</sub> is (e11).

10. The compound according to claim 8, wherein R<sub>1</sub> is (e12).

20

11. The compound according to claim 8, wherein R<sub>1</sub> is (y1).

12. The compound according to claim 8, wherein R<sub>1</sub> is (y2).

13. The compound according to claim 8, wherein R<sub>1</sub> is (z).

25

14. The compound according to claim 8, wherein R<sub>1</sub> is (w2).

15. The compound according to claim 14, wherein:

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m is 1;

ring C is benzo, pyrido, or thieno;

$R_3$  is selected from the group consisting of  $-C(O)-H$ ,  $-C(O)-Ar_2$ , and  $-C(O)CH_2-T_1-R_{11}$ ;

5  $R_5$  is selected from the group consisting of:  
 $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $-Ar_3$ ;  
 $-C(O)O-R_9$ , wherein  $R_9$  is  $-CH_2-Ar_3$ ;  
 $-C(O)C(O)-R_{10}$ , wherein  $R_{10}$  is  $-Ar_3$ ;  
 $-R_9$ , wherein  $R_9$  is a  $C_{1-2}$  alkyl group  
10 substituted with  $-Ar_3$ ; and  
 $-C(O)C(O)-OR_{10}$ , wherein  $R_{10}$  is  $-CH_2Ar_3$ ;

$T_1$  is O or S;

$R_6$  is H;

15  $R_8$  is selected from the group consisting  $-C(O)-R_{10}$ ,  
 $-C(O)-CH_2-OR_{10}$ , and  $-C(O)CH_2-N(R_{10})(R_{10})$ , wherein  $R_{10}$  is  
H,  $CH_3$ , or  $-CH_2CH_3$ ;

$R_{11}$  is selected from the group consisting of  $-Ar_4$ ,  
 $-(CH_2)_{1-3}-Ar_4$ , and  $-C(O)-Ar_4$ ;

20  $R_{13}$  is H or a  $C_{1-4}$  straight or branched alkyl group  
optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ ,  
wherein the  $R_9$  is a  $C_{1-4}$  branched or straight chain  
alkyl group; wherein  $Ar_3$  is morpholinyl or phenyl,  
wherein the phenyl is optionally substituted with  $Q_1$ ;

25  $Ar_2$  is (hh);

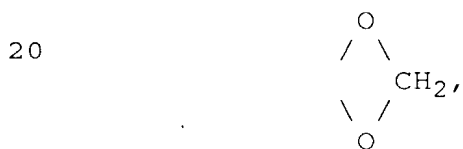
- 775 -

Y is O;

each Ar<sub>3</sub> cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinoliny, isoquinoliny, thiazolyl, benzimidazolyl, thienothienyl, thiadiazolyl, benzotriazolyl, benzo[b]thiophenyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, naphthyl, pyridinyl, oxazolyl, pyrimidinyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and



wherein each R<sub>9</sub> and R<sub>10</sub> are independently a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub> wherein Ar<sub>3</sub> is phenyl;

provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub> group which comprises one or more additional -Ar<sub>3</sub> groups, said additional -Ar<sub>3</sub> groups are not substituted with another -Ar<sub>3</sub>.

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16. The compound according to claim 8,  
wherein  $R_1$  is (e10) and  $X_5$  is N.

17. The compound according to claim 16,  
wherein  $R_3$  is  $\text{CO-Ar}_2$ .

5           18. The compound according to claim 16,  
wherein  $R_3$  is  $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$  and  $\text{R}_{11}$  is  $-(\text{CH}_2)_{1-3}-\text{Ar}_4$ .

19. The compound according to claim 16,  
wherein:

10            $R_3$  is  $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$ ;  
             $\text{T}_1$  is O; and  
             $\text{R}_{11}$  is  $-\text{C}(\text{O})-\text{Ar}_4$ .

20. The compound according to claim 16,  
wherein  $R_3$  is  $-\text{C}(\text{O})-\text{H}$ .

15           21. The compound according to claim 16,  
wherein  $R_3$  is  $-\text{CO}-\text{CH}_2-\text{T}_1-\text{R}_{11}$  and  $\text{R}_{11}$  is  $-\text{Ar}_4$ .

22. The compound according to any one of  
claims 19-21, wherein  $\text{R}_5$  is selected from the group  
consisting of:

20            $-\text{C}(\text{O})-\text{R}_{10}$ ,  
             $-\text{C}(\text{O})\text{O}-\text{R}_9$ , and  
             $-\text{C}(\text{O})-\text{NH}-\text{R}_{10}$ .

23. The compound according to claim 22,  
wherein:

25           m is 1;  
  
             $\text{T}_1$  is O or S,



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provided that when  $R_3$  is  $-C(O)-CH_2-T_1-R_{11}$ ,  $T_1$  is O;

$R_{13}$  is H or a  $C_{1-4}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ ,  
5 wherein the  $R_9$  is a  $C_{1-4}$  branched or straight chain alkyl group; wherein  $Ar_3$  is morpholinyl or phenyl, wherein the phenyl is optionally substituted with  $Q_1$ ;

$R_{21}$  is  $-H$  or  $-CH_3$ ;

$Ar_2$  is (hh);

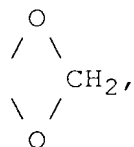
10  $Y$  is O;

each  $Ar_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl,  
15 isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

20 each  $Ar_4$  cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

25 each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$  wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and

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5

wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

10 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

15 24. The compound according to any one of claims 19-21, wherein  $R_5$  is selected from the group consisting of:

20  $-S(O)_2-R_9$ ,  
 $-S(O)_2-NH-R_{10}$ ,  
 $-C(O)-C(O)-R_{10}$ ,  
 $-R_9$ , and  
 $-C(O)-C(O)-OR_{10}$ .

25 25. The compound according to claim 24, wherein:

$m$  is 1;

25

$T_1$  is O or S,

provided that when  $R_3$  is  $-C(O)-CH_2-T_1-R_{11}$ ,  $T_1$  is O;

30  $R_{13}$  is H or a  $C_{1-4}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ ,

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wherein the R<sub>9</sub> is a C<sub>1-4</sub> branched or straight chain alkyl group; wherein Ar<sub>3</sub> is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q<sub>1</sub>;

R<sub>21</sub> is -H or -CH<sub>3</sub>;

5 Ar<sub>2</sub> is (hh);

Y is O;

each Ar<sub>3</sub> cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl,  
10 quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or  
15 multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly  
20 or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and

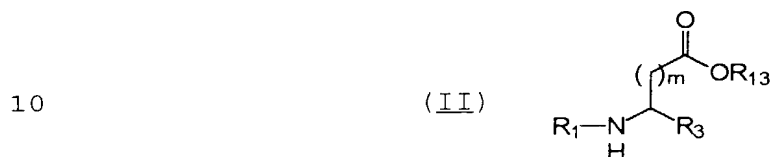


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wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

- 5 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

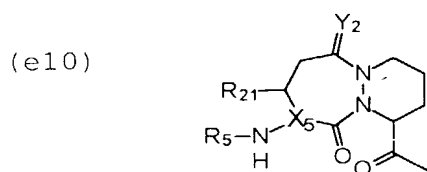
26. A compound represented by the formula:



wherein:

$m$  is 1 or 2;

$R_1$  is:



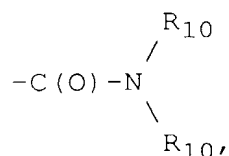
$R_3$  is  $-CO-Ar_2$ ;

$R_5$  is selected from the group consisting of:

$-C(O)-R_{10}$ ,

$-C(O)O-R_9$ ,

20



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5                   -S(O)<sub>2</sub>-R<sub>9</sub>,  
                  -C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,  
                  -C(O)C(O)-R<sub>10</sub>,  
                  -R<sub>9</sub>,  
                  -H, and  
                  -C(O)C(O)-OR<sub>10</sub>,

X<sub>5</sub> is CH;

Y<sub>2</sub> is H<sub>2</sub> or O;

10           each R<sub>9</sub> is independently selected from the group  
consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched  
alkyl group optionally substituted with -Ar<sub>3</sub>, wherein  
the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

15           each R<sub>10</sub> is independently selected from the group  
consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a  
-C<sub>1-6</sub> straight or branched alkyl group optionally  
substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is  
optionally unsaturated;

20           R<sub>13</sub> is selected from the group consisting of H,  
Ar<sub>3</sub>, and a C<sub>1-6</sub> straight or branched alkyl group  
optionally substituted with -Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH,  
-OR<sub>9</sub>, or -CO<sub>2</sub>H;

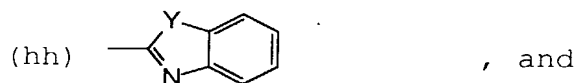
OR<sub>13</sub> is optionally -N(H)-OH;

25           each R<sub>21</sub> is independently selected from the group  
consisting of -H or a -C<sub>1-6</sub> straight or branched alkyl  
group;

Ar<sub>2</sub> is independently selected from the following

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group, in which any ring may optionally be singly or multiply substituted by  $-Q_1$  or phenyl, optionally substituted by  $Q_1$ :



5



wherein each Y is independently selected from the group consisting of O and S;

each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ , and  $-NH-$ ,  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Ar_4$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ ,  $-NH-$ ,  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally

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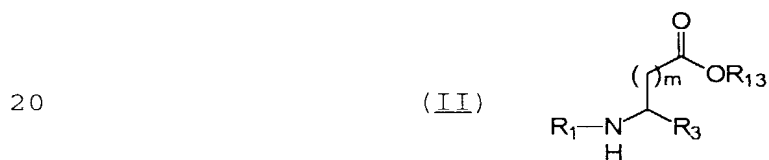
containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

- 5 each  $Q_1$  is independently selected from the group consisting of  $-\text{NH}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{Cl}$ ,  $-\text{F}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{NO}_2$ ,  $-\text{CN}$ ,  $=\text{O}$ ,  $-\text{OH}$ , -perfluoro  $\text{C}_{1-3}$  alkyl,  $\text{R}_5$ ,  $-\text{OR}_5$ ,  $-\text{NHR}_5$ ,  $-\text{OR}_9$ ,  $-\text{NHR}_9$ ,  $-\text{R}_9$ ,  $-\text{C}(\text{O})-\text{R}_{10}$ , and



- 15 provided that when  $-\text{Ar}_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-\text{Ar}_3$  groups, said additional  $-\text{Ar}_3$  groups are not substituted with another  $-\text{Ar}_3$ .

27. A compound represented by the formula:



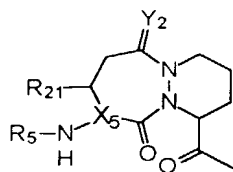
wherein:

$m$  is 1 or 2;

$\text{R}_1$  is:

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(e10)



$R_3$  is  $-C(O)-CH_2-T_1-R_{11}$  and  $R_{11}$  is  $-(CH_2)_{1-3}-Ar_4$ ;

$R_5$  is selected from the group consisting of:

5

$-C(O)-R_{10}$ ,

$-C(O)O-R_9$ ,

10

$-C(O)-N \begin{array}{l} / R_{10} \\ \backslash R_{10} \end{array}$ ,

$-S(O)_2-R_9$ ,

$-C(O)-CH_2-O-R_9$ ,

$-C(O)C(O)-R_{10}$ ,

15

$-R_9$ ,

$-H$ , and

$-C(O)C(O)-OR_{10}$ ,

$X_5$  is  $CH$ ;

$Y_2$  is  $H_2$  or  $O$ ;

20

each  $T_1$  is independently selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

25

each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

each  $R_{10}$  is independently selected from the group



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consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

5           R<sub>13</sub> is selected from the group consisting of H, Ar<sub>3</sub>, and a C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH, -OR<sub>9</sub>, or -CO<sub>2</sub>H;

OR<sub>13</sub> is optionally -N(H)-OH;

10           each R<sub>21</sub> is independently selected from the group consisting of -H or a -C<sub>1-6</sub> straight or branched alkyl group;

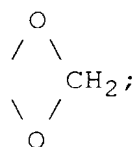
          each Ar<sub>3</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains  
15       6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-,  
20       -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

25           each Ar<sub>4</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said

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heterocyclic group containing at least one heteroatom  
group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-,  
-N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
5 containing one or more double bonds, said heterocycle  
group optionally comprising one or more aromatic rings,  
and said cyclic group optionally being singly or  
multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group  
consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN,  
10 =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, -R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>,  
-NHR<sub>9</sub>, -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and



provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub>  
group which comprises one or more additional -Ar<sub>3</sub>  
20 groups, said additional -Ar<sub>3</sub> groups are not substituted  
with another -Ar<sub>3</sub>.

28. The compound according to claims 26 or  
27, wherein R<sub>5</sub> is selected from the group consisting  
of:

25 -C(O)-R<sub>10</sub>,  
-C(O)O-R<sub>9</sub>, and  
-C(O)-NH-R<sub>10</sub>.

29. The compound according to claim 28,  
wherein:

30 m is 1;

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T<sub>1</sub> is O or S;

R<sub>13</sub> is H or a C<sub>1-4</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, -OH, -OR<sub>9</sub>, -CO<sub>2</sub>H, wherein the R<sub>9</sub> is a C<sub>1-4</sub> branched or straight chain  
5 alkyl group; wherein Ar<sub>3</sub> is morpholinyl or phenyl, wherein the phenyl is optionally substituted with Q<sub>1</sub>;

R<sub>21</sub> is -H or -CH<sub>3</sub>;

Ar<sub>2</sub> is (hh);

Y is O;

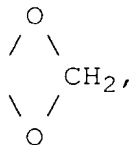
10

each Ar<sub>3</sub> cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl,  
15 thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> cyclic group is independently selected  
20 from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group  
25 consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and

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5

wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

10 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

15 30. The compound according to claims 26 or 27, wherein  $R_5$  is selected from the group consisting of:

20  $-S(O)_2-R_9$ ,  
 $-S(O)_2-NH-R_{10}$ ,  
 $-C(O)-C(O)-R_{10}$ ,  
 $-R_9$ , and  
 $-C(O)-C(O)-OR_{10}$ .

31. The compound according to claim 30, wherein:

25 m is 1;

$T_1$  is O or S;

30  $R_{13}$  is H or a  $C_{1-4}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ , wherein the  $R_9$  is a  $C_{1-4}$  branched or straight chain alkyl group; wherein  $Ar_3$  is morpholinyl or phenyl,

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wherein the phenyl is optionally substituted with  $Q_1$ ;

$R_{21}$  is -H or  $-CH_3$ ;

$Ar_2$  is (hh);

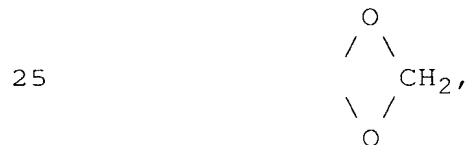
Y is O;

5

each  $Ar_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Ar_4$  cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$  wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and



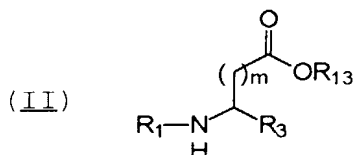
wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted

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with  $-\text{Ar}_3$  wherein  $\text{Ar}_3$  is phenyl;

provided that when  $-\text{Ar}_3$  is substituted with a  $\text{Q}_1$  group which comprises one or more additional  $-\text{Ar}_3$  groups, said additional  $-\text{Ar}_3$  groups are not substituted with another  $-\text{Ar}_3$ .

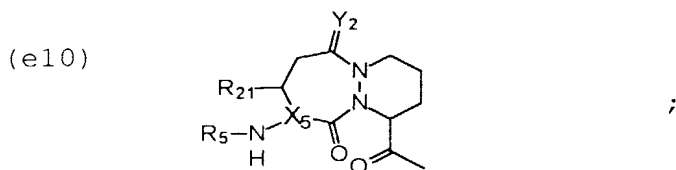
32. A compound represented by the formula:



wherein:

10  $m$  is 1 or 2;

$\text{R}_1$  is:



15  $\text{R}_3$  is  $-\text{C}(\text{O})-\text{CH}_2-\text{T}_1-\text{R}_{11}$ ;  $\text{T}_1$  is O; and  $\text{R}_{11}$  is  $-\text{C}(\text{O})-\text{Ar}_4$ ;

$\text{R}_5$  is selected from the group consisting of:

- S(O)<sub>2</sub>-R<sub>9</sub>,
- S(O)<sub>2</sub>-NH-R<sub>10</sub>,
- C(O)-C(O)-R<sub>10</sub>,
- 20 -R<sub>9</sub>, and
- C(O)-C(O)-OR<sub>10</sub>;

$\text{X}_5$  is CH;

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$Y_2$  is  $H_2$  or O;

each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein  
5 the  $-C_{1-6}$  alkyl group is optionally unsaturated;

each  $R_{10}$  is independently selected from the group consisting of  $-H$ ,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is  
10 optionally unsaturated;

$R_{13}$  is selected from the group consisting of  $H$ ,  $Ar_3$ , and a  $C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-CONH_2$ ,  $-OR_5$ ,  $-OH$ ,  $-OR_9$ , or  $-CO_2H$ ;

15  $OR_{13}$  is optionally  $-N(H)-OH$ ;

each  $R_{21}$  is independently selected from the group consisting of  $-H$  or a  $-C_{1-6}$  straight or branched alkyl group;

each  $Ar_3$  is a cyclic group independently selected  
20 from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom  
25 group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ , and  $-NH-$ ,  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,

- 792 -

and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Ar_4$  is a cyclic group independently selected from the set consisting of an aryl group which contains  
 5 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ ,  $-NH-$ ,  
 10  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

15 each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-NHR_9$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and

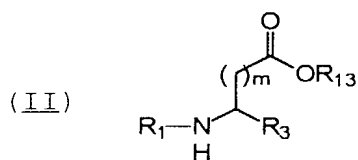


25 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

33. A compound represented by the formula:



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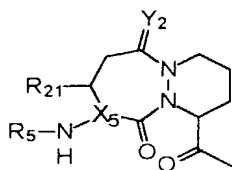


wherein:

m is 1 or 2;

R<sub>1</sub> is:

5 (e10)



R<sub>3</sub> is -C(O)-H;

R<sub>5</sub> is selected from the group consisting of:

- 10
- S(O)<sub>2</sub>-R<sub>9</sub>,
  - S(O)<sub>2</sub>-NH-R<sub>10</sub>,
  - C(O)-C(O)-R<sub>10</sub>,
  - R<sub>9</sub>, and
  - C(O)-C(O)-OR<sub>10</sub>;

15 X<sub>5</sub> is CH;

Y<sub>2</sub> is H<sub>2</sub> or O;

20 each R<sub>9</sub> is independently selected from the group consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

each R<sub>10</sub> is independently selected from the group consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a

- 794 -

-C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

5 R<sub>13</sub> is selected from the group consisting of H, Ar<sub>3</sub>, and a C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH, -OR<sub>9</sub>, or -CO<sub>2</sub>H;

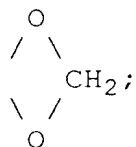
OR<sub>13</sub> is optionally -N(H)-OH;

10 each R<sub>21</sub> is independently selected from the group consisting of -H or a -C<sub>1-6</sub> straight or branched alkyl group;

15 each Ar<sub>3</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
20 containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

25 each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN, =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and

- 795 -



5

provided that when  $-\text{Ar}_3$  is substituted with a  $\text{Q}_1$  group which comprises one or more additional  $-\text{Ar}_3$  groups, said additional  $-\text{Ar}_3$  groups are not substituted with another  $-\text{Ar}_3$ .

10

34. The compound according to claims 32 or 33, wherein:

$m$  is 1;

$\text{R}_{13}$  is H or a  $\text{C}_{1-4}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ ,  $-\text{OH}$ ,  $-\text{OR}_9$ ,  $-\text{CO}_2\text{H}$ , wherein the  $\text{R}_9$  is a  $\text{C}_{1-4}$  branched or straight chain alkyl group; wherein  $\text{Ar}_3$  is morpholinyl or phenyl, wherein the phenyl is optionally substituted with  $\text{Q}_1$ ;

15

$\text{R}_{21}$  is  $-\text{H}$  or  $-\text{CH}_3$ ;

20

each  $\text{Ar}_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-\text{Q}_1$ ;

25

each  $\text{Ar}_4$  cyclic group is independently selected from the set consisting of phenyl, tetrazolyl,

30

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pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

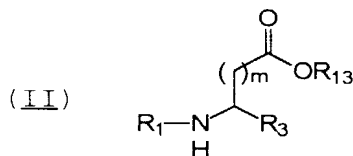
each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$  wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and



wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

35. A compound represented by the formula:



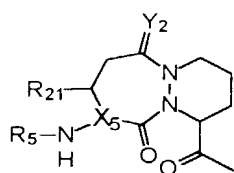
wherein:

m is 1;

25  $R_1$  is:

- 797 -

(e10)



;

$R_3$  is  $-\text{CO}-\text{CH}_2-\text{T}_1-\text{R}_{11}$  and  $\text{R}_{11}$  is  $-\text{Ar}_4$ ;

$\text{R}_5$  is selected from the group consisting of:

5

$-\text{C}(\text{O})-\text{R}_{10}$ ,

$-\text{C}(\text{O})\text{O}-\text{R}_9$ , and

$-\text{C}(\text{O})-\text{NH}-\text{R}_{10}$ ;

$\text{X}_5$  is  $\text{CH}$ ;

$\text{Y}_2$  is  $\text{O}$ ;

10

$\text{T}_1$  is  $\text{O}$  or  $\text{S}$ ;

each  $\text{R}_9$  is independently selected from the group consisting of  $-\text{Ar}_3$  and a  $-\text{C}_{1-6}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

15

each  $\text{R}_{10}$  is independently selected from the group consisting of  $-\text{H}$ ,  $-\text{Ar}_3$ , a  $-\text{C}_{3-6}$  cycloalkyl group, and a  $-\text{C}_{1-6}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

20

$\text{R}_{13}$  is  $\text{H}$  or a  $\text{C}_{1-4}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ ,  $-\text{OH}$ ,  $-\text{OR}_9$ ,  $-\text{CO}_2\text{H}$ , wherein the  $\text{R}_9$  is a  $\text{C}_{1-4}$  branched or straight chain alkyl group; wherein  $\text{Ar}_3$  is morpholinyl or phenyl,

- 798 -

wherein the phenyl is optionally substituted with  $Q_1$ ;

$R_{21}$  is -H or -CH<sub>3</sub>;

each  $Ar_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Ar_4$  cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Q_1$  is independently selected from the group consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and



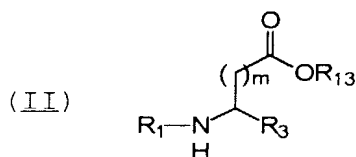
wherein each R<sub>9</sub> and R<sub>10</sub> are independently a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub> wherein Ar<sub>3</sub> is phenyl;

provided that when -Ar<sub>3</sub> is substituted with a  $Q_1$  group which comprises one or more additional -Ar<sub>3</sub>

- 799 -

groups, said additional  $-\text{Ar}_3$  groups are not substituted with another  $-\text{Ar}_3$ .

36. A compound represented by the formula:



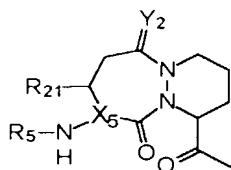
5 wherein:

m is 1;

$\text{R}_1$  is:

(e10)

10



;

$\text{R}_3$  is  $-\text{CO}-\text{CH}_2-\text{T}_1-\text{R}_{11}$  and  $\text{R}_{11}$  is  $-\text{Ar}_4$ ;

$\text{R}_5$  is selected from the group consisting of:

$-\text{S}(\text{O})_2-\text{R}_9$ ,

$-\text{S}(\text{O})_2-\text{NH}-\text{R}_{10}$ ,

15

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{R}_{10}$ ,

$-\text{R}_9$ , and

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{OR}_{10}$ ;

$\text{X}_5$  is  $\text{CH}$ ;

$\text{Y}_2$  is  $\text{O}$ ;

20

$\text{T}_1$  is  $\text{O}$  or  $\text{S}$ ;

- 800 -

each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

5        each  $R_{10}$  is independently selected from the group consisting of  $-H$ ,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

10         $R_{13}$  is  $H$  or a  $C_{1-4}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ , wherein the  $R_9$  is a  $C_{1-4}$  branched or straight chain alkyl group; wherein  $Ar_3$  is morpholinyl or phenyl, wherein the phenyl is optionally substituted with  $Q_1$ ;

15         $R_{21}$  is  $-H$  or  $-CH_3$ ;

each  $Ar_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, 20        thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

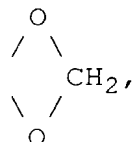
each  $Ar_4$  cyclic group is independently selected 25        from the set consisting of phenyl, tetrazolyl, pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;



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each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$  wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and

5



10

wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

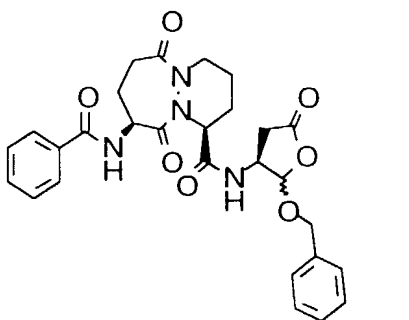
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provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

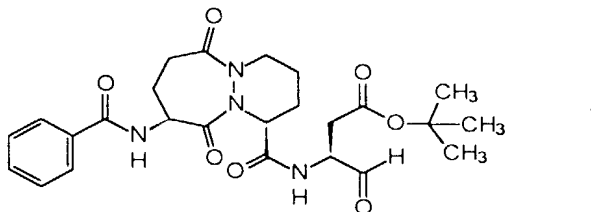
37. The compound according to claim 7 selected from the group consisting of:

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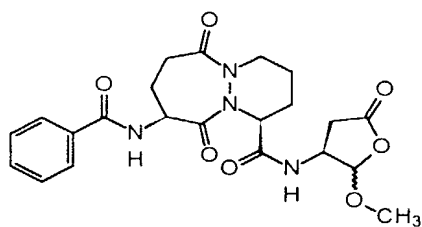


302



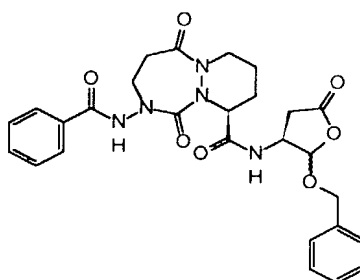
- 802 -

304a



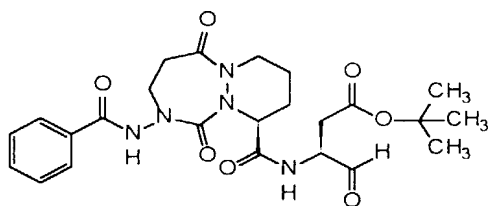
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813e



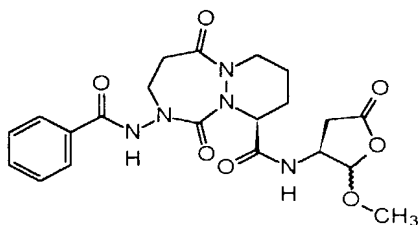
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902



; and

904a

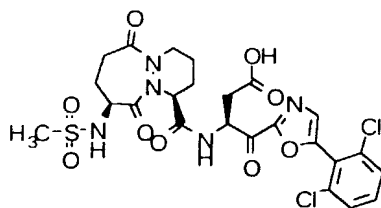


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38. The compound according to claims 8 or 68, selected from the group consisting of:

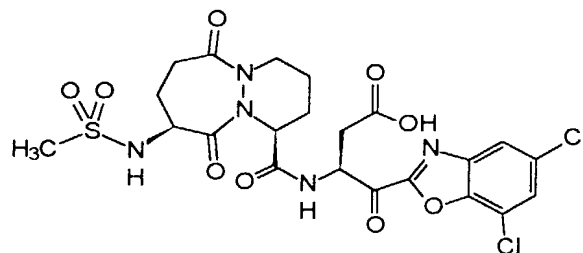
- 803 -

220b



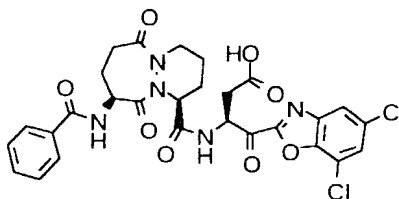
;

223b



;

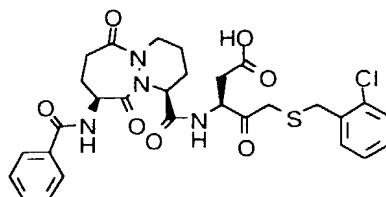
223e



;

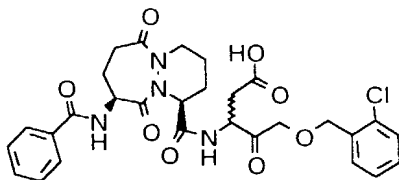
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226e



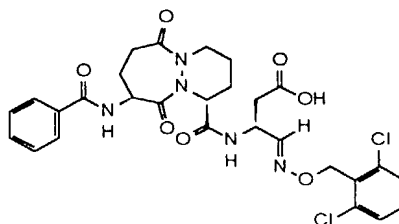
;

227e



;

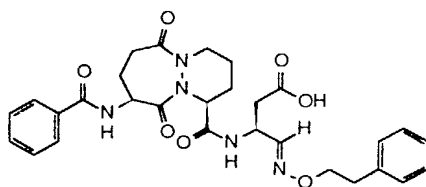
307a



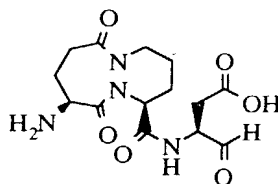
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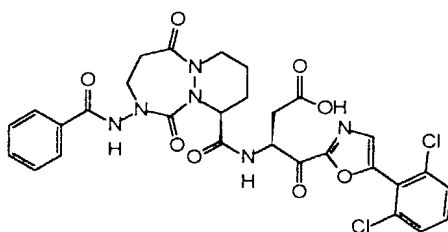
307b



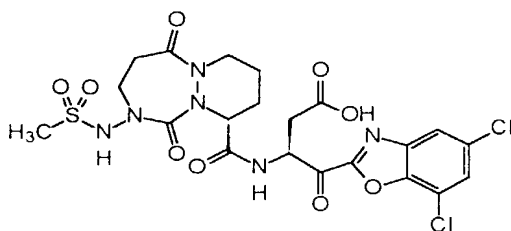
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820b

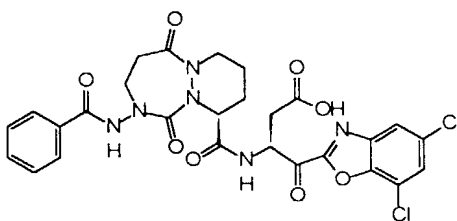


823b

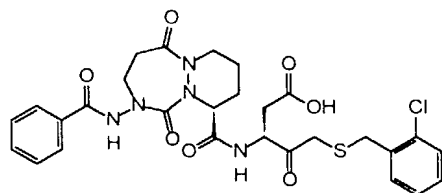


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823e

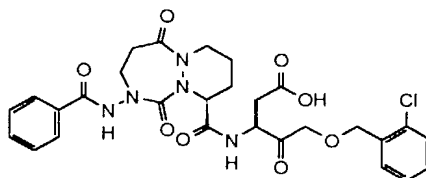


826e



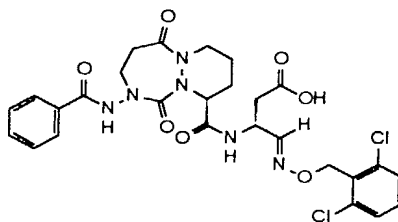
- 805 -

827e



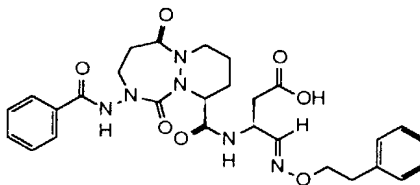
;

907a



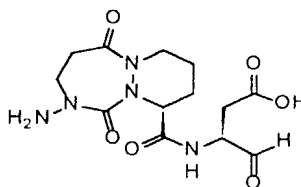
;

907b



; and

1029

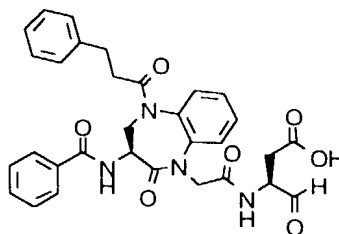


.

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39. The compound according to claim 15  
selected from the group consisting of:

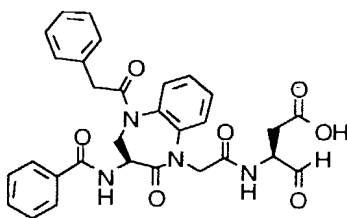
605a



;

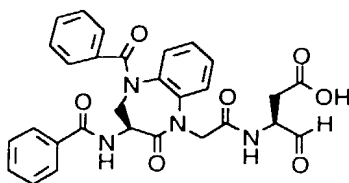
- 806 -

605b



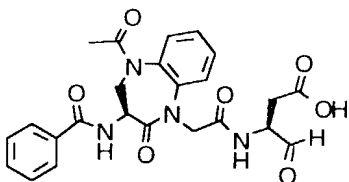
;

605c



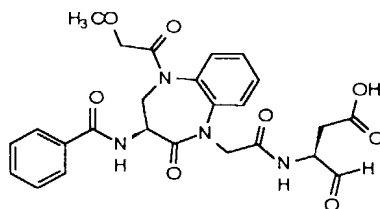
*i*

605d



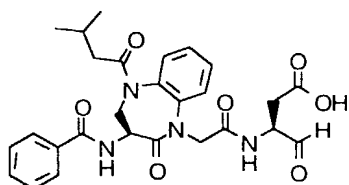
;

605e



;

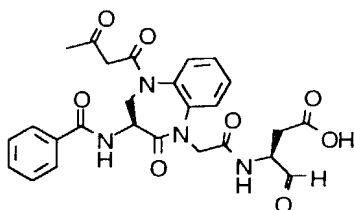
605f



;

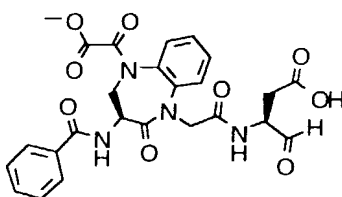
- 807 -

605g



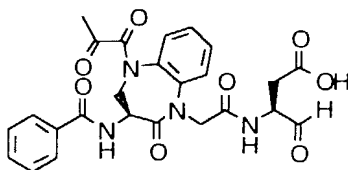
;

605h



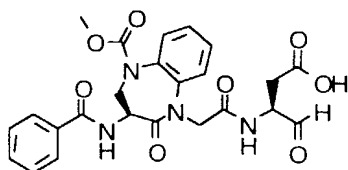
;

605i



;

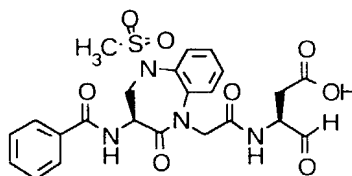
605j



;

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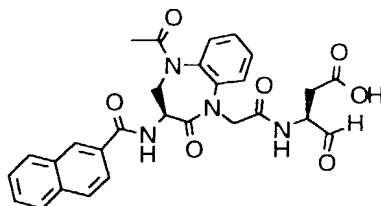
605m



;

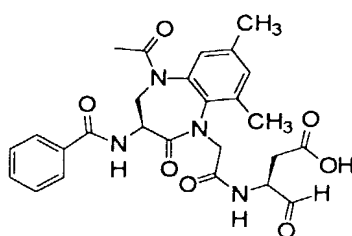
- 808 -

605n



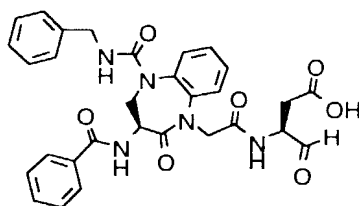
;

605o



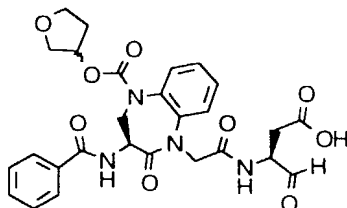
;

605p



;

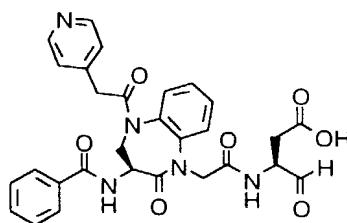
605q



;

5

605s

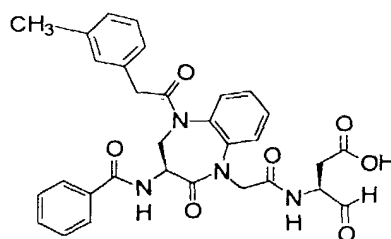


;



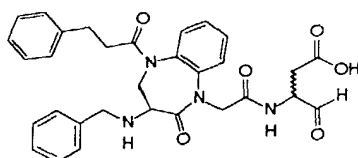
- 809 -

605t



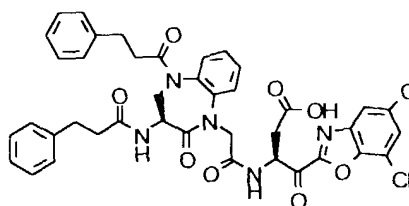
;

605v



;

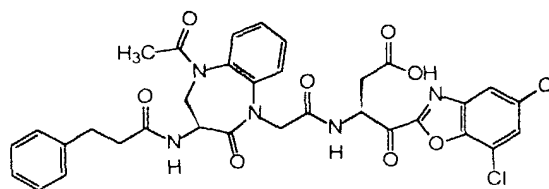
609a



;

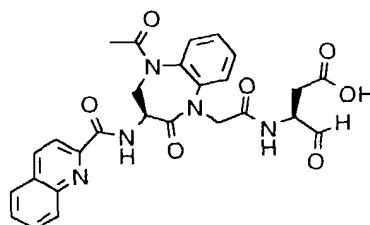
5

609b



;

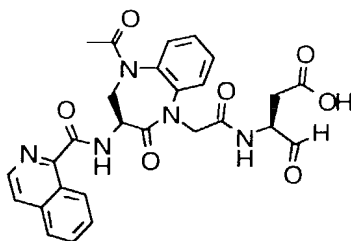
619



;

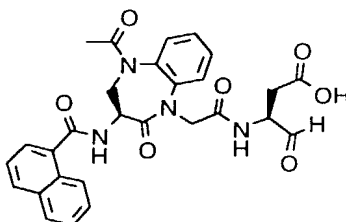
- 810 -

620



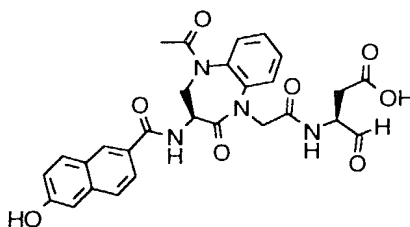
;

621



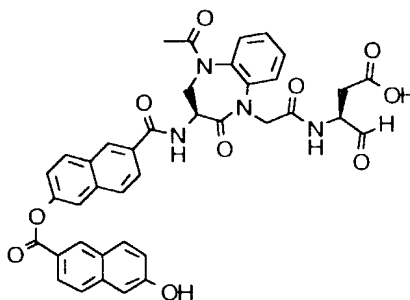
;

622



;

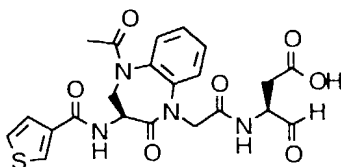
623



;

5

624



;



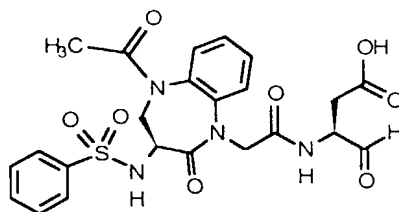
*i*



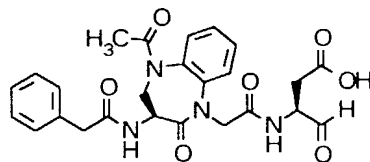
i



629

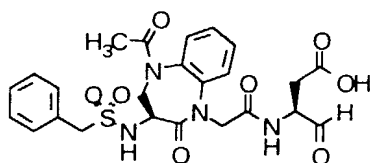


630



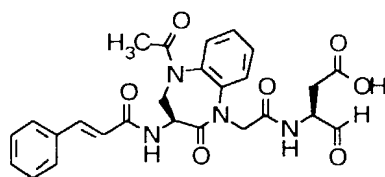
1

631

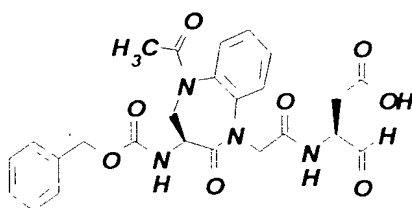


3

632

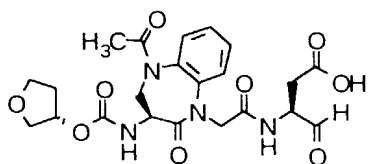
*i*

633

*i*

5

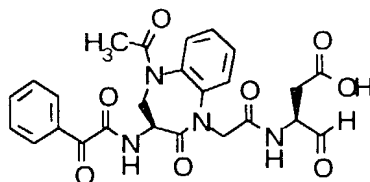
634



; and

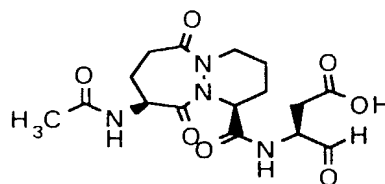
- 813 -

635



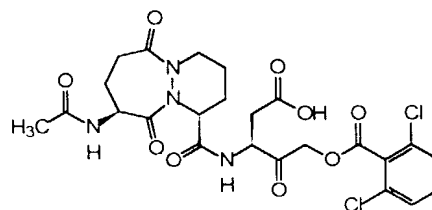
40. The compound according to claims 8 or 68, selected from the group consisting of:

214c



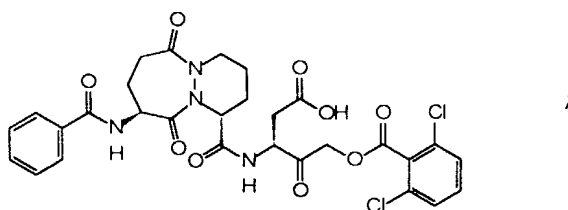
5

217c

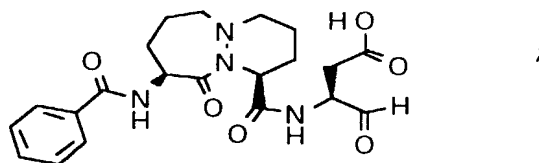


- 814 -

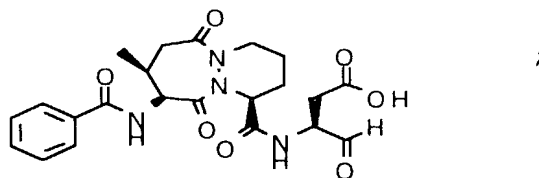
217e



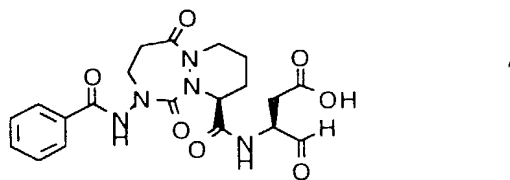
246



257

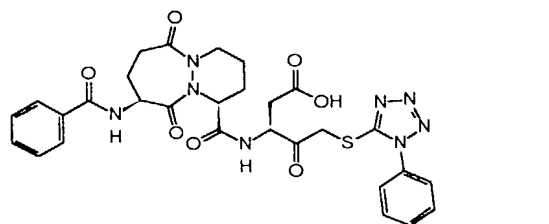


265



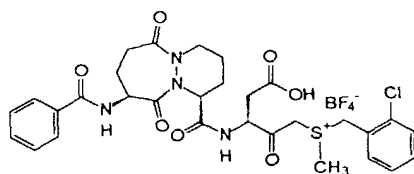
5

280

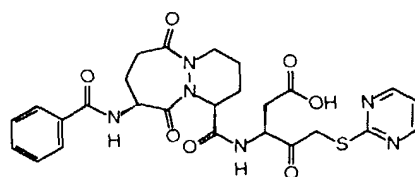


- 815 -

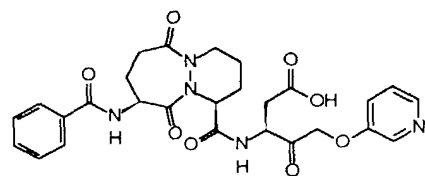
281



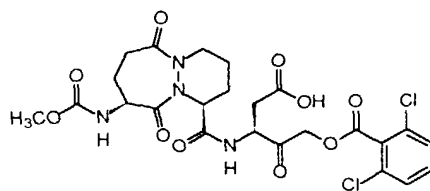
282



283

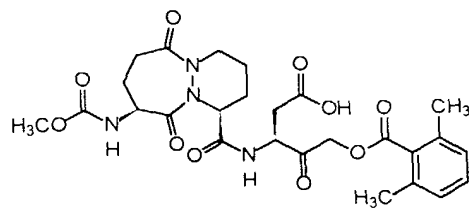


284

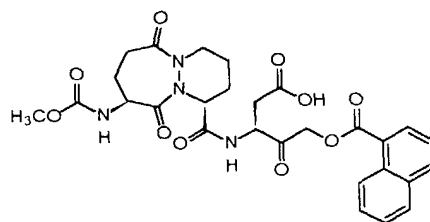


5

285

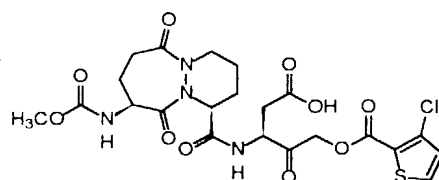


286



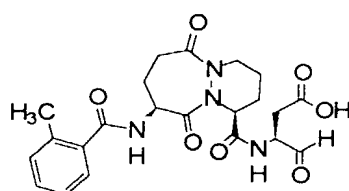
- 816 -

287



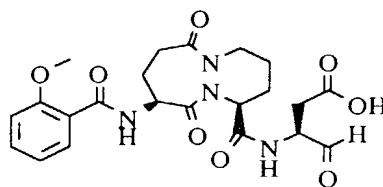
•

404



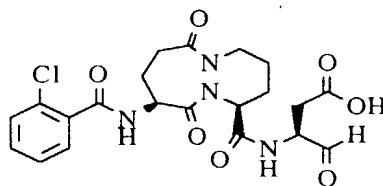
2

405



*i*

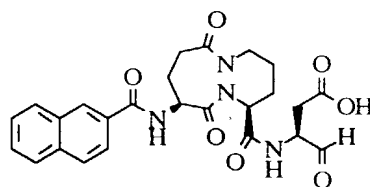
406



;

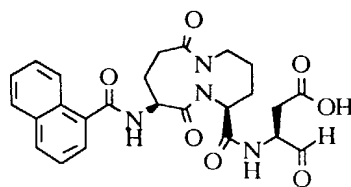
5

407



i

408

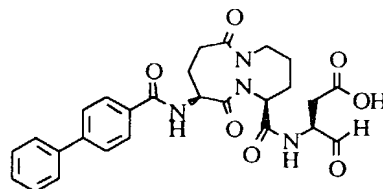


•



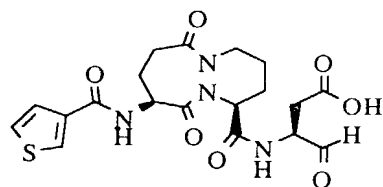
- 817 -

409



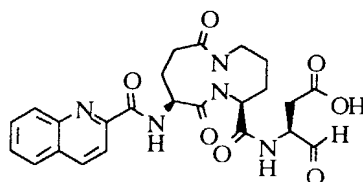
;

410



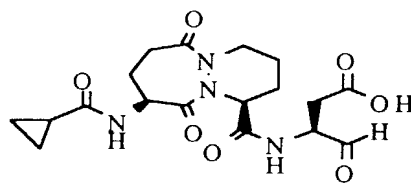
;

411



;

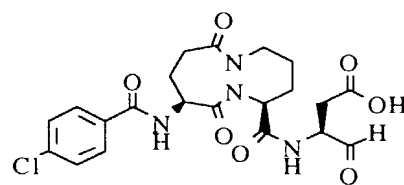
413



;

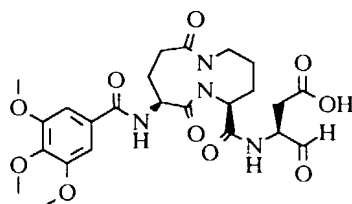
5

416



;

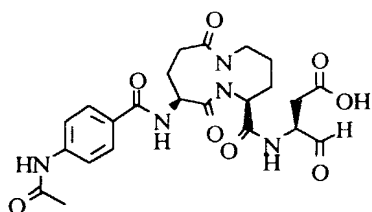
417



;

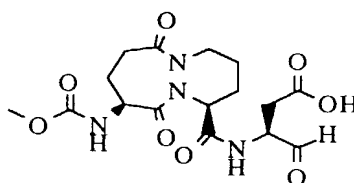
- 818 -

418



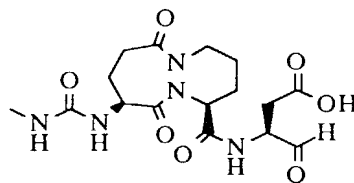
;

419



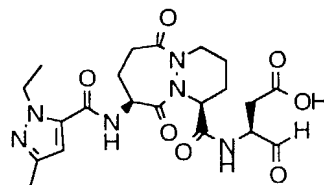
;

420



;

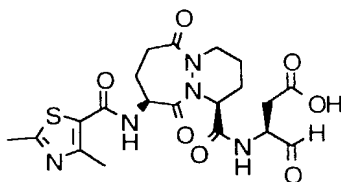
422



;

5

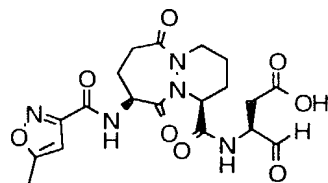
423



;

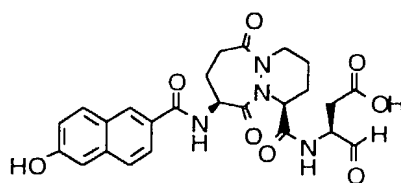
- 819 -

424



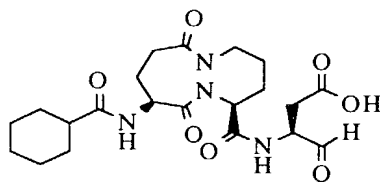
;

425



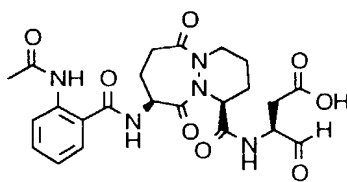
;

426



;

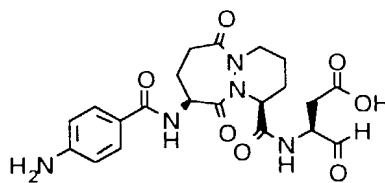
430



;

5

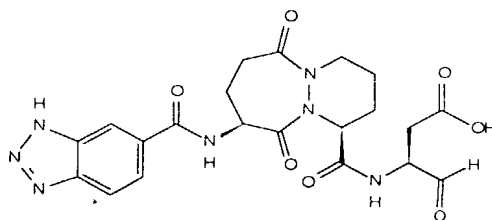
431



;

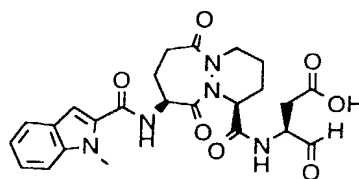
- 820 -

432



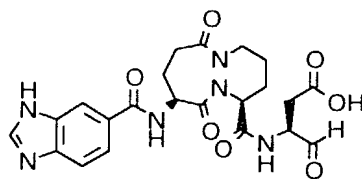
;

433



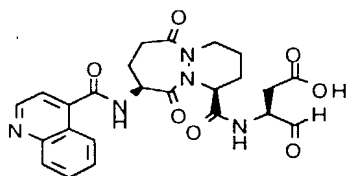
;

434



;

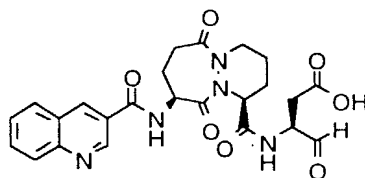
435



;

5

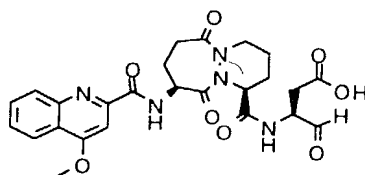
436



;

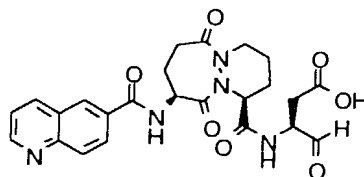
- 821 -

437



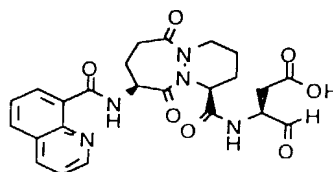
;

438



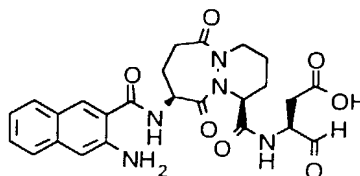
;

439



;

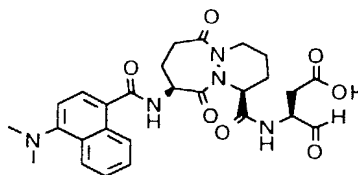
440



;

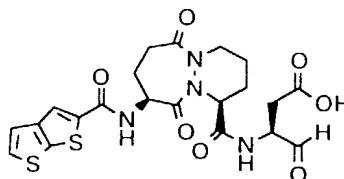
5

441



;

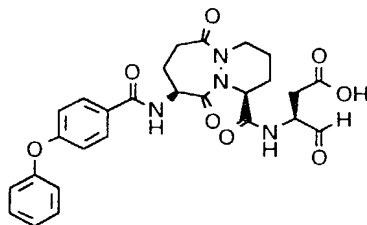
442



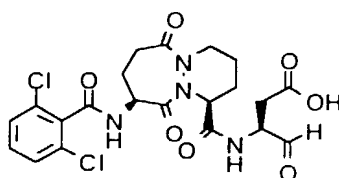
;

- 822 -

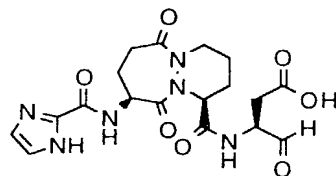
443



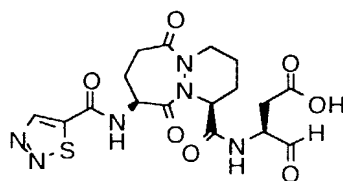
444



445

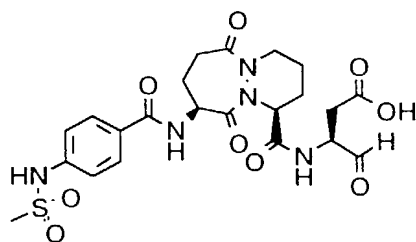


446



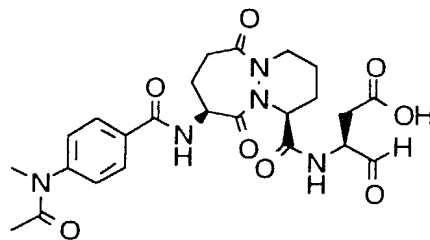
5

447



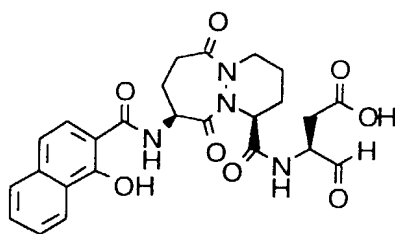
- 823 -

448



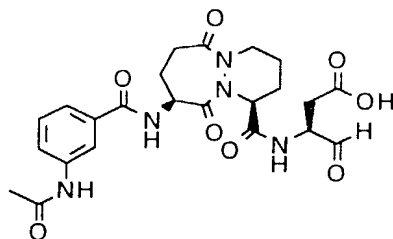
;

449



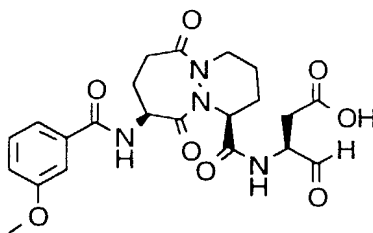
;

450



;

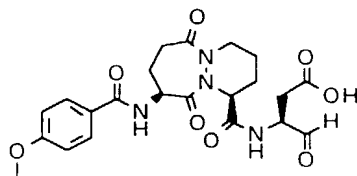
451



;

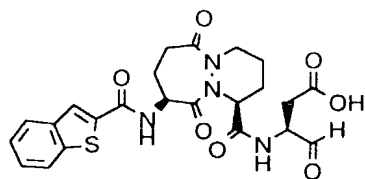
5

452



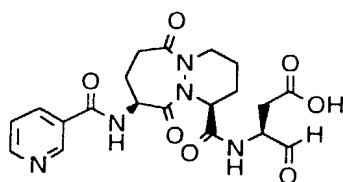
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453



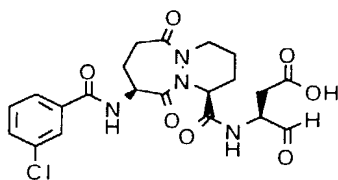
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454



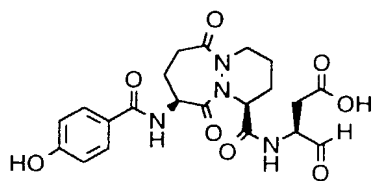
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455



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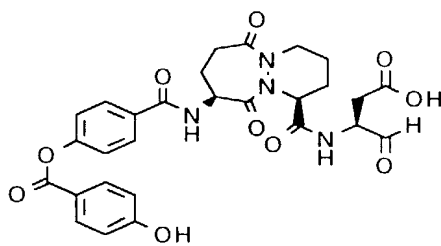
456



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457

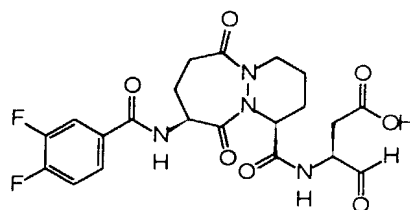


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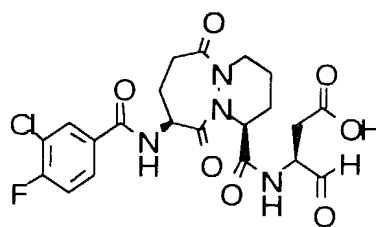


- 825 -

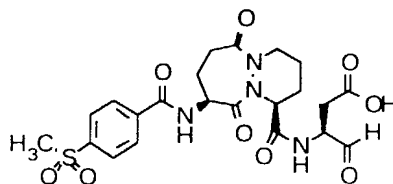
458



459

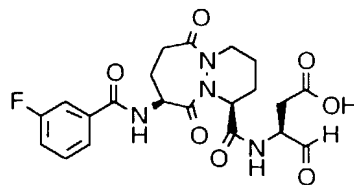


460



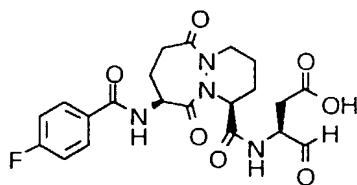
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462



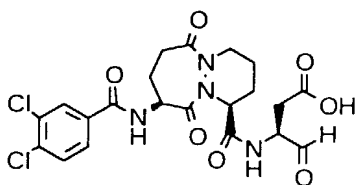
- 826 -

463



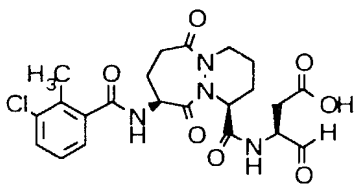
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464



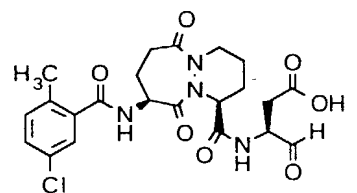
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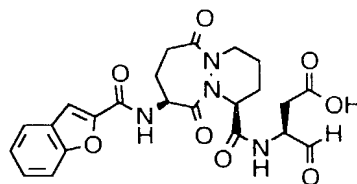
466



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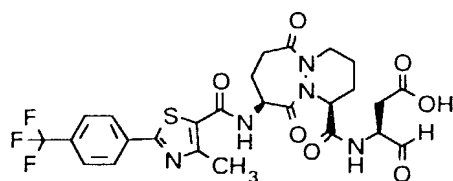
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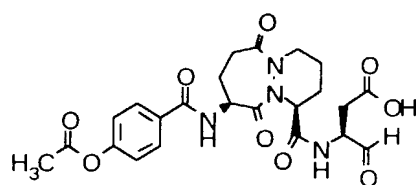
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- 827 -

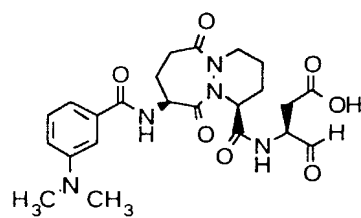
468



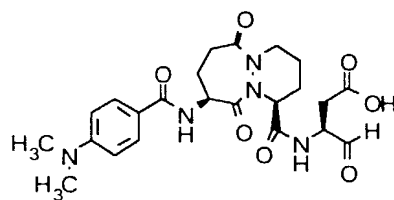
469



470

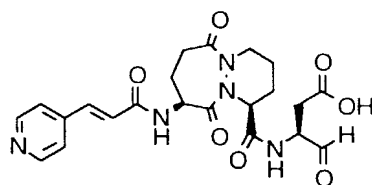


471



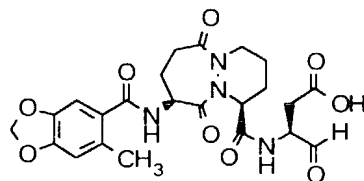
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472



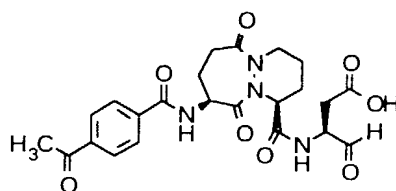
- 828 -

473



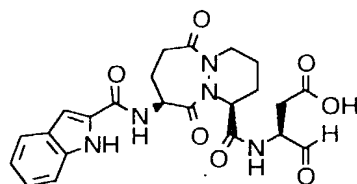
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474



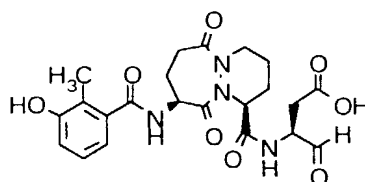
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475



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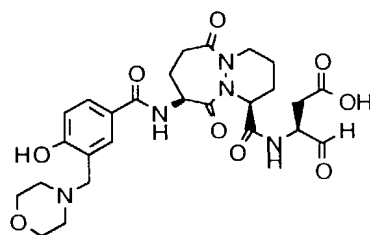
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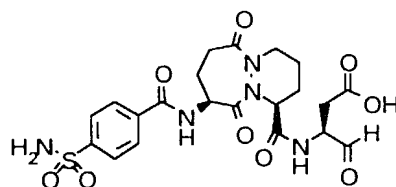
477



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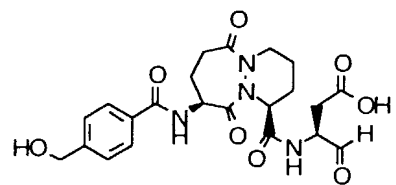
- 829 -

478



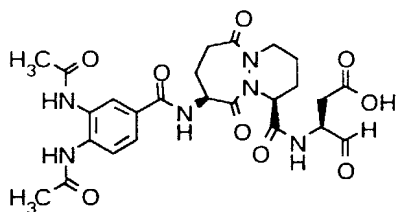
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479



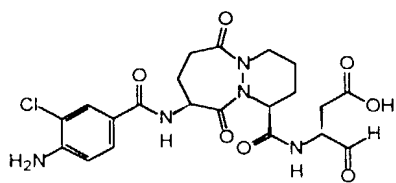
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480



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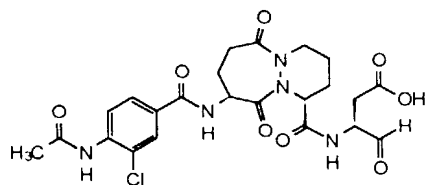
481



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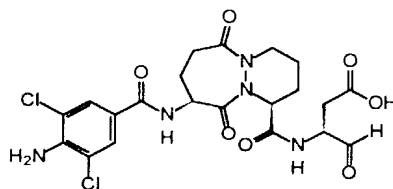
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481s

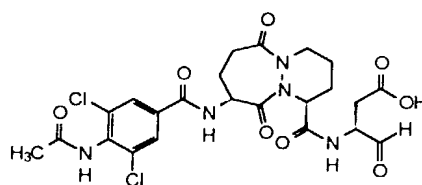


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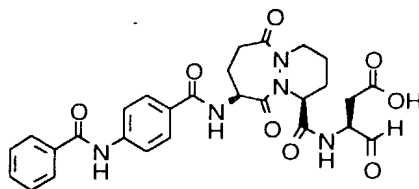
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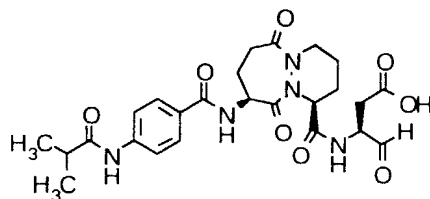
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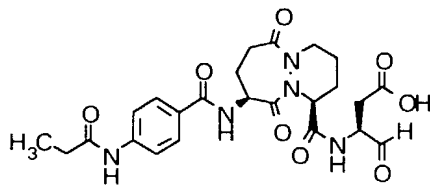
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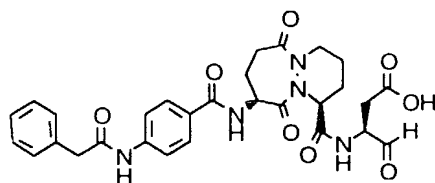


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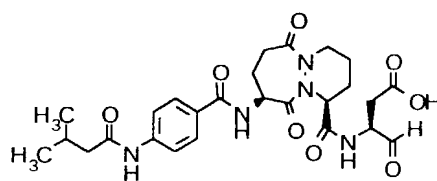
- 831 -

486



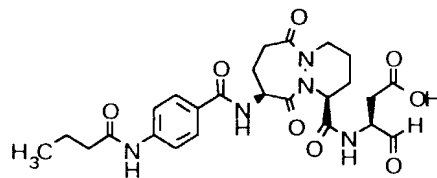
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487



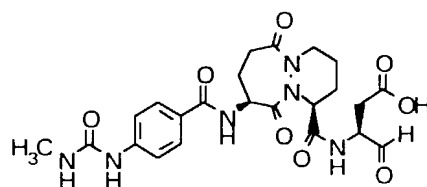
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488



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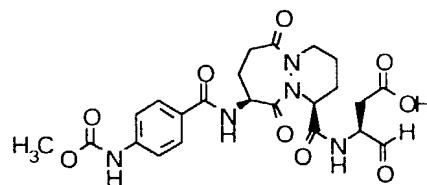
489



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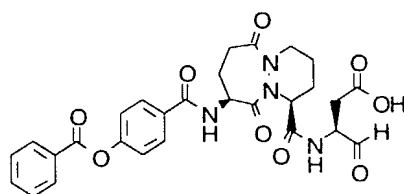
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490



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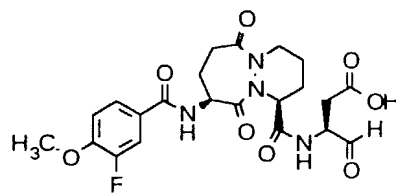
491



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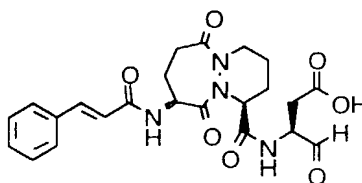
- 832 -

493



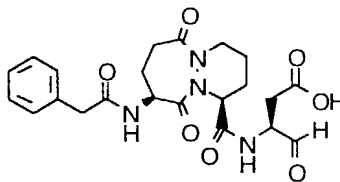
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494



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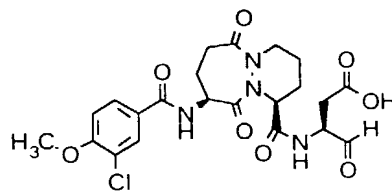
495



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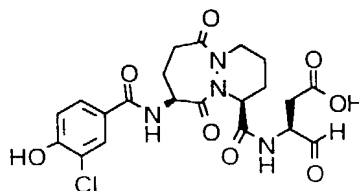
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497



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498

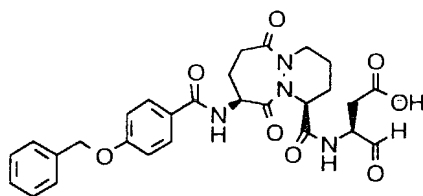


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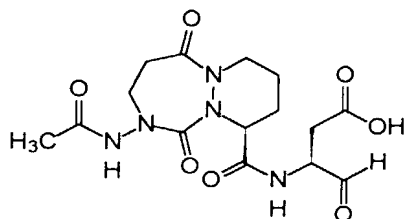
- 833 -

499



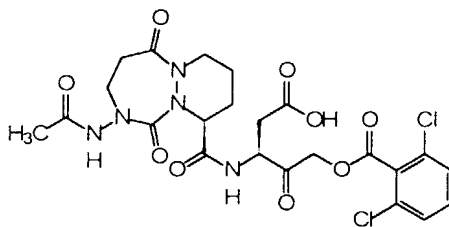
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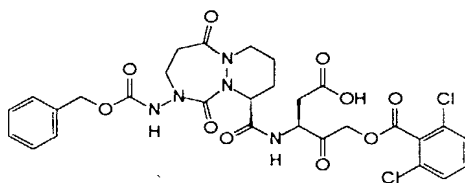
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817c



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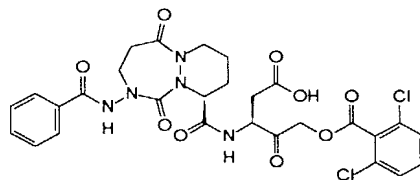
817d



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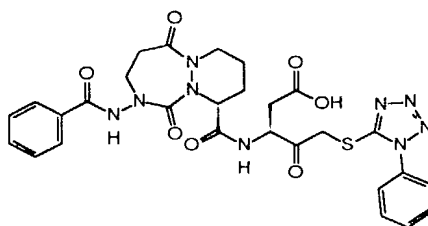
817e



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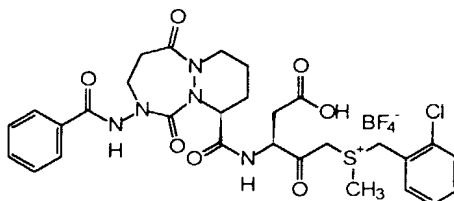
- 834 -

880



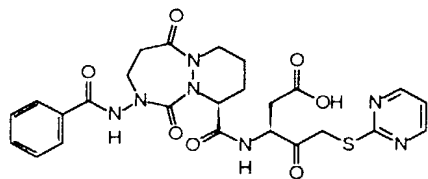
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881



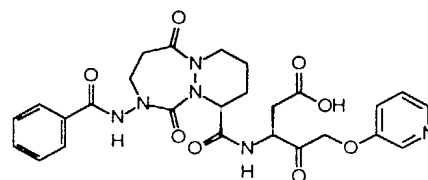
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882



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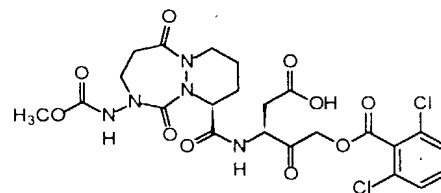
883



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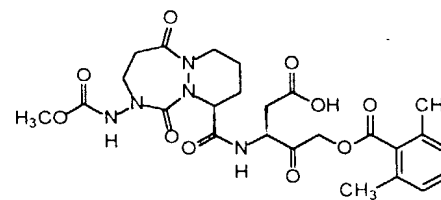
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884



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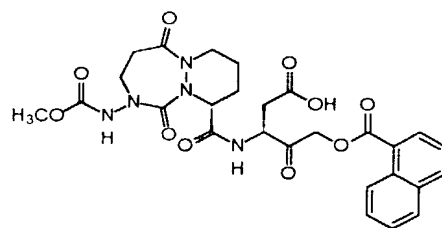
885



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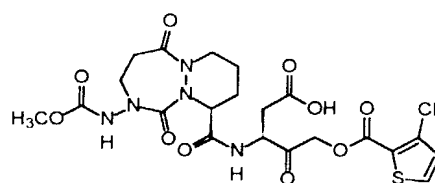
- 835 -

886



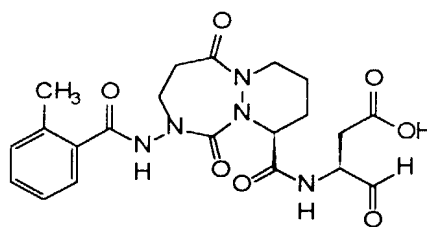
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887



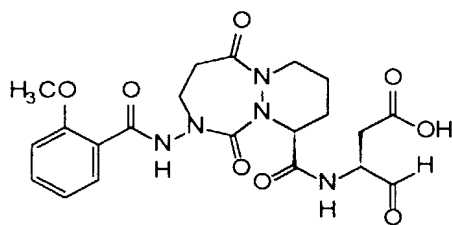
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1004



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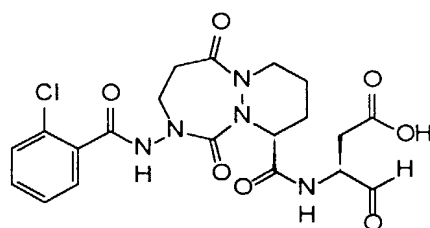
1005



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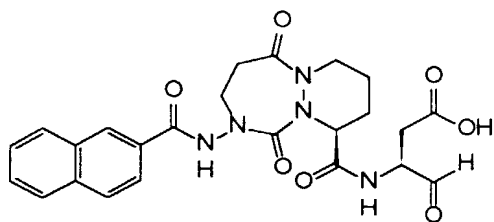
1006



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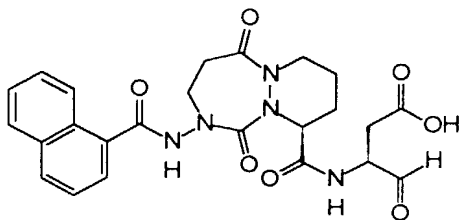
- 836 -

1007



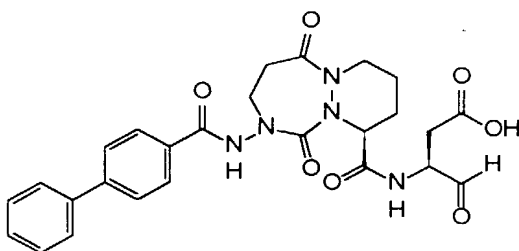
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1008



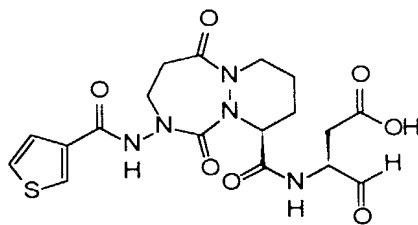
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1009



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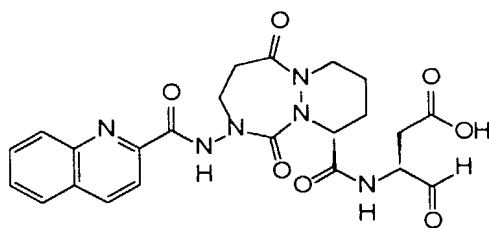
1010



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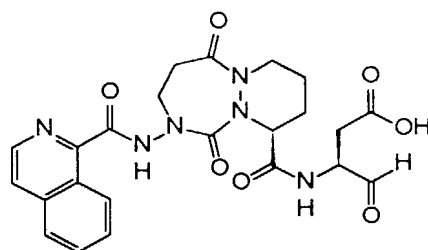
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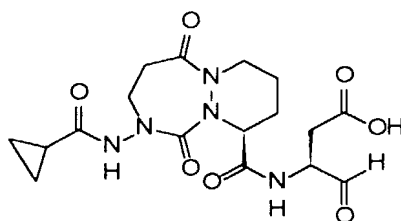
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- 837 -

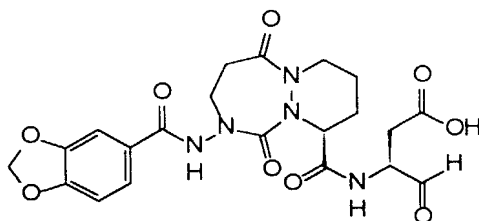
1012



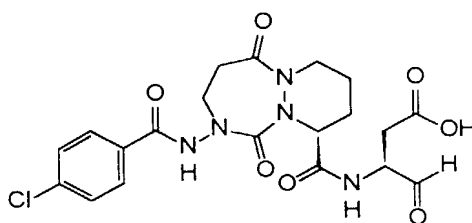
1013



1015

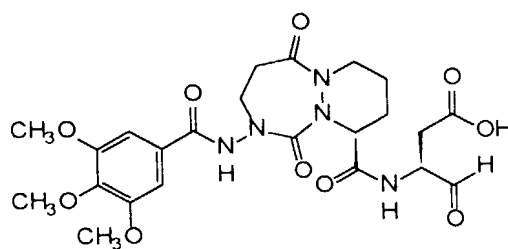


1016



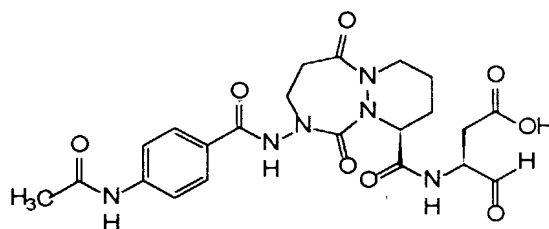
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1017

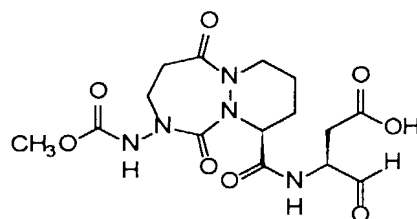


- 838 -

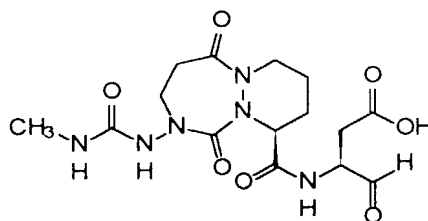
1018



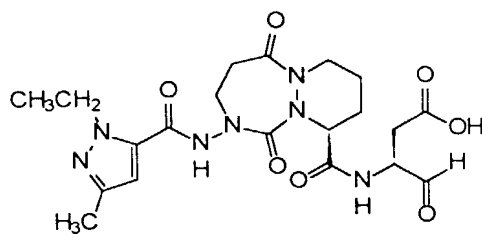
1019



1020

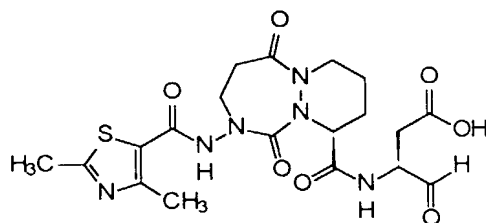


1022



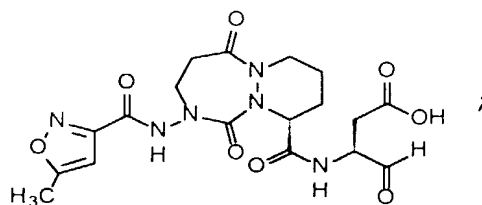
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1023

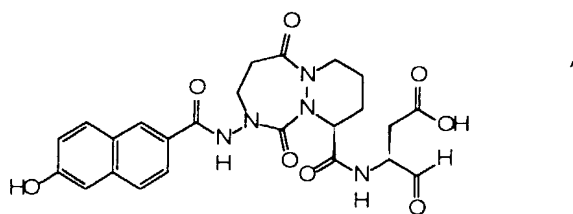


- 839 -

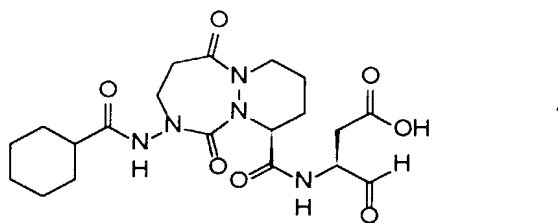
1024



1025

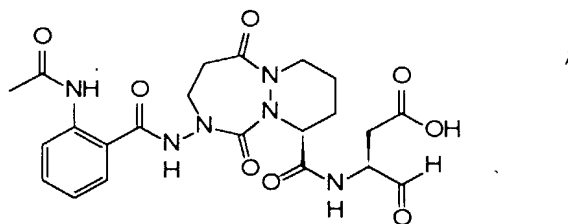


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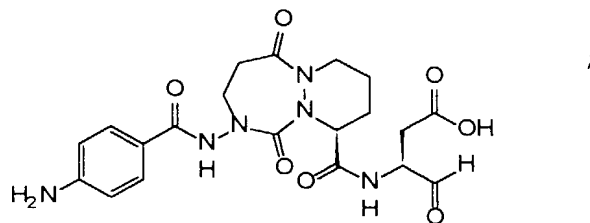


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1030

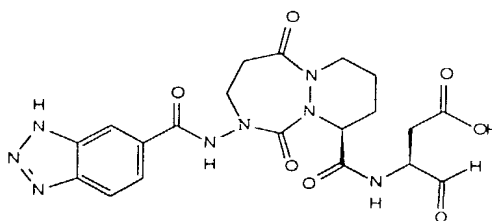


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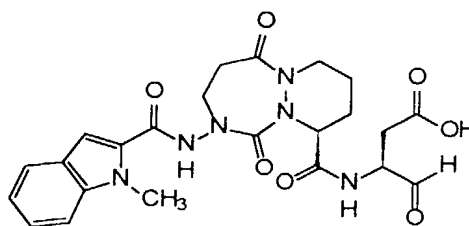
- 840 -

1032



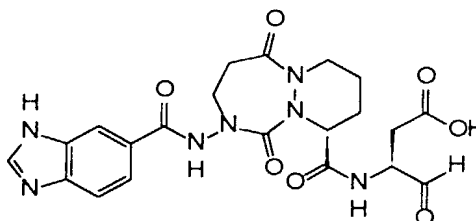
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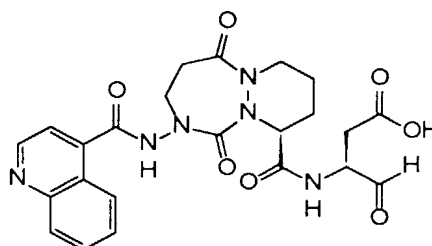
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1034



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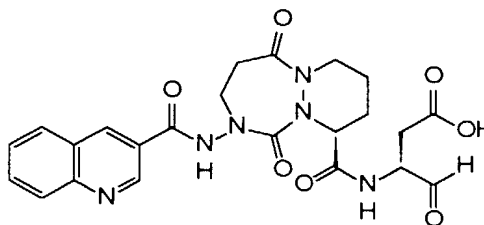
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1036

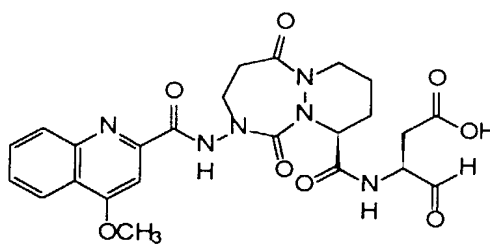


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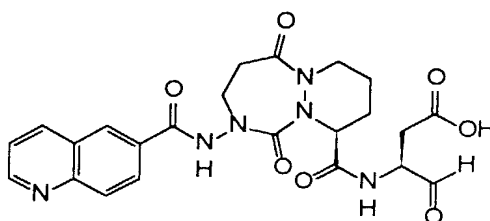
- 841 -

1037



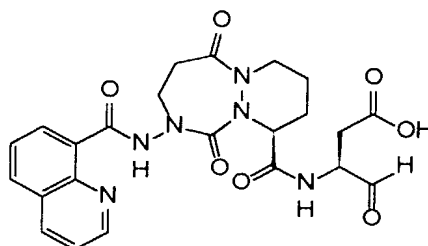
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1038



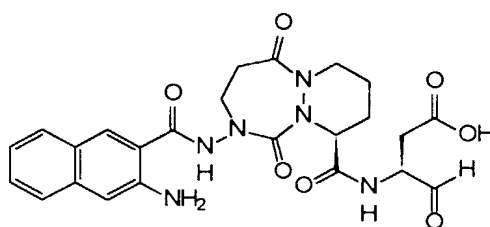
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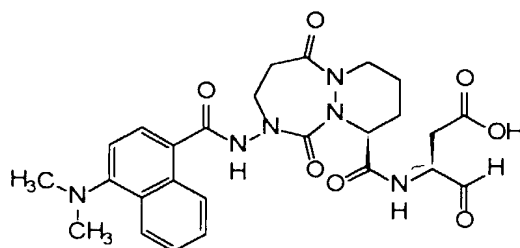
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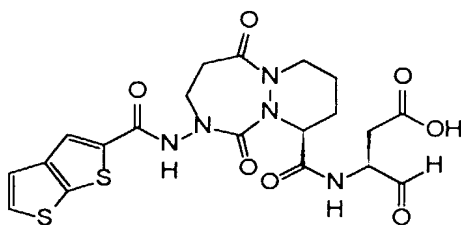
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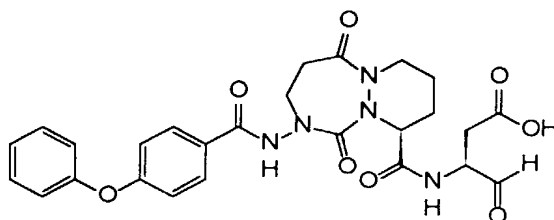
- 842 -

1042



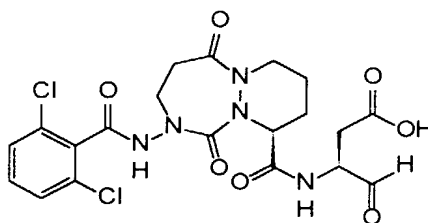
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1043



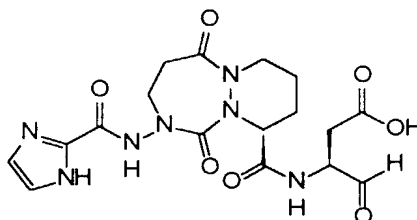
;

1044



;

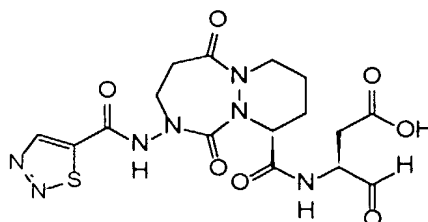
1045



;

5

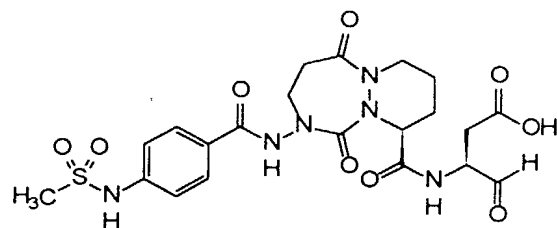
1046



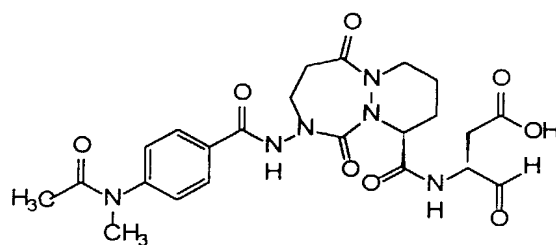
;

- 843 -

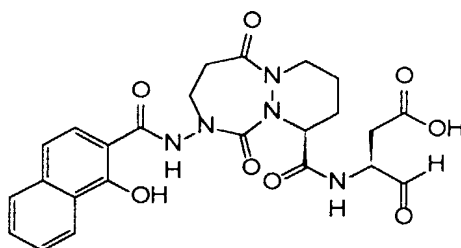
1047



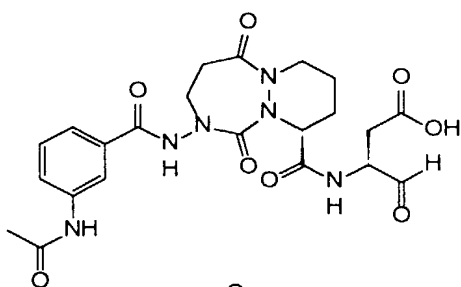
1048



1049

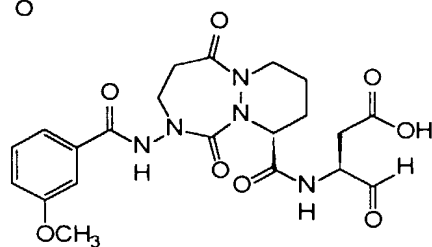


1050

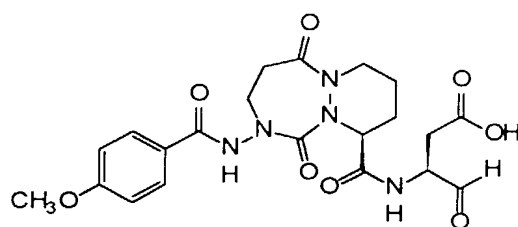


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1051

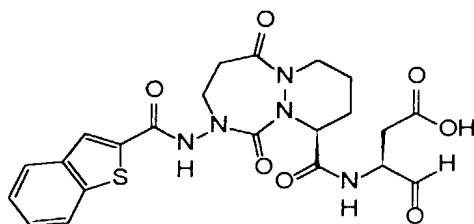


1052



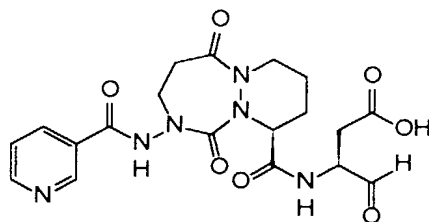
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1053



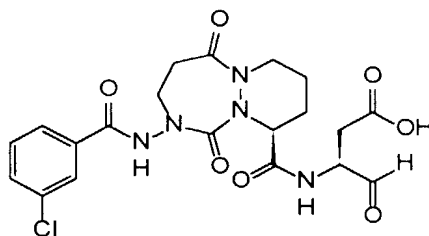
;

1054



;

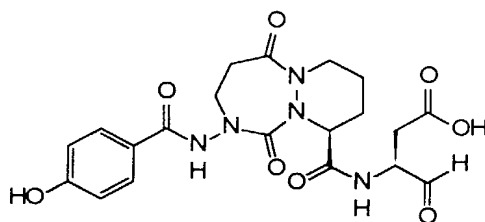
1055



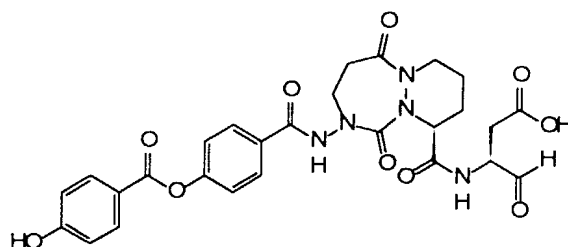
;

- 845 -

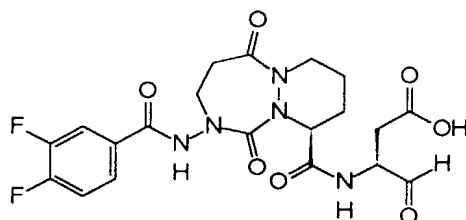
1056



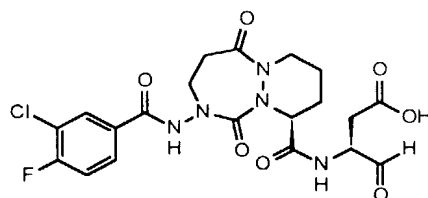
1057



1058

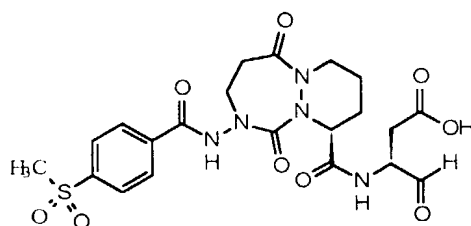


1059



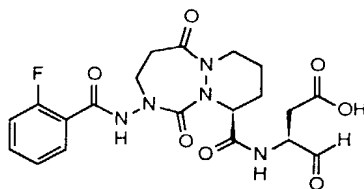
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1060



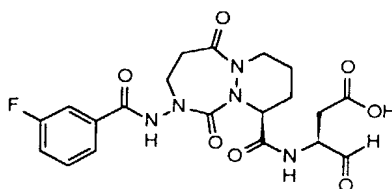
- 846 -

1061



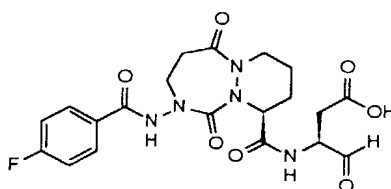
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1062



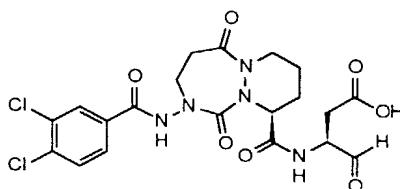
;

1063



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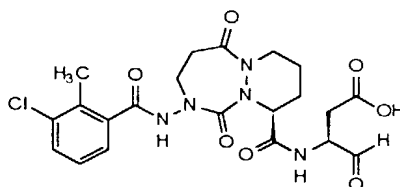
1064



;

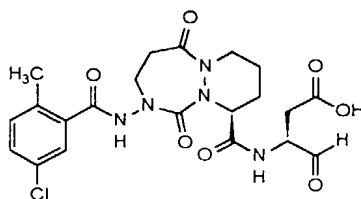
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1065



;

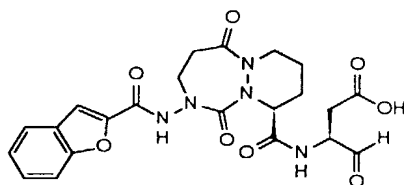
1066



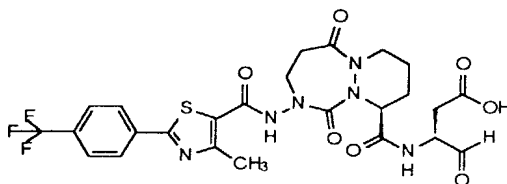
;

- 847 -

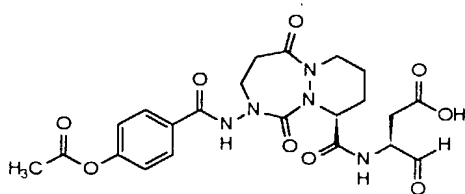
1067



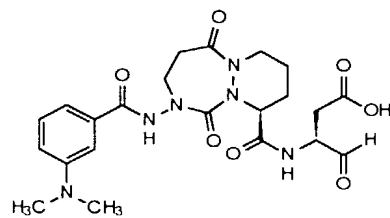
1068



1069

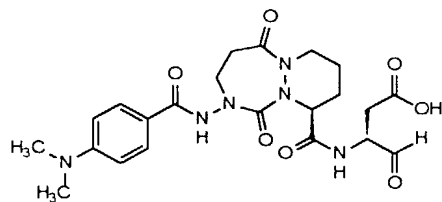


1070



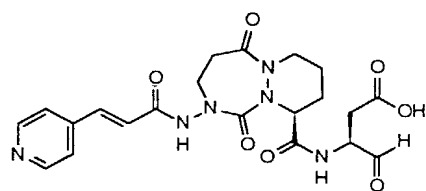
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1071



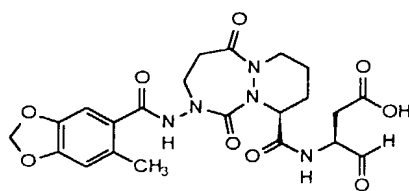
- 848 -

1072



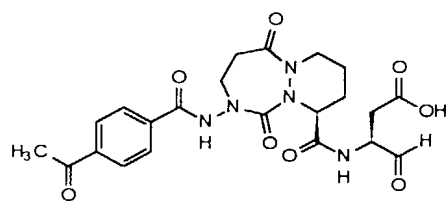
;

1073



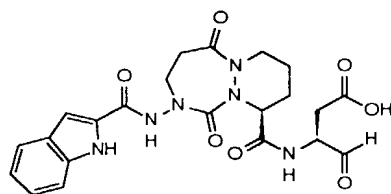
;

1074



;

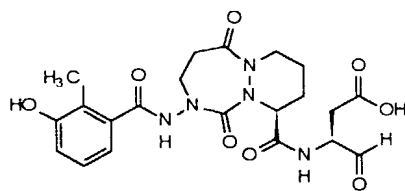
1075



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1076



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O=C(O)C(=O)NC(=O)N1CC2C(=O)N(CCN2C1=O)C(=O)Nc3ccc(O)cc3CN4CCOCC4

2

NC(=O)c1ccc(cc1)S(=O)(=O)c2ccc(cc2)C(=O)N3C(=O)N4C(=O)N(C(=O)N5C(=O)C(=O)O5)C(=O)N34*i*OCC1=CC=C(C=C1)C(=O)NC2=NC(=O)N3C(=O)N(C(=O)N4C(=O)C(=O)O4)C23

;

CC(=O)Nc1ccc(cc1NC(=O)C)C(=O)Nc2ccccc2C(=O)Nc3ccccc3C(=O)Nc4ccccc4C(=O)Nc5ccccc5C(=O)Nc6ccccc6C(=O)Nc7ccccc7C(=O)Nc8ccccc8C(=O)Nc9ccccc9C(=O)Nc10ccccc10C(=O)Nc11ccccc11C(=O)Nc12ccccc12C(=O)Nc13ccccc13C(=O)Nc14ccccc14C(=O)Nc15ccccc15C(=O)Nc16ccccc16C(=O)Nc17ccccc17C(=O)Nc18ccccc18C(=O)Nc19ccccc19C(=O)Nc20ccccc20C(=O)Nc21ccccc21C(=O)Nc22ccccc22C(=O)Nc23ccccc23C(=O)Nc24ccccc24C(=O)Nc25ccccc25C(=O)Nc26ccccc26C(=O)Nc27ccccc27C(=O)Nc28ccccc28C(=O)Nc29ccccc29C(=O)Nc30ccccc30C(=O)Nc31ccccc31C(=O)Nc32ccccc32C(=O)Nc33ccccc33C(=O)Nc34ccccc34C(=O)Nc35ccccc35C(=O)Nc36ccccc36C(=O)Nc37ccccc37C(=O)Nc38ccccc38C(=O)Nc39ccccc39C(=O)Nc40ccccc40C(=O)Nc41ccccc41C(=O)Nc42ccccc42C(=O)Nc43ccccc43C(=O)Nc44ccccc44C(=O)Nc45ccccc45C(=O)Nc46ccccc46C(=O)Nc47ccccc47C(=O)Nc48ccccc48C(=O)Nc49ccccc49C(=O)Nc50ccccc50C(=O)Nc51ccccc51C(=O)Nc52ccccc52C(=O)Nc53ccccc53C(=O)Nc54ccccc54C(=O)Nc55ccccc55C(=O)Nc56ccccc56C(=O)Nc57ccccc57C(=O)Nc58ccccc58C(=O)Nc59ccccc59C(=O)Nc60ccccc60C(=O)Nc61ccccc61C(=O)Nc62ccccc62C(=O)Nc63ccccc63C(=O)Nc64ccccc64C(=O)Nc65ccccc65C(=O)Nc66ccccc66C(=O)Nc67ccccc67C(=O)Nc68ccccc68C(=O)Nc69ccccc69C(=O)Nc70ccccc70C(=O)Nc71ccccc71C(=O)Nc72ccccc72C(=O)Nc73ccccc73C(=O)Nc74ccccc74C(=O)Nc75ccccc75C(=O)Nc76ccccc76C(=O)Nc77ccccc77C(=O)Nc78ccccc78C(=O)Nc79ccccc79C(=O)Nc80ccccc80C(=O)Nc81ccccc81C(=O)Nc82ccccc82C(=O)Nc83ccccc83C(=O)Nc84ccccc84C(=O)Nc85ccccc85C(=O)Nc86ccccc86C(=O)Nc87ccccc87C(=O)Nc88ccccc88C(=O)Nc89ccccc89C(=O)Nc90ccccc90C(=O)Nc91ccccc91C(=O)Nc92ccccc92C(=O)Nc93ccccc93C(=O)Nc94ccccc94C(=O)Nc95ccccc95C(=O)Nc96ccccc96C(=O)Nc97ccccc97C(=O)Nc98ccccc98C(=O)Nc99ccccc99C(=O)Nc100ccccc100C(=O)Nc101ccccc101C(=O)Nc102ccccc102C(=O)Nc103ccccc103C(=O)Nc104ccccc104C(=O)Nc105ccccc105C(=O)Nc106ccccc106C(=O)Nc107ccccc107C(=O)Nc108ccccc108C(=O)Nc109ccccc109C(=O)Nc110ccccc110C(=O)Nc111ccccc111C(=O)Nc112ccccc112C(=O)Nc113ccccc113C(=O)Nc114ccccc114C(=O)Nc115ccccc115C(=O)Nc116ccccc116C(=O)Nc117ccccc117C(=O)Nc118ccccc118C(=O)Nc119ccccc119C(=O)Nc120ccccc120C(=O)Nc121ccccc121C(=O)Nc122ccccc122C(=O)Nc123ccccc123C(=O)Nc124ccccc124C(=O)Nc125ccccc125C(=O)Nc126ccccc126C(=O)Nc127ccccc127C(=O)Nc128ccccc128C(=O)Nc129ccccc129C(=O)Nc130ccccc130C(=O)Nc131ccccc131C(=O)Nc132ccccc132C(=O)Nc133ccccc133C(=O)Nc134ccccc134C(=O)Nc135ccccc135C(=O)Nc136ccccc136C(=O)Nc137ccccc137C(=O)Nc138ccccc138C(=O)Nc139ccccc139C(=O)Nc140ccccc140C(=O)Nc141ccccc141C(=O)Nc142ccccc142C(=O)Nc143ccccc143C(=O)Nc144ccccc144C(=O)Nc145ccccc145C(=O)Nc146ccccc146C(=O)Nc147ccccc147C(=O)Nc148ccccc148C(=O)Nc149ccccc149C(=O)Nc150ccccc150C(=O)Nc151ccccc151C(=O)Nc152ccccc152C(=O)Nc153ccccc153C(=O)Nc154ccccc154C(=O)Nc155ccccc155C(=O)Nc156ccccc156C(=O)Nc157ccccc157C(=O)Nc158ccccc158C(=O)Nc159ccccc159C(=O)Nc160ccccc160C(=O)Nc161ccccc161C(=O)Nc162ccccc162C(=O)Nc163ccccc163C(=O)Nc164ccccc164C(=O)Nc165ccccc165C(=O)Nc166ccccc166C(=O)Nc167ccccc167C(=O)Nc168ccccc168C(=O)Nc169ccccc169C(=O)Nc170ccccc170C(=O)Nc171ccccc171C(=O)Nc172ccccc172C(=O)Nc173ccccc173C(=O)Nc174ccccc174C(=O)Nc175ccccc175C(=O)Nc176ccccc176C(=O)Nc177ccccc177C(=O)Nc178ccccc178C(=O)Nc179ccccc179C(=O)Nc180ccccc180C(=O)Nc181ccccc181C(=O)Nc182ccccc182C(=O)Nc183ccccc183C(=O)Nc184ccccc184C(=O)Nc185ccccc185C(=O)Nc186ccccc186C(=O)Nc187ccccc187C(=O)Nc188ccccc188C(=O)Nc189ccccc189C(=O)Nc190ccccc190C(=O)Nc191ccccc191C(=O)Nc192ccccc192C(=O)Nc193ccccc193C(=O)Nc194ccccc194C(=O)Nc195ccccc195C(=O)Nc196ccccc196C(=O)Nc197ccccc197C(=O)Nc198ccccc198C(=O)Nc199ccccc199C(=O)Nc200ccccc200C(=O)Nc201ccccc201C(=O)Nc202ccccc202C(=O)Nc203ccccc203C(=O)Nc204ccccc204C(=O)Nc205ccccc205C(=O)Nc206ccccc206C(=O)Nc207ccccc207C(=O)Nc208ccccc208C(=O)Nc209ccccc209C(=O)Nc210ccccc210C(=O)Nc211ccccc211C(=O)Nc212ccccc212C(=O)Nc213ccccc213C(=O)Nc214ccccc214C(=O)Nc215ccccc215C(=O)Nc216ccccc216C(=O)Nc217ccccc217C(=O)Nc218ccccc218C(=O)Nc219ccccc219C(=O)Nc220ccccc220C(=O)Nc221ccccc221C(=O)Nc222ccccc222C(=O)Nc223ccccc223C(=O)Nc224ccccc224C(=O)Nc225ccccc225C(=O)Nc226ccccc226C(=O)Nc227ccccc227C(=O)Nc228ccccc228C(=O)Nc229ccccc229C(=O)Nc230ccccc230C(=O)Nc231ccccc231C(=O)Nc232ccccc232C(=O)Nc233ccccc233C(=O)Nc234ccccc234C(=O)Nc235ccccc235C(=O)Nc236ccccc236C(=O)Nc237ccccc237C(=O)Nc238ccccc238C(=O)Nc239ccccc239C(=O)Nc240ccccc240C(=O)Nc241ccccc241C(=O)Nc242ccccc242C(=O)Nc243ccccc243C(=O)Nc244ccccc244C(=O)Nc245ccccc245C(=O)Nc246ccccc246C(=O)Nc247ccccc247C(=O)Nc248ccccc248C(=O)Nc249ccccc249C(=O)Nc250ccccc250C(=O)Nc251ccccc251C(=O)Nc252ccccc252C(=O)Nc253ccccc253C(=O)Nc254ccccc254C(=O)Nc255ccccc255C(=O)Nc256ccccc256C(=O)Nc257ccccc257C(=O)Nc258ccccc258C(=O)Nc259ccccc259C(=O)Nc260ccccc260C(=O)Nc261ccccc261C(=O)Nc262ccccc262C(=O)Nc263ccccc263C(=O)Nc264ccccc264C(=O)Nc265ccccc265C(=O)Nc266ccccc266C(=O)Nc267ccccc267C(=O)Nc268ccccc268C(=O)Nc269ccccc269C(=O)Nc270ccccc270C(=O)Nc271ccccc271C(=O)Nc272ccccc272C(=O)Nc273ccccc273C(=O)Nc274ccccc274C(=O)Nc275ccccc275C(=O)Nc276ccccc276C(=O)Nc277ccccc277C(=O)Nc278ccccc278C(=O)Nc279ccccc279C(=O)Nc280ccccc280C(=O)Nc281ccccc281C(=O)Nc282ccccc282C(=O)Nc283ccccc283C(=O)Nc284ccccc284C(=O)Nc285ccccc285C(=O)Nc286ccccc286C(=O)Nc287ccccc

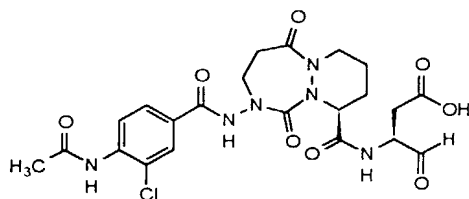
;

Nc1ccc(cc1C(=O)N2C(=O)N3CCCC3C(=O)N2C(=O)NC(=O)C(=O)O)C

i

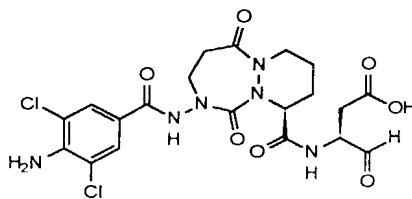
- 850 -

1081s



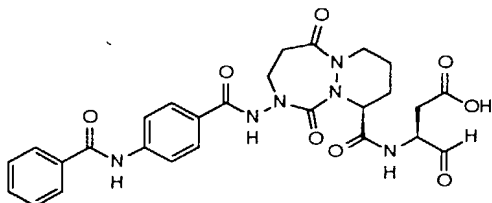
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1082



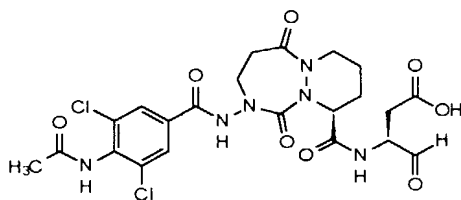
;

1083



;

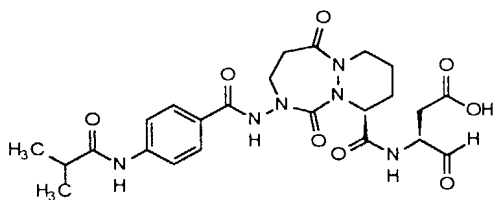
1082s



;

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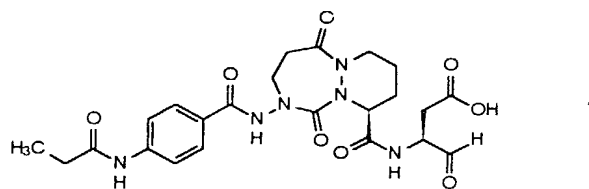
1084



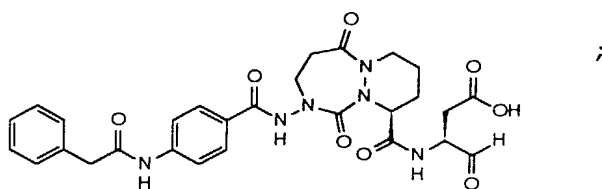
;

- 851 -

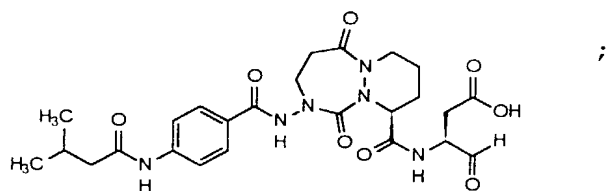
1085



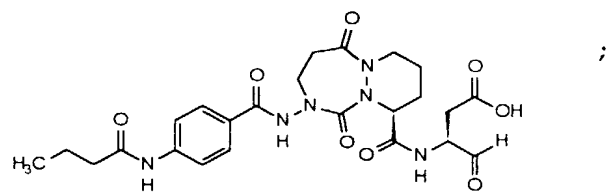
1086



1087

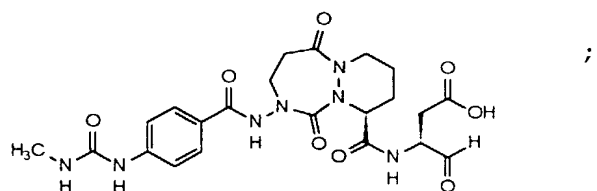


1088



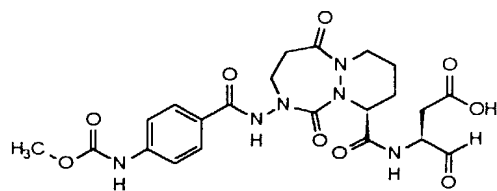
5

1089



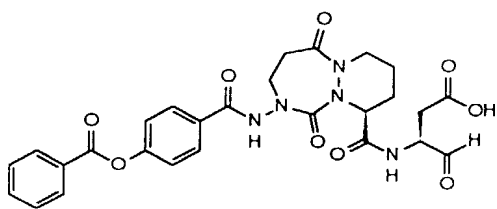
- 852 -

1090



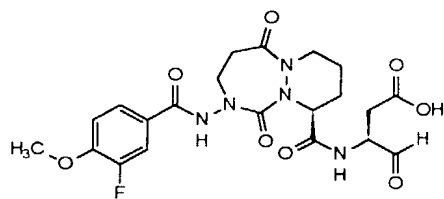
;

1091



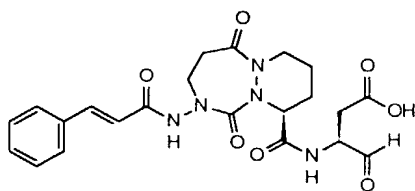
;

1093



;

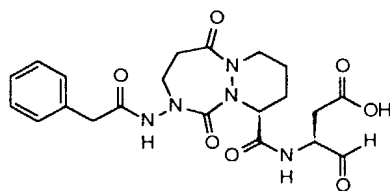
1094



;

5

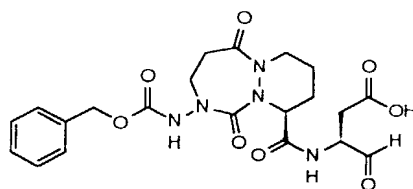
1095



;

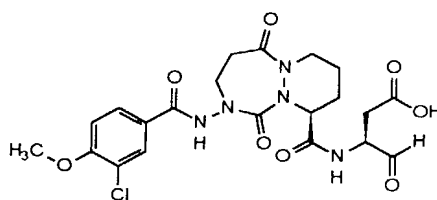
- 853 -

1096



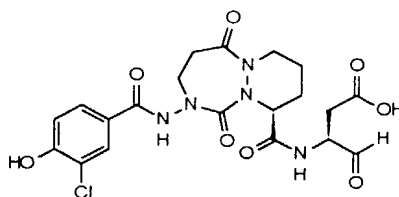
;

1097



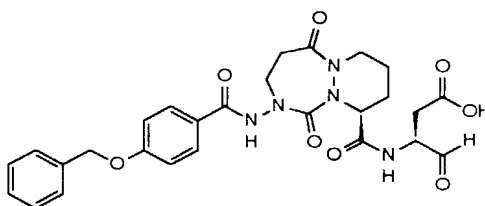
;

1098



; and

1099

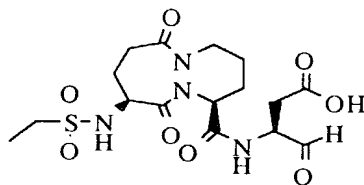


5

41. The compound according to claim 33  
selected from the group consisting of:

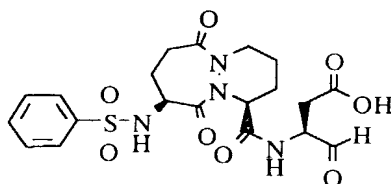
- 854 -

421



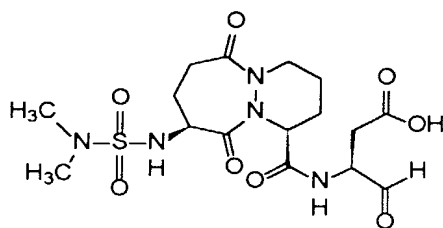
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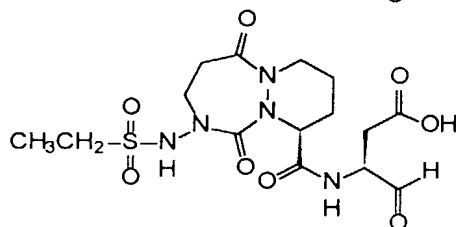
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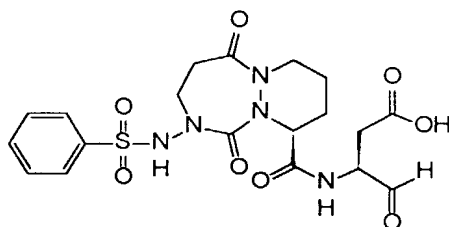
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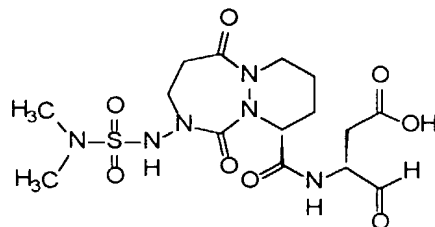
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1027



; and

1028



.

42. A pharmaceutical composition comprising

- 855 -

an ICE inhibitor according to any one of claims 1-41 and 57-135 in an amount effective for treating or preventing an IL-1-mediated disease and a pharmaceutically acceptable carrier.

5           43. A pharmaceutical composition comprising an ICE inhibitor according to any one of claims 1-41 and 57-135 in an amount effective for treating or preventing an apoptosis-mediated disease and a pharmaceutically acceptable carrier.

10           44. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is an inflammatory disease selected from the group consisting of osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, and adult respiratory distress  
15 syndrome.

45. The pharmaceutical composition according to claim 44, wherein the inflammatory disease is osteoarthritis or acute pancreatitis.

20           46. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is an autoimmune disease selected from the group consisting of glomerulonephritis, rheumatoid arthritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Grave's disease, autoimmune gastritis, insulin-  
25 dependent diabetes mellitus (Type I), autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, chronic active hepatitis, myasthenia gravis, inflammatory bowel disease, Crohn's disease, psoriasis, and graft vs host disease.

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47. The pharmaceutical composition according to claim 46, wherein the autoimmune disease is rheumatoid arthritis, inflammatory bowel disease, or Crohn's disease, or psoriasis.

5                   48. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a destructive bone disorder selected from the group consisting of osteoporosis or multiple myeloma-related bone disorder.

10                   49. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a proliferative disorder selected from the group consisting of acute myelogenous leukemia, chronic myelogenous leukemia, metastatic melanoma, Kaposi's  
15                   sarcoma, and multiple myeloma.

50. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is an infectious disease, selected from the group consisting of sepsis, septic shock, and Shigellosis.

20                   51. The pharmaceutical composition according to claim 42, wherein the IL-1-mediated disease is a degenerative or necrotic disease, selected from the group consisting of Alzheimer's disease, Parkinson's disease, cerebral ischemia, and myocardial ischemia.

25                   52. The pharmaceutical composition according to claim 51, wherein the degenerative disease is Alzheimer's disease.

53. The pharmaceutical composition according



- 857 -

to claim 43, wherein the apoptosis-mediated disease is a degenerative disease, selected from the group consisting of Alzheimer's disease, Parkinson's disease, cerebral ischemia, myocardial ischemia, spinal muscular atrophy, multiple sclerosis, AIDS-related encephalitis, HIV-related encephalitis, aging, alopecia, and neurological damage due to stroke.

54. A pharmaceutical composition for inhibiting an ICE-mediated function comprising an ICE inhibitor according to any one of claims 1-41 and 57-135 and a pharmaceutically acceptable carrier.

55. A method for treating or preventing a disease selected from the group consisting of an IL-1 mediated disease, an apoptosis mediated disease, an inflammatory disease, an autoimmune disease, a destructive bone disorder, a proliferative disorder, an infectious disease, a degenerative disease, a necrotic disease, osteoarthritis, pancreatitis, asthma, adult respiratory distress syndrome, glomerulonephritis, rheumatoid arthritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Grave's disease, autoimmune gastritis, insulin-dependent diabetes mellitus (Type I), autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, chronic active hepatitis, myasthenia gravis, inflammatory bowel disease, Crohn's disease, psoriasis, graft vs host disease, osteoporosis, multiple myeloma-related bone disorder, acute myelogenous leukemia, chronic myelogenous leukemia, metastatic melanoma, Kaposi's sarcoma, multiple myeloma, sepsis, septic shock, Shigellosis, Alzheimer's disease, Parkinson's disease, cerebral ischemia, myocardial ischemia, spinal muscular

- 858 -

atrophy, multiple sclerosis, AIDS-related encephalitis, HIV-related encephalitis, aging, alopecia, and neurological damage due to stroke in a patient comprising the step of administering to said patient a pharmaceutical composition according to any one of

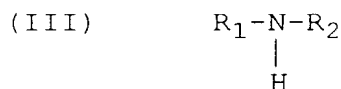
5

claims 42 to 54.

56. The method according to claim 55, wherein the disease is selected from the group consisting of osteoarthritis, acute pancreatitis, rheumatoid arthritis, inflammatory bowel disease, Crohn's disease, psoriasis, and Alzheimer's disease.

10

57. A compound represented by the formula:



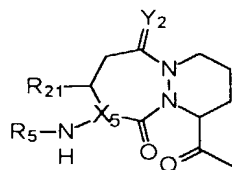
15

wherein:

$R_1$  is selected from the group consisting of the following formulae:

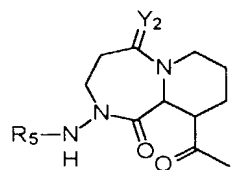
(e10)

20



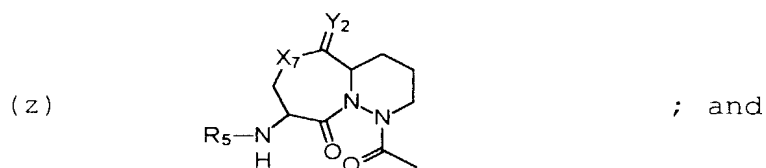
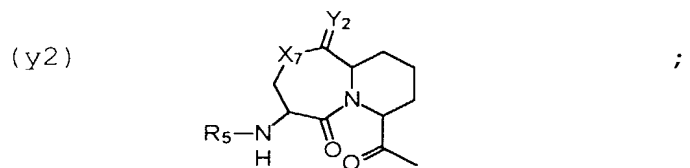
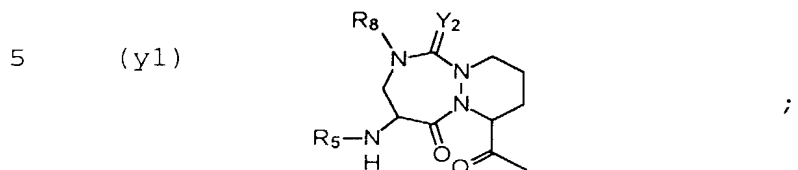
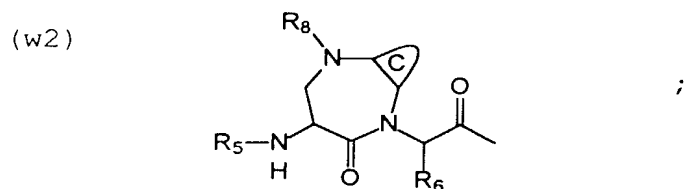
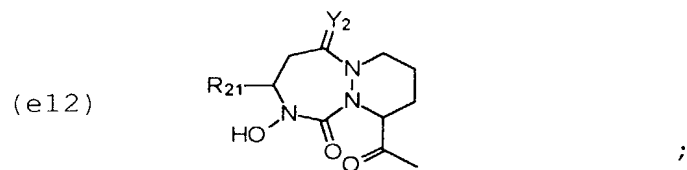
;

(e11)



;

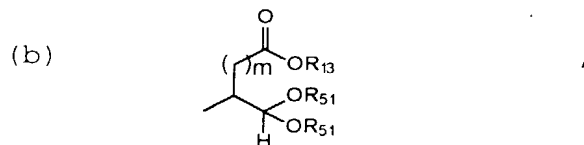
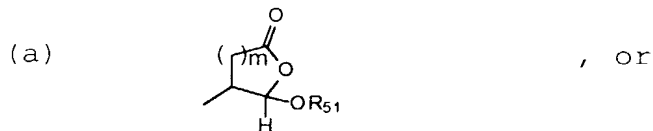
- 859 -



10 ring C is chosen from the group consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl;

R<sub>2</sub> is:

- 860 -



m is 1 or 2;

5 each R<sub>5</sub> is independently selected from the group consisting of:

- C(O)-R<sub>10</sub>,
- C(O)O-R<sub>9</sub>,
- C(O)-N(R<sub>10</sub>)(R<sub>10</sub>)
- 10 -S(O)<sub>2</sub>-R<sub>9</sub>,
- S(O)<sub>2</sub>-NH-R<sub>10</sub>,
- C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,
- C(O)C(O)-R<sub>10</sub>,
- R<sub>9</sub>,
- 15 -H,
- C(O)C(O)-OR<sub>10</sub>, and
- C(O)C(O)-N(R<sub>9</sub>)(R<sub>10</sub>);

X<sub>5</sub> is CH or N;

20

Y<sub>2</sub> is H<sub>2</sub> or O;

X<sub>7</sub> is -N(R<sub>8</sub>)- or -O-;

25 R<sub>6</sub> is selected from the group consisting of -H and -CH<sub>3</sub>;

- 861 -

$R_8$  is selected from the group consisting of:

- C(O)- $R_{10}$ ,
- C(O)O- $R_9$ ,
- C(O)-N(H)- $R_{10}$ ,
- 5       -S(O)<sub>2</sub>- $R_9$ ,
- S(O)<sub>2</sub>-NH- $R_{10}$ ,
- C(O)-CH<sub>2</sub>-OR<sub>10</sub>,
- C(O)C(O)- $R_{10}$ ;
- C(O)-CH<sub>2</sub>N( $R_{10}$ )( $R_{10}$ ),
- 10       -C(O)-CH<sub>2</sub>C(O)-O- $R_9$ ,
- C(O)-CH<sub>2</sub>C(O)- $R_9$ ,
- H, and
- C(O)-C(O)-OR<sub>10</sub>;

each  $R_9$  is independently selected from the group  
 15 consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched  
 alkyl group optionally substituted with -Ar<sub>3</sub>, wherein  
 the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

each  $R_{10}$  is independently selected from the group  
 consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a  
 20 -C<sub>1-6</sub> straight or branched alkyl group optionally  
 substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is  
 optionally unsaturated;

$R_{13}$  is selected from the group consisting of H,  
 Ar<sub>3</sub>, and a -C<sub>1-6</sub> straight or branched alkyl group  
 25 optionally substituted with -Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH,  
 -OR<sub>9</sub>, or -CO<sub>2</sub>H;

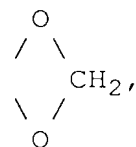
each  $R_{51}$  is independently selected from the group  
 consisting of  $R_9$ , -C(O)- $R_9$ , -C(O)-N(H)- $R_9$ , or each  $R_{51}$   
 taken together forms a saturated 4-8 member carbocyclic  
 30 ring or heterocyclic ring containing -O-, -S-, or -NH-;

- 862 -

each  $R_{21}$  is independently selected from the group consisting of -H or a  $-C_{1-6}$  straight or branched alkyl group;

each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-,  $SO_2$ , =N-, and -NH-, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ , -Cl, -F, -Br, -I,  $-NO_2$ , -CN, =O, -OH, -perfluoro  $C_{1-3}$  alkyl,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-N(R_9)(R_{10})$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and



provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

58. The compound according to claim 57, wherein  $R_1$  is (w2).

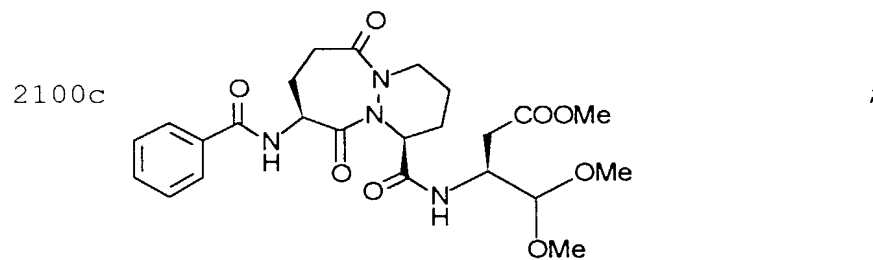
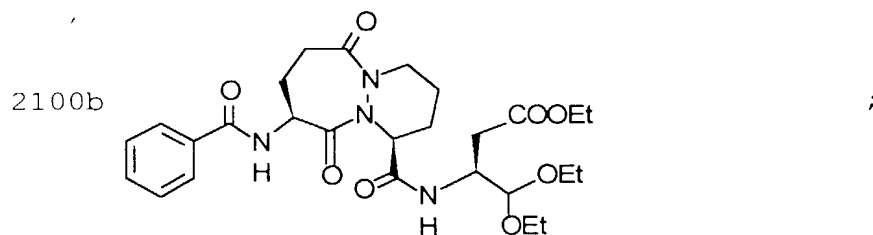
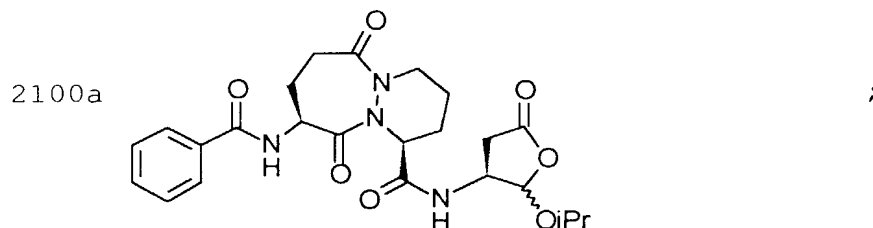
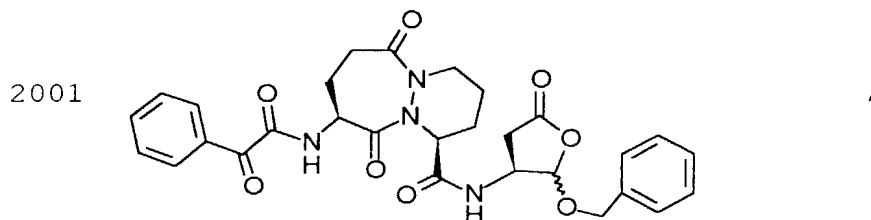
59. The compound according to claim 57,

- 863 -

wherein  $R_1$  is (e10) and  $X_5$  is CH.

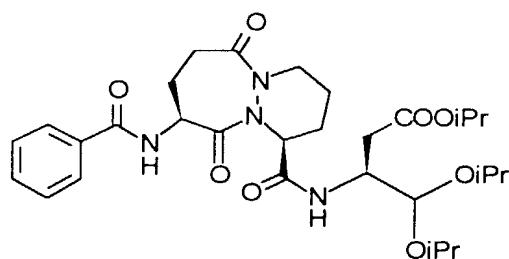
60. The compound according to claim 57,  
wherein  $R_1$  is (e10) and  $X_5$  is N.

61. The compound according to claim 57,  
5 selected from the group consisting of:



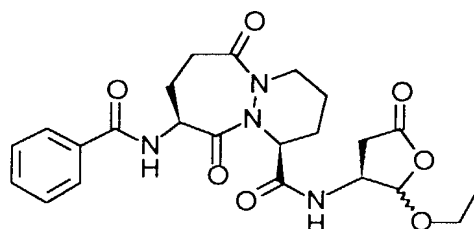
- 864 -

2100d



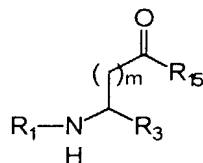
; and

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62. A compound represented by the formula:

(IV)

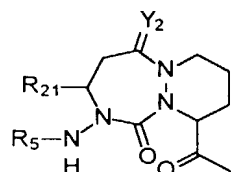


5 wherein:

m is 1 or 2;

R<sub>1</sub> is selected from the group consisting of the following formulae:

10 (e10-A)

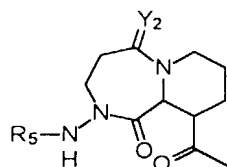


;



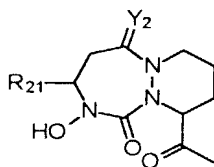
- 865 -

(e11)



;

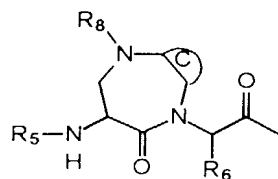
(e12)



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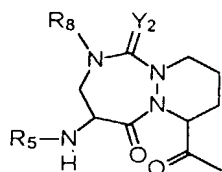
5

(w2)



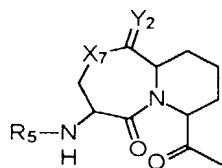
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(y1)



;

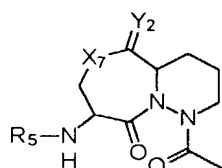
(y2)



; and

10

(z)



;

ring C is chosen from the group consisting of  
 15 benzo, pyrido, thieno, pyrrolo, furano, thiazolo,  
 isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo,

- 866 -

cyclopentyl, and cyclohexyl;

$R_3$  is selected from the group consisting of:

- CN,
- C(O)-H,
- 5        -C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>,
- C(O)-CH<sub>2</sub>-F,
- C=N-O-R<sub>9</sub>, and
- CO-Ar<sub>2</sub>;

each  $R_5$  is independently selected from the group  
10        consisting of:

- C(O)-R<sub>10</sub>,
- C(O)O-R<sub>9</sub>,
- C(O)-N(R<sub>10</sub>)(R<sub>10</sub>)
- S(O)<sub>2</sub>-R<sub>9</sub>,
- 15        -S(O)<sub>2</sub>-NH-R<sub>10</sub>,
- C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,
- C(O)C(O)-R<sub>10</sub>,
- R<sub>9</sub>,
- H,
- 20        -C(O)C(O)-OR<sub>10</sub>, and
- C(O)C(O)-N(R<sub>9</sub>)(R<sub>10</sub>);

$Y_2$  is H<sub>2</sub> or O;

$X_7$  is -N(R<sub>8</sub>)- or -O-;

25        each T<sub>1</sub> is independently selected from the group  
consisting of -O-, -S-, -S(O)-, and -S(O)<sub>2</sub>-;

$R_6$  is selected from the group consisting of -H and  
-CH<sub>3</sub>;

30         $R_8$  is selected from the group consisting of:

5

-C(O)-R<sub>10</sub>,  
-C(O)O-R<sub>9</sub>,  
-C(O)-NH-R<sub>10</sub>,  
-S(O)<sub>2</sub>-R<sub>9</sub>,  
-S(O)<sub>2</sub>-NH-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-OR<sub>10</sub>,  
-C(O)C(O)-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-N(R<sub>10</sub>)(R<sub>10</sub>),  
-C(O)-CH<sub>2</sub>C(O)-O-R<sub>9</sub>,  
10 -C(O)-CH<sub>2</sub>C(O)-R<sub>9</sub>,  
-H, and  
-C(O)-C(O)-OR<sub>10</sub>;

each R<sub>9</sub> is independently selected from the group consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein  
15 the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

each R<sub>10</sub> is independently selected from the group consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

each R<sub>11</sub> is independently selected from the group consisting of:

25        -Ar<sub>4</sub>,  
          - (CH<sub>2</sub>)<sub>1-3</sub>-Ar<sub>4</sub>,  
          -H, and  
          -C(O)-Ar<sub>4</sub>;

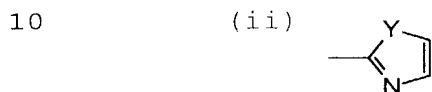
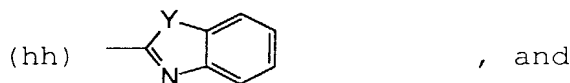
R<sub>15</sub> is selected from the group consisting of -OH, -OAr<sub>3</sub>, -N(H)-OH, and -OC<sub>1-6</sub>, wherein C<sub>1-6</sub> is a straight or branched alkyl group optionally substituted with

- 868 -

-Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH, -OR<sub>9</sub>, or -CO<sub>2</sub>H;

each R<sub>21</sub> is independently selected from the group consisting of -H or a -C<sub>1-6</sub> straight or branched alkyl group;

5 Ar<sub>2</sub> is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by -Q<sub>1</sub> or phenyl, optionally substituted by Q<sub>1</sub>:



wherein each Y is independently selected from the group consisting of O and S;

each Ar<sub>3</sub> is a cyclic group independently selected  
 15 from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom  
 20 group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or  
 25 multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> is a cyclic group independently selected

- 869 -

from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said  
5 heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,  
10 and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN, =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>,  
15 -N(R<sub>9</sub>)(R<sub>10</sub>), -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and 
$$\begin{array}{c} \text{O} \\ / \quad \backslash \\ \text{CH}_2; \\ \backslash \quad / \\ \text{O} \end{array}$$

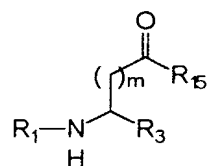
20 provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub> group which comprises one or more additional -Ar<sub>3</sub> groups, said additional -Ar<sub>3</sub> groups are not substituted with another -Ar<sub>3</sub>.

63. The compound according to claim 62,  
25 wherein R<sub>1</sub> is (w2).

64. The compound according to claim 62,  
wherein R<sub>1</sub> is (e10-A).

65. A compound represented by the formula:

(V)

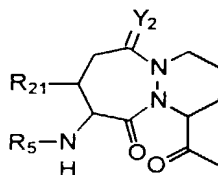


wherein:

$m$  is 1 or 2;

5  $R_1$  is:

(e10-B)



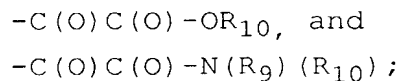
$R_3$  is selected from the group consisting of:

- 10  $\text{-CN,}$   
 $\text{-C(O)-H,}$   
 $\text{-C(O)-CH}_2\text{-T}_1\text{-R}_{11},$   
 $\text{-C(O)-CH}_2\text{-F,}$   
 $\text{-C=N-O-R}_9,$  and  
15  $\text{-CO-Ar}_2;$

each  $R_5$  is independently selected from the group consisting of:

- |    |   |
|----|---|
|    | -C(O)-R <sub>10</sub> ,                     |
|    | -C(O)O-R <sub>9</sub> ,                     |
| 20 | -C(O)-N(R <sub>10</sub> )(R <sub>10</sub> ) |
|    | -S(O) <sub>2</sub> -R <sub>9</sub> ,        |
|    | -S(O) <sub>2</sub> -NH-R <sub>10</sub> ,    |
|    | -C(O)-CH <sub>2</sub> -O-R <sub>9</sub> ,   |
|    | -C(O)C(O)-R <sub>10</sub> ,                 |
| 25 | -R <sub>9</sub> ,                           |
|    | -H,   |

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$\text{Y}_2$  is  $\text{H}_2$  or  $\text{O}$ ;

5        each  $\text{T}_1$  is independently selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ , and  $-\text{S}(\text{O})_2-$ ;

10        each  $\text{R}_9$  is independently selected from the group consisting of  $-\text{Ar}_3$  and a  $-\text{C}_{1-6}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

15        each  $\text{R}_{10}$  is independently selected from the group consisting of  $-\text{H}$ ,  $-\text{Ar}_3$ , a  $-\text{C}_{3-6}$  cycloalkyl group, and a  $-\text{C}_{1-6}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

      each  $\text{R}_{11}$  is independently selected from the group consisting of:

20         $-\text{Ar}_4$ ,  
       $-(\text{CH}_2)_{1-3}-\text{Ar}_4$ ,  
       $-\text{H}$ , and  
       $-\text{C}(\text{O})-\text{Ar}_4$ ;

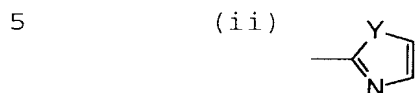
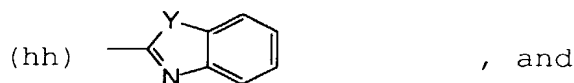
25         $\text{R}_{15}$  is selected from the group consisting of  $-\text{OH}$ ,  $-\text{OAr}_3$ ,  $-\text{N}(\text{H})-\text{OH}$ , and  $-\text{OC}_{1-6}$ , wherein  $\text{C}_{1-6}$  is a straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ ,  $-\text{CONH}_2$ ,  $-\text{OR}_5$ ,  $-\text{OH}$ ,  $-\text{OR}_9$ , or  $-\text{CO}_2\text{H}$ ;

$\text{R}_{21}$  is  $-\text{CH}_3$ ;

$\text{Ar}_2$  is independently selected from the following

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group, in which any ring may optionally be singly or multiply substituted by  $-Q_1$  or phenyl, optionally substituted by  $Q_1$ :



wherein each Y is independently selected from the group consisting of O and S;

each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ , and  $-NH-$ ,  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Ar_4$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ ,  $-NH-$ ,  $-N(R_5)-$ , and  $-N(R_9)-$  said heterocycle group optionally

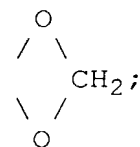


- 873 -

containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

5 each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-N(R_9)(R_{10})$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and

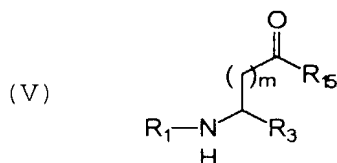
10



provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

15

66. A compound represented by the formula:

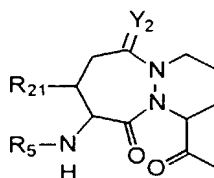


wherein:

20 m is 1 or 2;

$R_1$  is:

(e10-B)



;

25  $R_3$  is selected from the group consisting of:  
 $-CN$ ,

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5                   -C(O)-H,  
                  -C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>,  
                  -C(O)-CH<sub>2</sub>-F,  
                  -C=N-O-R<sub>9</sub>, and  
                  -CO-Ar<sub>2</sub>;

each R<sub>5</sub> is -C(O)C(O)-OR<sub>10</sub>;

Y<sub>2</sub> is H<sub>2</sub> or O;

10           each T<sub>1</sub> is independently selected from the group  
consisting of -O-, -S-, -S(O)-, and -S(O)<sub>2</sub>-;

each R<sub>9</sub> is independently selected from the group  
consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched  
alkyl group optionally substituted with -Ar<sub>3</sub>, wherein  
the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

15           each R<sub>10</sub> is independently selected from the group  
consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a  
-C<sub>1-6</sub> straight or branched alkyl group optionally  
substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is  
optionally unsaturated;

20           each R<sub>11</sub> is independently selected from the group  
consisting of:

                  -Ar<sub>4</sub>,  
                  -(CH<sub>2</sub>)<sub>1-3</sub>-Ar<sub>4</sub>,  
                  -H, and  
25           -C(O)-Ar<sub>4</sub>;

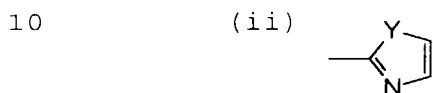
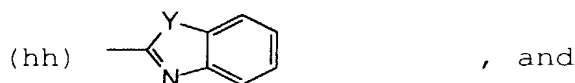
R<sub>15</sub> is selected from the group consisting of -OH,  
-OAr<sub>3</sub>, -N(H)-OH, and -OC<sub>1-6</sub>, wherein C<sub>1-6</sub> is a straight  
or branched alkyl group optionally substituted with

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$-\text{Ar}_3$ ,  $-\text{CONH}_2$ ,  $-\text{OR}_5$ ,  $-\text{OH}$ ,  $-\text{OR}_9$ , or  $-\text{CO}_2\text{H}$ ;

each  $\text{R}_{21}$  is independently selected from the group consisting of  $-\text{H}$  or a  $-\text{C}_{1-6}$  straight or branched alkyl group;

- 5             $\text{Ar}_2$  is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by  $-\text{Q}_1$  or phenyl, optionally substituted by  $\text{Q}_1$ :



wherein each  $\text{Y}$  is independently selected from the group consisting of  $\text{O}$  and  $\text{S}$ ;

- each  $\text{Ar}_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{SO}-$ ,  $\text{SO}_2$ ,  $=\text{N}-$ , and  $-\text{NH}-$ ,  $-\text{N}(\text{R}_5)-$ , and  $-\text{N}(\text{R}_9)-$  said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-\text{Q}_1$ ;

each  $\text{Ar}_4$  is a cyclic group independently selected

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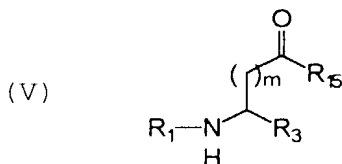
from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said  
 5 heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,  
 10 and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN, =O, -OH, -perfluoro C<sub>1-3</sub> alkyl, R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>,  
 15 -N(R<sub>9</sub>)(R<sub>10</sub>), -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and  $\begin{array}{c} \text{O} \\ / \quad \backslash \\ \text{CH}_2 \\ \backslash \quad / \\ \text{O} \end{array}$

20 provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub> group which comprises one or more additional -Ar<sub>3</sub> groups, said additional -Ar<sub>3</sub> groups are not substituted with another -Ar<sub>3</sub>.

67. The compound according to claim 66,  
 25 wherein R<sub>21</sub> is -CH<sub>3</sub>.

68. A compound represented by the formula:



wherein:

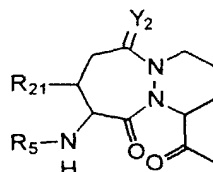
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m is 1 or 2;

R<sub>1</sub> is:

5

(e10-B)



;

R<sub>3</sub> is selected from the group consisting of:

10

- CN,
- C(O)-H,
- C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>,
- C(O)-CH<sub>2</sub>-F,
- C=N-O-R<sub>9</sub>, and
- CO-Ar<sub>2</sub>;

15

each R<sub>5</sub> is independently selected from the group consisting of:

20

- C(O)-R<sub>10</sub>,
- C(O)O-R<sub>9</sub>,
- C(O)-N(R<sub>10</sub>)(R<sub>10</sub>)
- S(O)<sub>2</sub>-R<sub>9</sub>,
- S(O)<sub>2</sub>-NH-R<sub>10</sub>,
- C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,
- C(O)C(O)-R<sub>10</sub>,
- R<sub>9</sub>,
- H,
- C(O)C(O)-OR<sub>10</sub>, and
- C(O)C(O)-N(R<sub>9</sub>)(R<sub>10</sub>);

25

Y<sub>2</sub> is H<sub>2</sub> or O;

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each  $T_1$  is independently selected from the group consisting of -O-, -S-, -S(O)-, and -S(O)<sub>2</sub>-;

5 each  $R_9$  is independently selected from the group consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

10 each  $R_{10}$  is independently selected from the group consisting of -H, -Ar<sub>3</sub>, a -C<sub>3-6</sub> cycloalkyl group, and a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, wherein the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

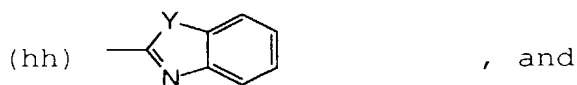
15 each  $R_{11}$  is independently selected from the group consisting of:  
-Ar<sub>4</sub>,  
-(CH<sub>2</sub>)<sub>1-3</sub>-Ar<sub>4</sub>,  
-H, and  
-C(O)-Ar<sub>4</sub>;

20  $R_{15}$  is selected from the group consisting of -OH, -OAr<sub>3</sub>, -N(H)-OH, and -OC<sub>1-6</sub>, wherein C<sub>1-6</sub> is a straight or branched alkyl group optionally substituted with -Ar<sub>3</sub>, -CONH<sub>2</sub>, -OR<sub>5</sub>, -OH, -OR<sub>9</sub>, or -CO<sub>2</sub>H;

25 each  $R_{21}$  is independently selected from the group consisting of -H or a -C<sub>1-6</sub> straight or branched alkyl group;

Ar<sub>2</sub> is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by -Q<sub>1</sub> or phenyl, optionally substituted by Q<sub>1</sub>:

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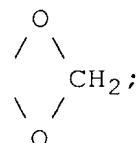
wherein each Y is independently selected from the  
 5 group consisting of O and S;

each Ar<sub>3</sub> is a cyclic group independently selected  
 from the set consisting of an aryl group which contains  
 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings  
 and an aromatic heterocycle group containing between 5  
 10 and 15 ring atoms and between 1 and 3 rings, said  
 heterocyclic group containing at least one heteroatom  
 group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-,  
 -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
 containing one or more double bonds, said heterocycle  
 15 group optionally comprising one or more aromatic rings,  
 and said cyclic group optionally being singly or  
 multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> is a cyclic group independently selected  
 from the set consisting of an aryl group which contains  
 20 6, 10, 12, or 14 carbon atoms and between 1 and 3  
 rings, and a heterocycle group containing between 5 and  
 15 ring atoms and between 1 and 3 rings, said  
 heterocyclic group containing at least one heteroatom  
 group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-,  
 25 -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
 containing one or more double bonds, said heterocycle  
 group optionally comprising one or more aromatic rings,  
 and said cyclic group optionally being singly or  
 multiply substituted by -Q<sub>1</sub>;

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5 each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-N(R_9)(R_{10})$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and



10 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ ;

provided that when:

15  $m$  is 1;  
 $R_{15}$  is  $-OH$ ;  
 $R_{21}$  is  $-H$ ; and

$Y_2$  is  $O$  and  $R_3$  is  $-C(O)-H$ , then  $R_5$  cannot be:  
 $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $-Ar_3$  and the  $Ar_3$  cyclic group is phenyl, unsubstituted by  $-Q_1$ , 4-(carboxymethoxy)phenyl, 2-fluorophenyl, 2-pyridyl, N-(4-methylpiperazino)methylphenyl, or  
 $-C(O)-OR_9$ , wherein  $R_9$  is  $-CH_2-Ar_3$ , and the  $Ar_3$  cyclic group is phenyl, unsubstituted by  $-Q_1$ ; and when

25  $Y_2$  is  $O$ ,  $R_3$  is  $-C(O)-CH_2-T_1-R_{11}$ ,  $T_1$  is  $O$ , and  $R_{11}$  is  $Ar_4$ , wherein the  $Ar_4$  cyclic group is 5-(1-(4-chlorophenyl)-3-trifluoromethyl)pyrazolyl), then  $R_5$  cannot be:

$-H$ ;  
 $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $-Ar_3$  and the  $Ar_3$  cyclic group is 4-(dimethylaminomethyl)phenyl, phenyl, 4-(carboxymethylthio)phenyl, 4-(carboxyethylthio)phenyl,



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4-(carboxyethyl)phenyl, 4-(carboxypropyl)phenyl, 2-fluorophenyl, 2-pyridyl, N-(4-methylpiperazino)methylphenyl, or

5 -C(O)-OR<sub>9</sub>, wherein R<sub>9</sub> is isobutyl or -CH<sub>2</sub>-Ar<sub>3</sub> and the Ar<sub>3</sub> cyclic group is phenyl;

and when R<sub>11</sub> is Ar<sub>4</sub>, wherein the Ar<sub>4</sub> cyclic group is 5-(1-phenyl-3-trifluoromethyl)pyrazolyl or 5-(1-(4-chloro-2-pyridinyl)-3-trifluoromethyl)pyrazolyl, then R<sub>5</sub> cannot be:

10 -C(O)-OR<sub>9</sub>, wherein R<sub>9</sub> is -CH<sub>2</sub>-Ar<sub>3</sub>, and the Ar<sub>3</sub> cyclic group is phenyl;

and when R<sub>11</sub> is Ar<sub>4</sub>, wherein the Ar<sub>4</sub> cyclic group is 5-(1-(2-pyridyl)-3-trifluoromethyl)pyrazolyl, then R<sub>5</sub> cannot be:

15 -C(O)-R<sub>10</sub>, wherein R<sub>10</sub> is -Ar<sub>3</sub> and the Ar<sub>3</sub> cyclic group is 4-(dimethylaminomethyl)phenyl, or

-C(O)-OR<sub>9</sub>, wherein R<sub>9</sub> is -CH<sub>2</sub>-Ar<sub>3</sub>, and the Ar<sub>3</sub> cyclic group is phenyl, unsubstituted by -Q<sub>1</sub>; and when

20 Y<sub>2</sub> is O, R<sub>3</sub> is -C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>, T<sub>1</sub> is O, and R<sub>11</sub> is -C(O)-Ar<sub>4</sub>, wherein the Ar<sub>4</sub> cyclic group is 2,5-dichlorophenyl, then R<sub>5</sub> cannot be:

-C(O)-R<sub>10</sub>, wherein R<sub>10</sub> is -Ar<sub>3</sub> and the Ar<sub>3</sub> cyclic group is 4-(dimethylaminomethyl)phenyl, 4-(N-morpholinomethyl)phenyl, 4-(N-methylpiperazino)methylphenyl, 4-(N-(2-methylimidazolylmethyl)phenyl, 5-benzimidazolyl, 5-benzotriazolyl, N-carboethoxy-5-benzotriazolyl, N-carboethoxy-5-benzimidazolyl, or

25 -C(O)-OR<sub>9</sub>, wherein R<sub>9</sub> is -CH<sub>2</sub>-Ar<sub>3</sub>, and the Ar<sub>3</sub> cyclic group is phenyl, unsubstituted by -Q<sub>1</sub>; and when

30 Y<sub>2</sub> is H<sub>2</sub>, R<sub>3</sub> is -C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>, T<sub>1</sub> is O, and R<sub>11</sub>

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is  $-C(O)-Ar_4$ , wherein the  $Ar_4$  cyclic group is 2,5-dichlorophenyl, then  $R_5$  cannot be:

$-C(O)-OR_9$ , wherein  $R_9$  is  $-CH_2-Ar_3$  and the  $Ar_3$  cyclic group is phenyl.

5                    69. The compound according to claim 68, wherein  $R_{21}$  is  $-CH_3$ .

70. The compound according to claim 68, wherein  $R_5$  is  $-C(O)-C(O)-OR_{10}$ .

10                   71. The compound according to claim 68, wherein  $R_5$  is  $-C(O)-C(O)-OR_{10}$  and  $R_{21}$  is  $-CH_3$ .

72. The compound according to any one of claims 66, 67, 70 and 71, wherein  $R_3$  is  $-C(O)-H$ .

73. The compound according to any one of claims 65, 68 and 69, wherein  $R_3$  is  $-C(O)-H$ .

15                   74. The compound according to claim 68, wherein:

$R_3$  is  $-C(O)-H$ , and

$R_5$  is  $-C(O)-R_{10}$ , wherein:

20                    $R_{10}$  is  $Ar_3$ , wherein the  $Ar_3$  cyclic group is phenyl optionally being singly or multiply substituted by:

$-F$ ,

$-Cl$ ,

25                    $-N(H)-R_5$ , wherein  $-R_5$  is  $-H$  or  $-C(O)-R_{10}$ , wherein  $R_{10}$  is a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein  $Ar_3$  is

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phenyl,

-N(R<sub>9</sub>)(R<sub>10</sub>), wherein R<sub>9</sub> and R<sub>10</sub> are independently a  
-C<sub>1-4</sub> straight or branched alkyl group, or

5        -O-R<sub>5</sub>, wherein R<sub>5</sub> is H or a -C<sub>1-4</sub> straight or  
branched alkyl group.

75. The compound according to claim 74,  
wherein Ar<sub>3</sub> is phenyl being optionally singly or  
multiply substituted at the 3- or 5-position by -Cl or  
at the 4-position by -NH-R<sub>5</sub>, -N(R<sub>9</sub>)(R<sub>10</sub>), or -O-R<sub>5</sub>.

10        76. The compound according to claim 68,  
wherein:

R<sub>3</sub> is -C(O)-H;

15        R<sub>5</sub> is -C(O)-R<sub>10</sub>, wherein R<sub>10</sub> is Ar<sub>3</sub> and the Ar<sub>3</sub>  
cyclic group is selected from the group consisting of  
is indolyl, benzimidazolyl, thienyl, and  
benzo[b]thiophenyl, and said cyclic group optionally  
being singly or multiply substituted by -Q<sub>1</sub>.

77. The compound according to claim 68,  
wherein:

20        R<sub>3</sub> is -C(O)-H; and

R<sub>5</sub> is -C(O)-R<sub>10</sub>, wherein R<sub>10</sub> is Ar<sub>3</sub> and the Ar<sub>3</sub>  
cyclic group is selected from quinolyl and isoquinolyl,  
and said cyclic group optionally being singly or  
multiply substituted by -Q<sub>1</sub>.

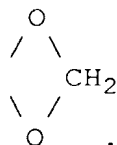
25        78. The compound according to claim 68,  
wherein:

- 884 -

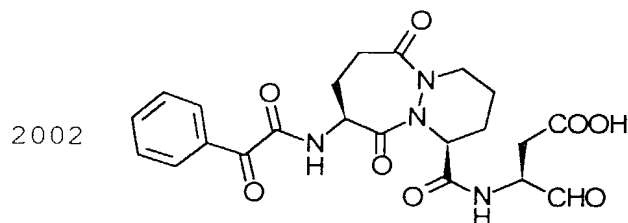
$R_3$  is  $-C(O)-H$ ; and

$R_5$  is  $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $Ar_3$  and the  $Ar_3$  cyclic group is phenyl, substituted by

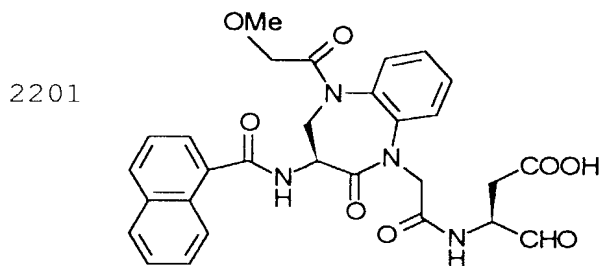
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79. The compound according to claim 68,  
10 selected from the group consisting of:



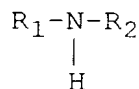
; and



80. A compound represented by the formula:

15

(VI)

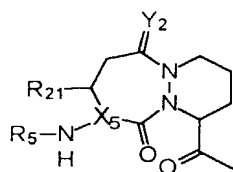


wherein:

$R_1$  is:

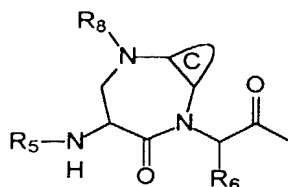
- 885 -

(e10)



, or

(w2)



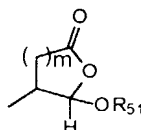
;

5 C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidázolo, cyclopentyl, and cyclohexyl; the ring optionally being singly or multiply substituted by -Q<sub>1</sub>;

10

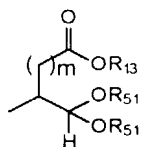
R<sub>2</sub> is:

(a)



, or

(b)



;

m is 1 or 2;

15

each R<sub>5</sub> is independently selected from the group consisting of:

- C(O)-R<sub>10</sub>,
- C(O)O-R<sub>9</sub>,
- C(O)-N(R<sub>10</sub>)(R<sub>10</sub>)

- 886 -

-S(O)<sub>2</sub>-R<sub>9</sub>,  
-S(O)<sub>2</sub>-NH-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,  
-C(O)C(O)-R<sub>10</sub>,  
5 -R<sub>9</sub>,  
-H,  
-C(O)C(O)-OR<sub>10</sub>, and  
-C(O)C(O)-N(R<sub>9</sub>)(R<sub>10</sub>);

10 X<sub>5</sub> is CH or N;

Y<sub>2</sub> is H<sub>2</sub> or O;

15 R<sub>6</sub> is selected from the group consisting of -H and  
-CH<sub>3</sub>;

R<sub>8</sub> is selected from the group consisting of:

-C(O)-R<sub>10</sub>,  
-C(O)O-R<sub>9</sub>,  
-C(O)-N(H)-R<sub>10</sub>,  
20 -S(O)<sub>2</sub>-R<sub>9</sub>,  
-S(O)<sub>2</sub>-NH-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-OR<sub>10</sub>,  
-C(O)C(O)-R<sub>10</sub>;  
-C(O)-CH<sub>2</sub>N(R<sub>10</sub>)(R<sub>10</sub>),  
25 -C(O)-CH<sub>2</sub>C(O)-O-R<sub>9</sub>,  
-C(O)-CH<sub>2</sub>C(O)-R<sub>9</sub>,  
-H, and  
-C(O)-C(O)-OR<sub>10</sub>;

30 each R<sub>9</sub> is independently selected from the group  
consisting of -Ar<sub>3</sub> and a -C<sub>1-6</sub> straight or branched  
alkyl group optionally substituted with -Ar<sub>3</sub>, wherein  
the -C<sub>1-6</sub> alkyl group is optionally unsaturated;

- 887 -

each  $R_{10}$  is independently selected from the group consisting of -H,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

$R_{13}$  is selected from the group consisting of H,  $Ar_3$ , and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-CONH_2$ ,  $-OR_5$ ,  $-OH$ ,  $-OR_9$ , or  $-CO_2H$ ;

each  $R_{51}$  is independently selected from the group consisting of  $R_9$ ,  $-C(O)-R_9$ ,  $-C(O)-N(H)-R_9$ , or each  $R_{51}$  taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing -O-, -S-, or -NH-;

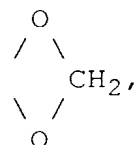
each  $R_{21}$  is independently selected from the group consisting of -H or a  $-C_{1-6}$  straight or branched alkyl group;

each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-,  $SO_2$ , =N-, and -NH-, said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Q_1$  is independently selected from the group

- 888 -

consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  
 $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  
 $-N(R_9)(R_{10})$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and



5

provided that when  $-Ar_3$  is substituted with a  $Q_1$   
 10 group which comprises one or more additional  $-Ar_3$   
 groups, said additional  $-Ar_3$  groups are not substituted  
 with another  $-Ar_3$ .

81. The compound according to claim 80,  
 wherein:

15

$m$  is 1;

20

$C$  is a ring chosen from the set consisting of  
 benzo, pyrido, or thieno the ring optionally being  
 singly or multiply substituted by halogen,  $-NH_2$ ,  
 $-NH-R_5$ ,  $-NH-R_9$ ,  $-OR_{10}$ , or  $-R_9$ , wherein  $R_9$  is a straight  
 or branched  $C_{1-4}$  alkyl group, and  $R_{10}$  is H or a straight  
 or branched  $C_{1-4}$  alkyl group;

$R_6$  is H;

25

$R_{13}$  is H or a  $C_{1-4}$  straight or branched alkyl group  
 optionally substituted with  $-Ar_3$ ,  $-OH$ ,  $-OR_9$ ,  $-CO_2H$ ,  
 wherein the  $R_9$  is a  $C_{1-4}$  branched or straight chain  
 alkyl group; wherein  $Ar_3$  is morpholinyl or phenyl,  
 wherein the phenyl is optionally substituted by  $-Q_1$ ;

$R_{21}$  is  $-H$  or  $-CH_3$ ;

$R_{51}$  is a  $C_{1-6}$  straight or branched alkyl group



- 889 -

optionally substituted with  $-Ar_3$ , wherein  $Ar_3$  is phenyl, optionally substituted by  $-Q_1$ ;

each  $Ar_3$  cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl, isoxazolyl, benzotriazolyl, benzimidazolyl, thienothienyl, imidazolyl, thiadiazolyl, benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$  wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and



wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

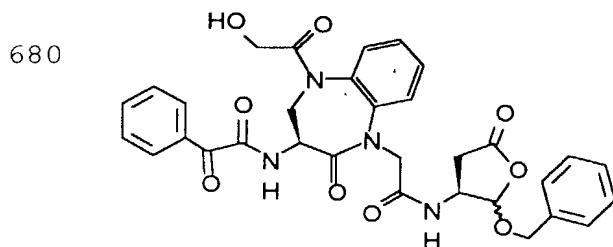
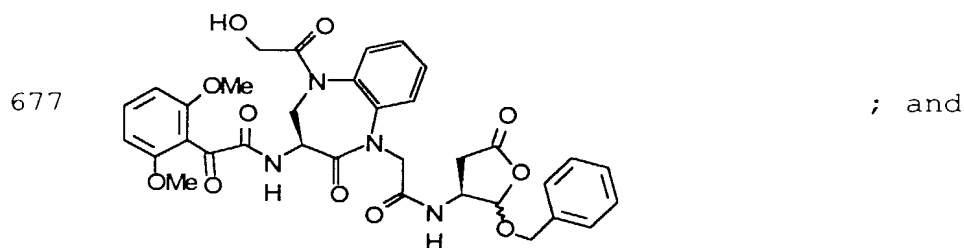
provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ .

82. The compound according to claim 81, wherein  $R_1$  is (w2).

83. The compound according to claim 82,

- 890 -

selected from the group consisting of:



84. The compound according to claim 82,  
5 wherein  $R_8$  is selected from the group consisting of:

- C(O)- $R_{10}$ ,
- C(O)O- $R_9$ ,
- C(O)-CH<sub>2</sub>-OR<sub>10</sub>, and
- C(O)-CH<sub>2</sub>C(O)- $R_9$ .

10 85. The compound according to claim 84,  
wherein  $R_8$  is -C(O)-CH<sub>2</sub>-OR<sub>10</sub> and  $R_{10}$  is -H or -CH<sub>3</sub>.

86. The compound according to claim 81,  
wherein  $R_1$  is (e10) and  $X_5$  is CH.

15 87. The compound according to claim 81,  
wherein  $R_1$  is (e10) and  $X_5$  is N.

88. The compound according to any one of  
claims 80-87 wherein  $R_5$  is -C(O)- $R_{10}$  or -C(O)-C(O)- $R_{10}$ .

- 891 -

89. The compound according to claim 88,  
wherein  $R_{10}$  is  $Ar_3$ .

90. The compound according to claim 89,  
wherein:

5  $R_5$  is  $-C(O)-R_{10}$  and  $R_{10}$  is  $Ar_3$ , wherein the  $Ar_3$   
cyclic group is phenyl optionally being singly or  
multiply substituted by:

$-R_9$ , wherein  $R_9$  is a  $C_{1-4}$  straight or branched  
alkyl group;

10  $-F$ ,

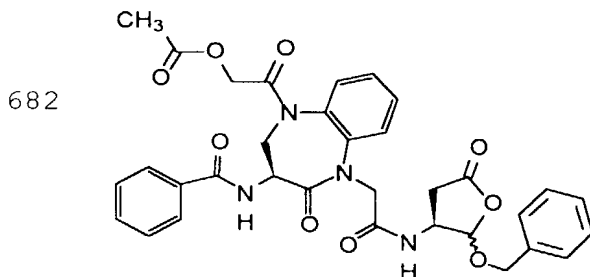
$-Cl$ ,

$-N(H)-R_5$ , wherein  $-R_5$  is  $-H$  or  $-C(O)-R_{10}$ , wherein  
 $R_{10}$  is a  $-C_{1-6}$  straight or branched alkyl group  
optionally substituted with  $-Ar_3$ , wherein  $Ar_3$  is  
15 phenyl,

$-N(R_9)(R_{10})$ , wherein  $R_9$  and  $R_{10}$  are independently a  
 $-C_{1-4}$  straight or branched alkyl group, or

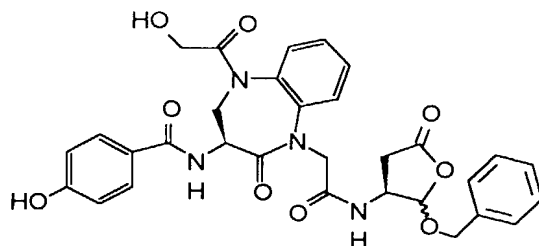
$-O-R_5$ , wherein  $R_5$  is  $H$  or a  $-C_{1-4}$  straight or  
branched alkyl group.

20 91. The compound according to claim 90,  
selected from the group consisting of:



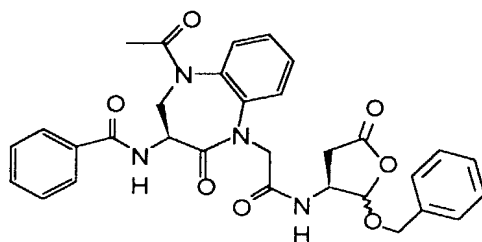
- 892 -

690b



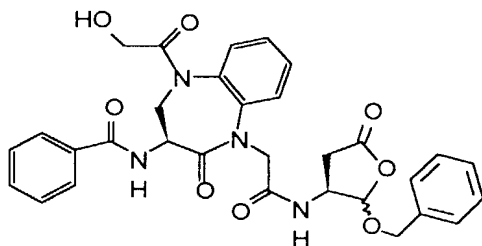
;

693



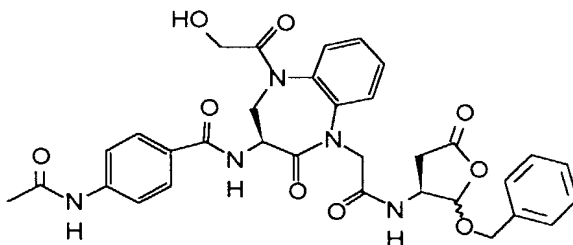
;

695a



; and

695b



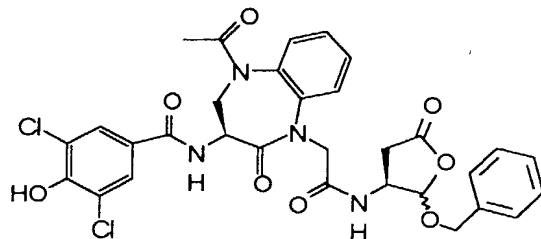
5

92. The compound according to claim 90, wherein  $Ar_3$  is phenyl being singly or multiply substituted at the 3- or 5-position by  $-Cl$  or at the 4-position by  $-NH-R_5$ ,  $-N(R_9)(R_{10})$ , or  $-O-R_5$ .

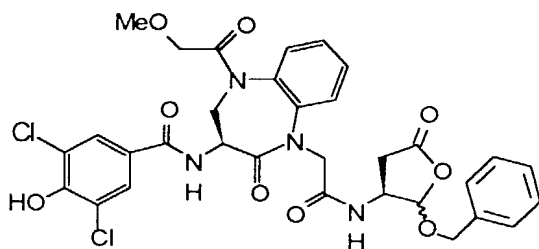
- 893 -

93. The compound according to claim 92,  
selected from the group consisting of:

655

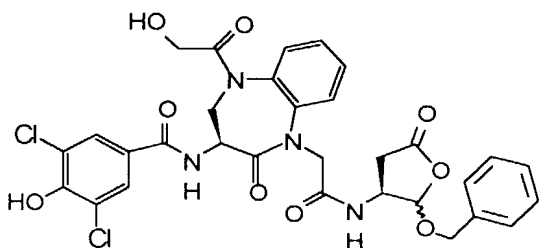


688a



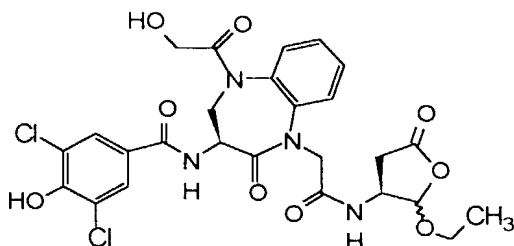
5

692a



; and

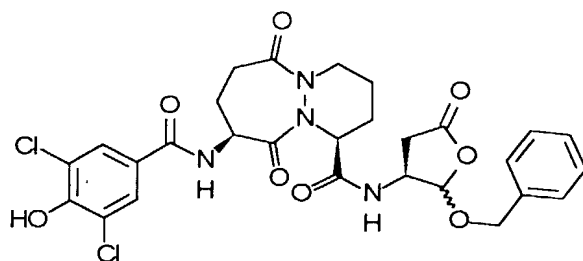
692b



94. The compound according to claim 92,  
selected from the group consisting of:

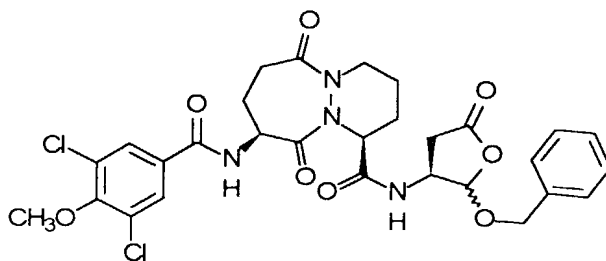
- 894 -

213k



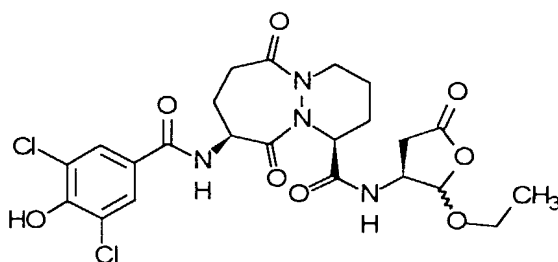
;

213m



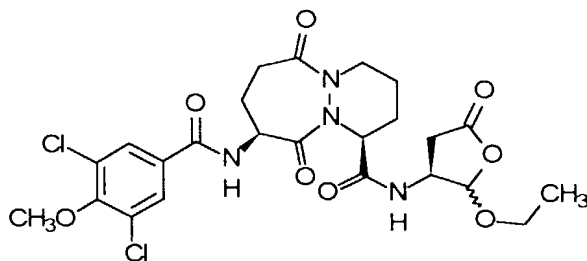
;

550k



; and

550m



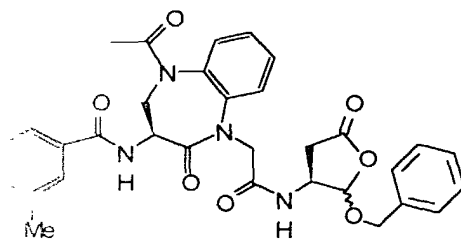
5

95. The compound according to claim 90, wherein Ar<sub>3</sub> is phenyl being singly or multiply substituted at the 3- or 5-position by -R<sub>9</sub>, wherein R<sub>9</sub> is a C<sub>1-4</sub> straight or branched alkyl group;

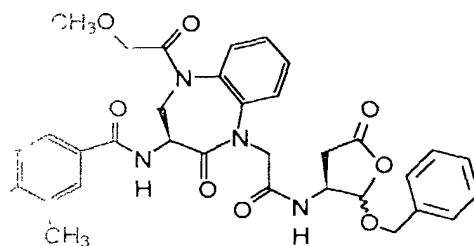
- 895 -

position by -O-R<sub>5</sub>.

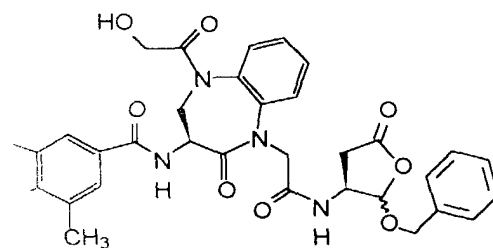
94. The compound according to claim 95,  
from the group consisting of:



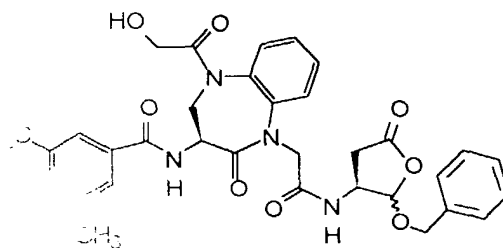
;



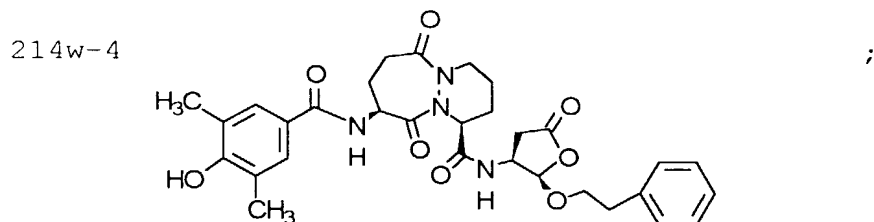
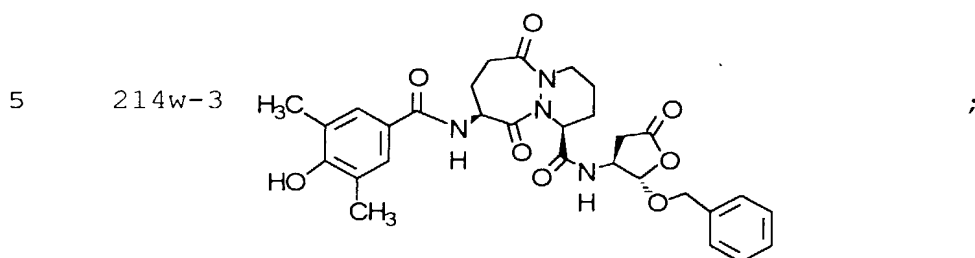
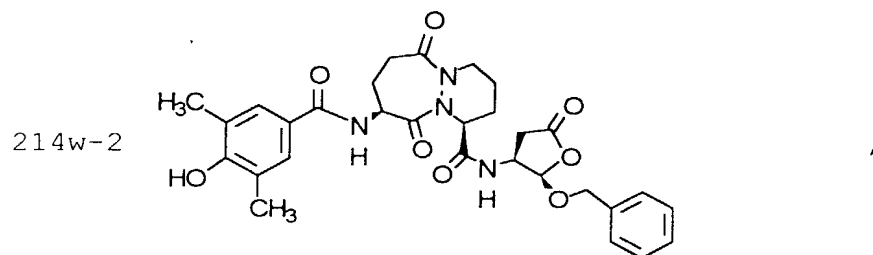
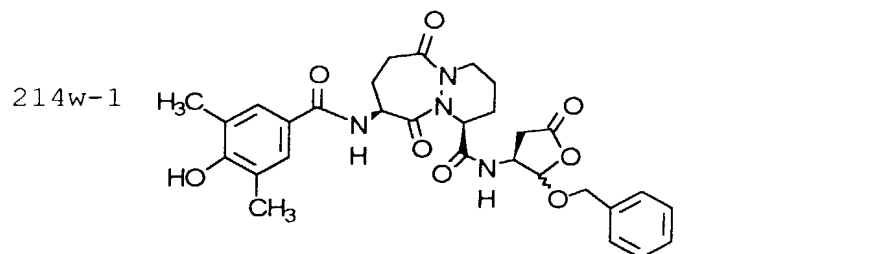
;



; and

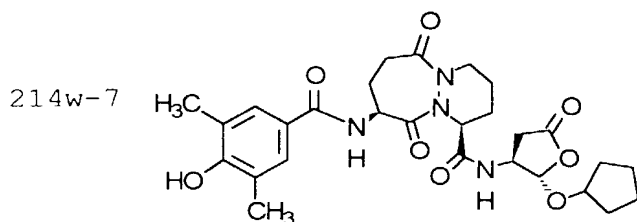
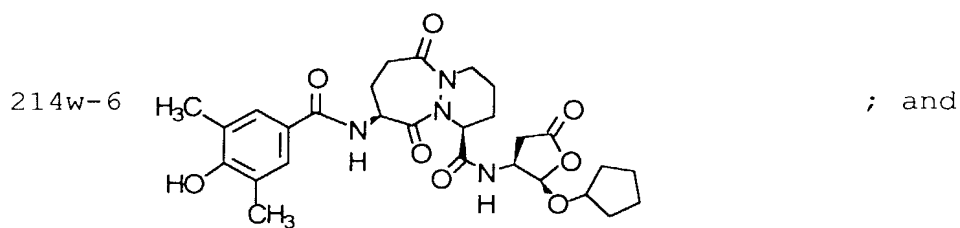
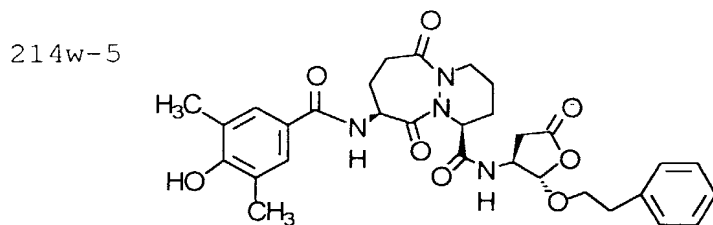


97. The compound according to claim 95,  
selected from the group consisting of:





- 897 -



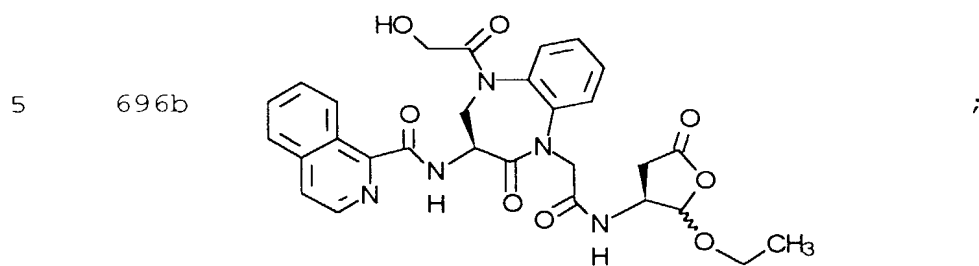
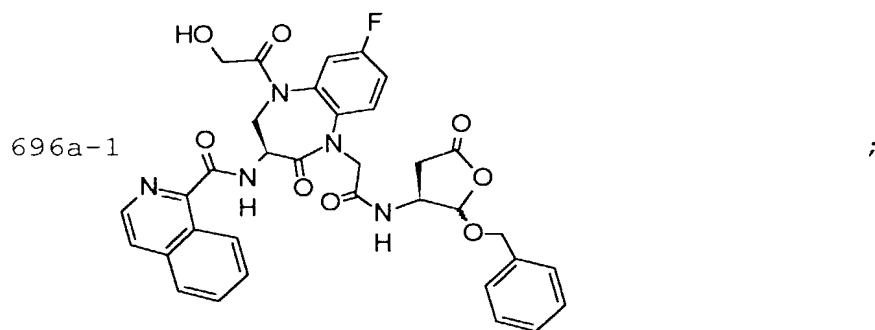
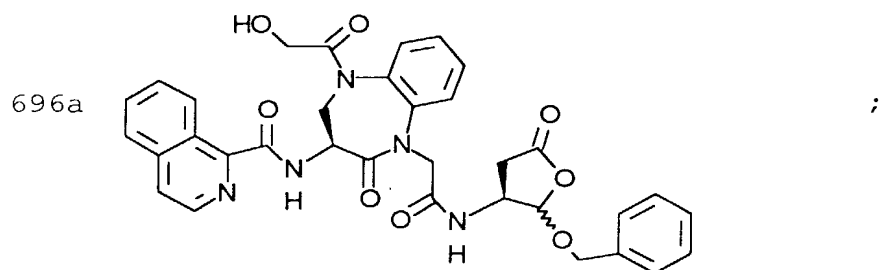
98. The compound according to claim 89,  
5 wherein:

$R_5$  is  $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $Ar_3$  and the  $Ar_3$   
cyclic group is selected from the group consisting of  
is indolyl, benzimidazolyl, thienyl, quinolyl,  
isoquinolyl and benzo[b]thiophenyl, and said cyclic  
10 group optionally being singly or multiply substituted  
by  $-Q_1$ .

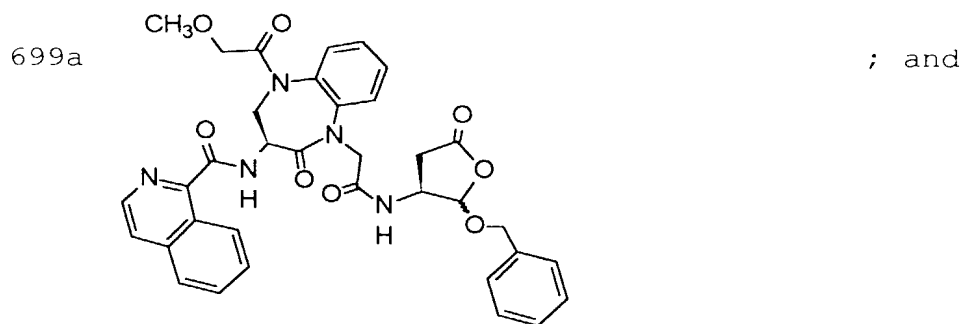
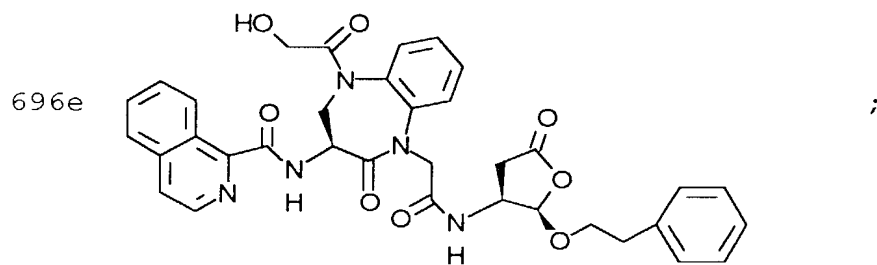
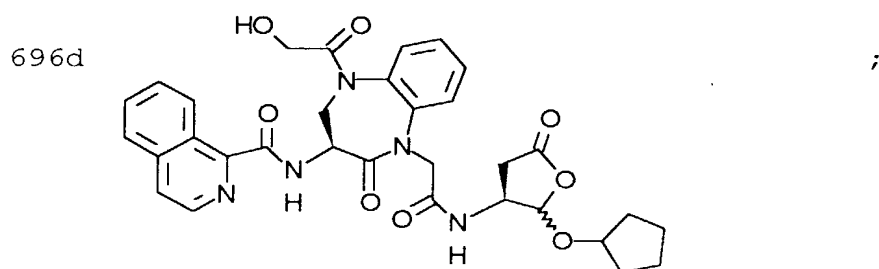
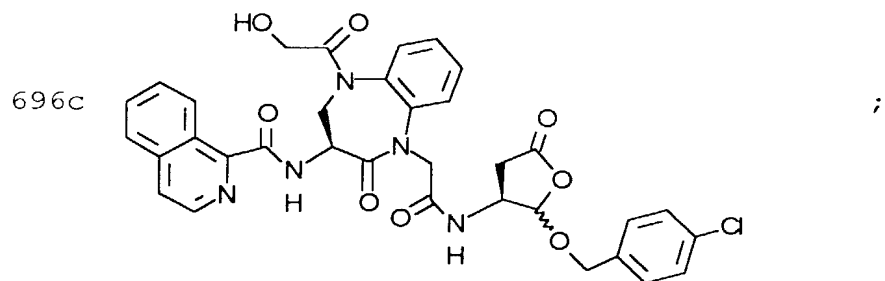
99. The compound according to claim 98,  
wherein the  $Ar_3$  cyclic group is isoquinolyl, and said  
cyclic group optionally being singly or multiply  
15 substituted by  $-Q_1$ .

- 898 -

100. The compound according to claim 99  
selected from the group consisting of:

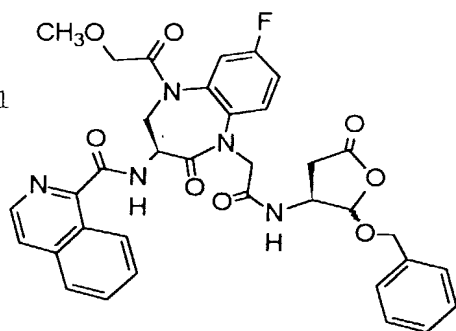


- 899 -



- 900 -

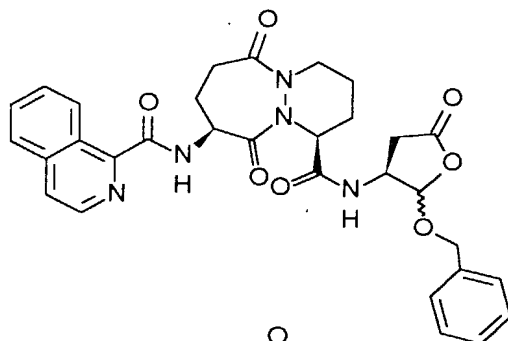
699a-1



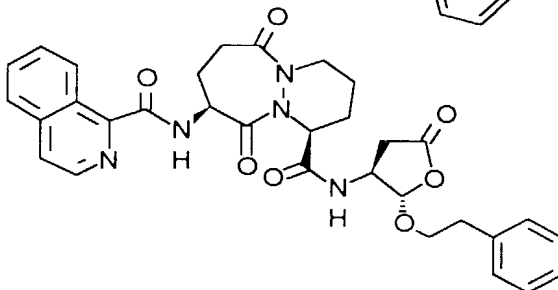
101. The compound according to claim 99,  
selected from the group consisting of:

5

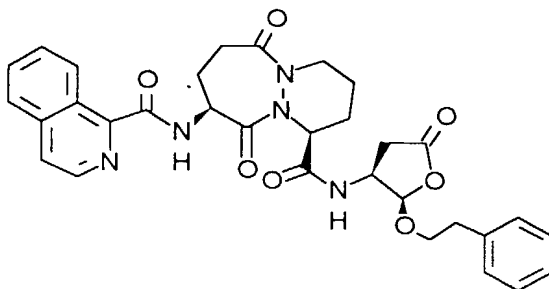
213y



412a



412b



1



:



2



:

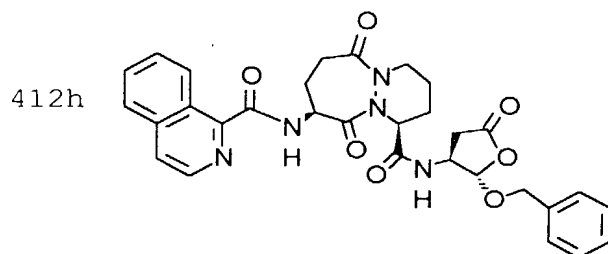


;

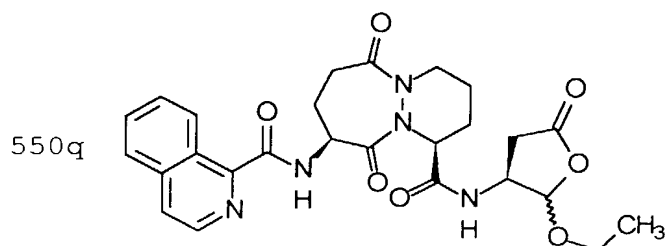
;



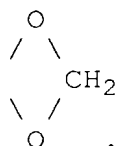
- 902 -



; and



102. The compound according to claim 89,  
 wherein  $R_5$  is  $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $Ar_3$  and the  $Ar_3$   
 5 cyclic group is phenyl, substituted by

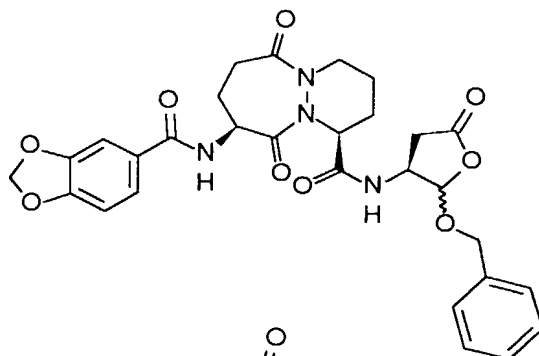


10

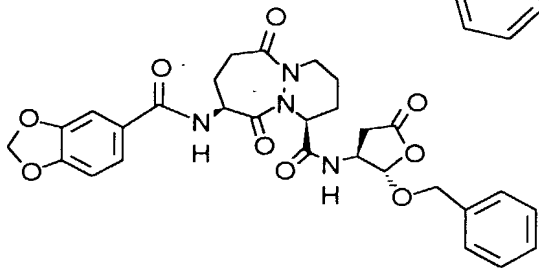
103. The compound according to claim 102,  
 selected from the group consisting of:

- 903 -

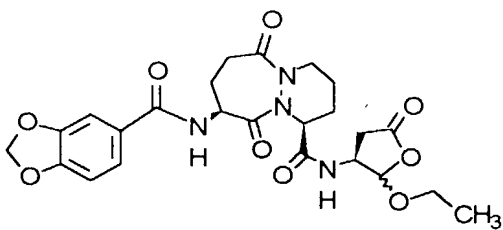
213n



415a

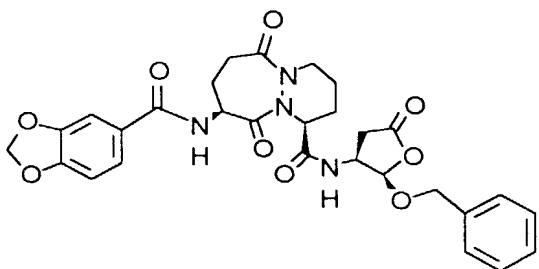


415b



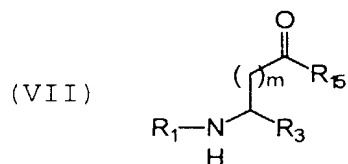
5

415c



- 904 -

104. A compound represented by the formula:

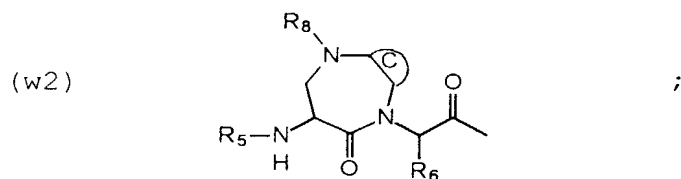


wherein:

m is 1 or 2;

5

R<sub>1</sub> is selected from the group consisting of the following formulae:



10

C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl, the ring optionally being  
singly or multiply substituted by -Q<sub>1</sub>;

15

R<sub>3</sub> is selected from the group consisting of:

- CN,
- C(O)-H,
- C(O)-CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>,
- C(O)-CH<sub>2</sub>-F,
- C=N-O-R<sub>9</sub>, and
- CO-Ar<sub>2</sub>;

20

each R<sub>5</sub> is independently selected from the group consisting of:

- C(O)-R<sub>10</sub>,

25



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5            $-C(O)O-R_9$ ,  
            $-C(O)-N(R_{10})(R_{10})$   
            $-S(O)_2-R_9$ ,  
            $-S(O)_2-NH-R_{10}$ ,  
            $-C(O)-CH_2-O-R_9$ ,  
            $-C(O)C(O)-R_{10}$ ,  
            $-R_9$ ,  
            $-H$ ,  
            $-C(O)C(O)-OR_{10}$ , and  
 10            $-C(O)C(O)-N(R_9)(R_{10})$ ;

each  $T_1$  is independently selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

15            $R_6$  is selected from the group consisting of  $-H$  and  $-CH_3$ ;

$R_8$  is selected from the group consisting of:

20            $-C(O)-R_{10}$ ,  
            $-C(O)O-R_9$ ,  
            $-C(O)-NH-R_{10}$ ,  
            $-S(O)_2-R_9$ ,  
            $-S(O)_2-NH-R_{10}$ ,  
            $-C(O)-CH_2-OR_{10}$ ,  
            $-C(O)C(O)-R_{10}$ ,  
 25            $-C(O)-CH_2-N(R_{10})(R_{10})$ ,  
            $-C(O)-CH_2C(O)-O-R_9$ ,  
            $-C(O)-CH_2C(O)-R_9$ ,  
            $-H$ , and  
            $-C(O)-C(O)-OR_{10}$ ;

30           each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched

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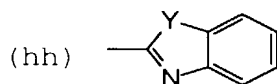
alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

5 each  $\text{R}_{10}$  is independently selected from the group consisting of  $-\text{H}$ ,  $-\text{Ar}_3$ , a  $-\text{C}_{3-6}$  cycloalkyl group, and a  $-\text{C}_{1-6}$  straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ , wherein the  $-\text{C}_{1-6}$  alkyl group is optionally unsaturated;

10 each  $\text{R}_{11}$  is independently selected from the group consisting of:  
 $-\text{Ar}_4$ ,  
 $-(\text{CH}_2)_{1-3}-\text{Ar}_4$ ,  
 $-\text{H}$ , and  
 $-\text{C}(\text{O})-\text{Ar}_4$ ;

15  $\text{R}_{15}$  is selected from the group consisting of  $-\text{OH}$ ,  $-\text{OAr}_3$ ,  $-\text{N}(\text{H})-\text{OH}$ , and  $-\text{OC}_{1-6}$ , wherein  $\text{C}_{1-6}$  is a straight or branched alkyl group optionally substituted with  $-\text{Ar}_3$ ,  $-\text{CONH}_2$ ,  $-\text{OR}_5$ ,  $-\text{OH}$ ,  $-\text{OR}_9$ , or  $-\text{CO}_2\text{H}$ ;

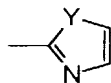
20  $\text{Ar}_2$  is independently selected from the following group, in which any ring may optionally be singly or multiply substituted by  $-\text{Q}_1$  or phenyl, optionally substituted by  $\text{Q}_1$ :



, and

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(ii)



wherein each Y is independently selected from the group consisting of O and S;

5 each Ar<sub>3</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said  
10 heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, and -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings,  
15 and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3  
20 rings, and a heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from -O-, -S-, -SO-, SO<sub>2</sub>, =N-, -NH-, -N(R<sub>5</sub>)-, and -N(R<sub>9</sub>)- said heterocycle group optionally  
25 containing one or more double bonds, said heterocycle group optionally comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group  
30 consisting of -NH<sub>2</sub>, -CO<sub>2</sub>H, -Cl, -F, -Br, -I, -NO<sub>2</sub>, -CN,

- 908 -

=O, -OH, -perfluoro C<sub>1-3</sub> alkyl, R<sub>5</sub>, -OR<sub>5</sub>, -NHR<sub>5</sub>, -OR<sub>9</sub>,  
 -N(R<sub>9</sub>)(R<sub>10</sub>), -R<sub>9</sub>, -C(O)-R<sub>10</sub>, and  $\begin{array}{c} \text{O} \\ / \quad \backslash \\ \quad \text{CH}_2; \\ \backslash \quad / \\ \text{O} \end{array}$

5

provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub>  
 group which comprises one or more additional -Ar<sub>3</sub>  
 groups, said additional -Ar<sub>3</sub> groups are not substituted  
 with another -Ar<sub>3</sub>.

10

105. The compound according to claim 104,  
 wherein:

m is 1;

C is a ring chosen from the set consisting of  
 benzo, pyrido, and thieno, the ring optionally being  
 singly or multiply substituted by halogen, -NH<sub>2</sub>,  
 -NH-R<sub>5</sub>, or -NH-R<sub>9</sub>, -OR<sub>10</sub>, or -R<sub>9</sub>, wherein R<sub>9</sub> is a  
 straight or branched C<sub>1-4</sub> alkyl group, and R<sub>10</sub> is H or a  
 straight or branched C<sub>1-4</sub> alkyl group;

20

T<sub>1</sub> is O or S;

R<sub>6</sub> is H;

R<sub>11</sub> is selected from the group consisting of -Ar<sub>4</sub>,  
 -(CH<sub>2</sub>)<sub>1-3</sub>-Ar<sub>4</sub>, and -C(O)-Ar<sub>4</sub>;

25

Ar<sub>2</sub> is (hh);

Y is O;

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each Ar<sub>3</sub> cyclic group is independently selected from the set consisting of phenyl, naphthyl, thienyl, quinolinyl, isoquinolinyl, thiazolyl, benzimidazolyl, thienothienyl, thiadiazolyl, benzotriazolyl, benzo[b]thiophenyl, benzofuranyl, and indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Ar<sub>4</sub> cyclic group is independently selected from the set consisting of phenyl, tetrazolyl, naphthyl, pyridinyl, oxazolyl, pyrimidinyl, or indolyl, and said cyclic group optionally being singly or multiply substituted by -Q<sub>1</sub>;

each Q<sub>1</sub> is independently selected from the group consisting of -NH<sub>2</sub>, -Cl, -F, -Br, -OH, -R<sub>9</sub>, -NH-R<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub> or -S(O)<sub>2</sub>-R<sub>9</sub>, -OR<sub>5</sub> wherein R<sub>5</sub> is -C(O)-R<sub>10</sub>, -OR<sub>9</sub>, -NHR<sub>9</sub>, and



wherein each R<sub>9</sub> and R<sub>10</sub> are independently a -C<sub>1-6</sub> straight or branched alkyl group optionally substituted with -Ar<sub>3</sub> wherein Ar<sub>3</sub> is phenyl;

provided that when -Ar<sub>3</sub> is substituted with a Q<sub>1</sub> group which comprises one or more additional -Ar<sub>3</sub> groups, said additional -Ar<sub>3</sub> groups are not substituted with another -Ar<sub>3</sub>.

106. The compound according to claim 105, wherein R<sub>8</sub> is selected from the group consisting of:

- 910 -

-C(O)-R<sub>10</sub>,  
 -C(O)O-R<sub>9</sub>,  
 -C(O)-CH<sub>2</sub>-OR<sub>10</sub>, and  
 -C(O)-CH<sub>2</sub>C(O)-R<sub>9</sub>.

5                    107. The compound according to claim 106,  
 wherein R<sub>8</sub> is -C(O)-CH<sub>2</sub>-OR<sub>10</sub> and R<sub>10</sub> is -H or -CH<sub>3</sub>.

108. The compound according to claim 105,  
 wherein R<sub>3</sub> is -C(O)-Ar<sub>2</sub>,

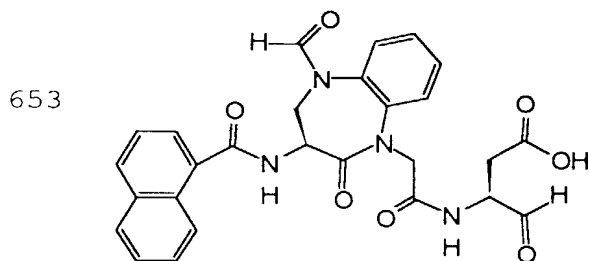
109. The compound according to claim 105,  
 10                    wherein R<sub>3</sub> is -C(O)CH<sub>2</sub>-T<sub>1</sub>-R<sub>11</sub>;

110. The compound according to claim 105,  
 wherein R<sub>3</sub> is -C(O)-H.

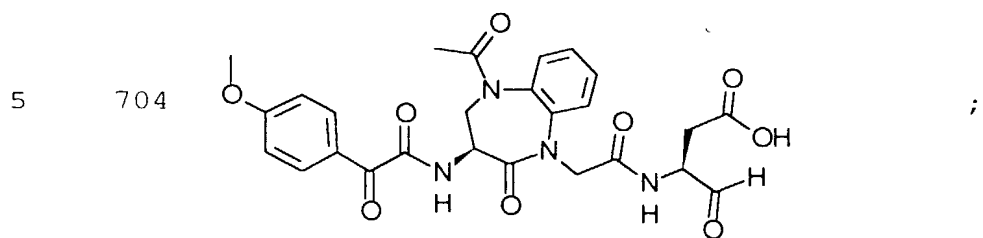
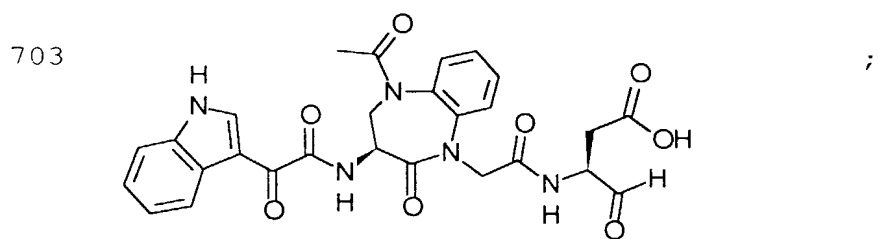
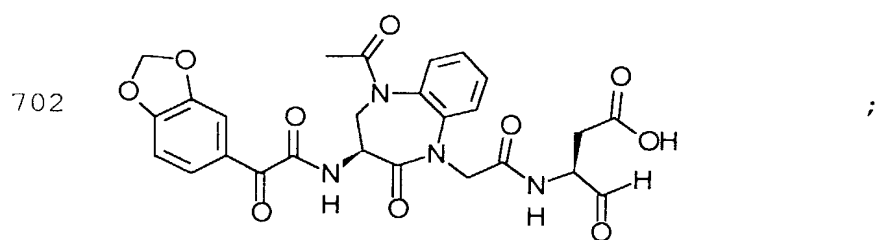
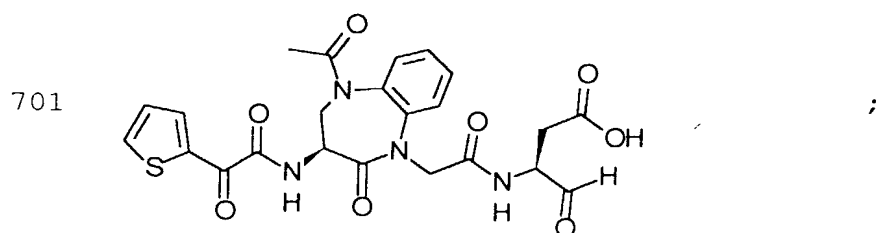
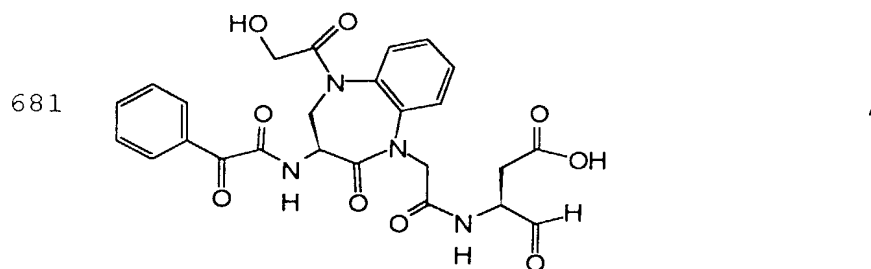
111. The compound according to claim 110,  
 wherein R<sub>8</sub> is selected from the group consisting of:

15                    -C(O)-R<sub>10</sub>,  
                     -C(O)O-R<sub>9</sub>,  
                     -C(O)-CH<sub>2</sub>-OR<sub>10</sub>, and  
                     -C(O)-CH<sub>2</sub>C(O)-R<sub>9</sub>.

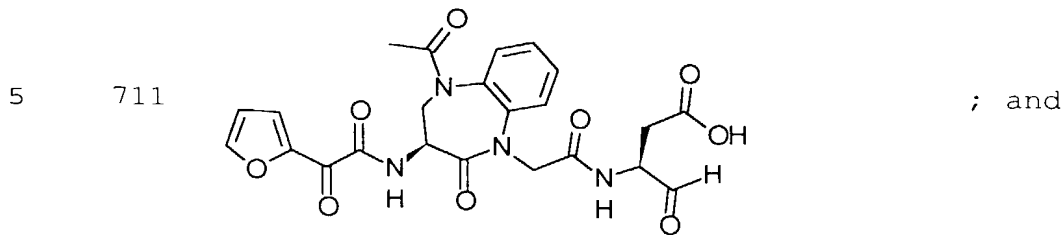
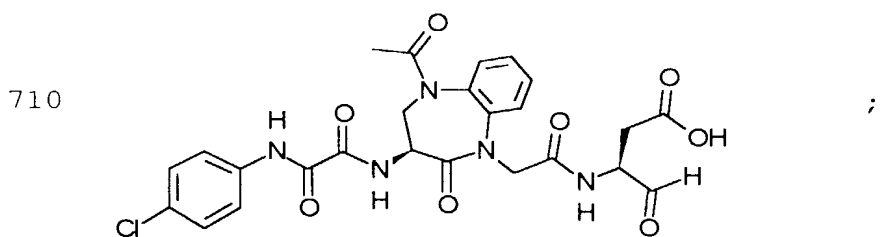
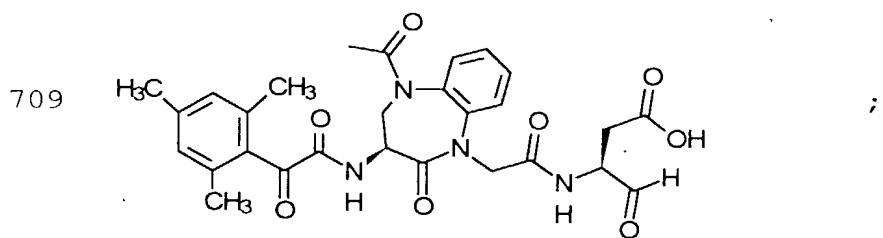
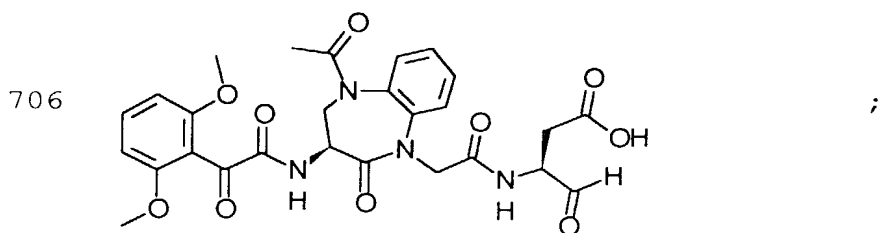
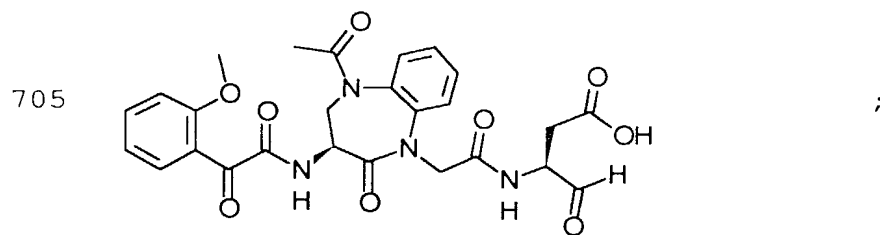
112. The compound according to claim 111,  
 20                    selected from the group consisting of:



- 911 -



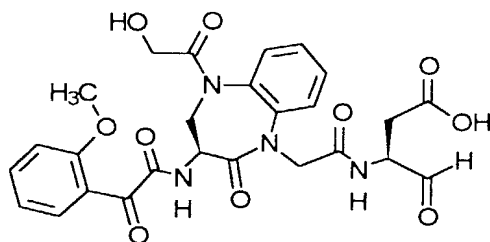
- 912 -





- 913 -

921 .



113. The compound according to claim 111,  
wherein  $R_8$  is  $-C(O)-CH_2-OR_{10}$  and  $R_{10}$  is  $-H$  or  $-CH_3$ .

114. The compound according to claim 68,  
wherein:

$m$  is 1;

$T_1$  is O or S;

$R_{21}$  is  $-H$  or  $-CH_3$ ;

$Ar_2$  is (hh);

$Y$  is O;

each  $Ar_3$  cyclic group is independently selected  
from the set consisting of phenyl, naphthyl, thienyl,  
quinolinyl, isoquinolinyl, pyrazolyl, thiazolyl,  
isoxazolyl, benzotriazolyl, benzimidazolyl,  
thienothienyl, imidazolyl, thiadiazolyl,  
benzo[b]thiophenyl, pyridyl, benzofuranyl, and indolyl  
and said cyclic group being singly or multiply  
substituted by  $-Q_1$ ;

each  $Ar_4$  cyclic group is independently selected  
from the set consisting of phenyl, tetrazolyl,  
pyridinyl, oxazolyl, naphthyl, pyrimidinyl, and thienyl

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and said cyclic group being singly or multiply substituted by

$-Q_1$ ;

each  $Q_1$  is independently selected from the group  
 5 consisting of  $-NH_2$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-OH$ ,  $-R_9$ ,  $-NH-R_5$   
 wherein  $R_5$  is  $-C(O)-R_{10}$  or  $-S(O)_2-R_9$ ,  $-OR_5$  wherein  $R_5$  is  
 $-C(O)-R_{10}$ ,  $-OR_9$ ,  $-NHR_9$ , and



wherein each  $R_9$  and  $R_{10}$  are independently a  $-C_{1-6}$   
 straight or branched alkyl group optionally substituted  
 15 with  $-Ar_3$  wherein  $Ar_3$  is phenyl;

provided that when  $-Ar_3$  is substituted with a  $Q_1$   
 group which comprises one or more additional  $-Ar_3$   
 groups, said additional  $-Ar_3$  groups are not substituted  
 20 with another  $-Ar_3$ .

115. The compound according to claim 114,  
 wherein  $R_3$  is  $-C(O)-Ar_2$ ,

116. The compound according to claim 114,  
 wherein  $R_3$  is  $-C(O)CH_2-T_1-R_{11}$ ;

25 117. The compound according to claim 114,  
 wherein  $R_3$  is  $-C(O)-H$ .

118. The compound according to any one of  
 claims 104-117, wherein  $R_5$  is  $-C(O)-R_{10}$  or  
 $-C(O)C(O)-R_{10}$ .

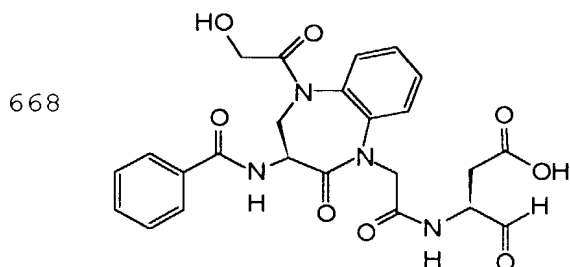
- 915 -

119. The compound according to claim 118,  
wherein  $R_{10}$  is  $Ar_3$ .

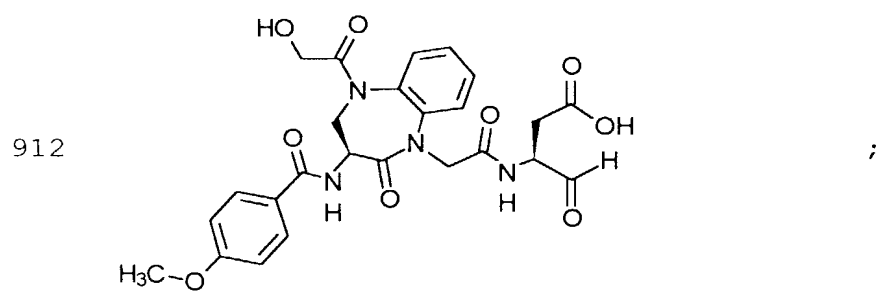
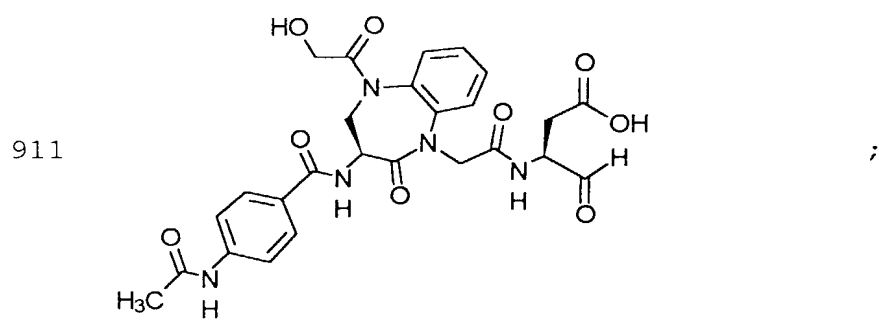
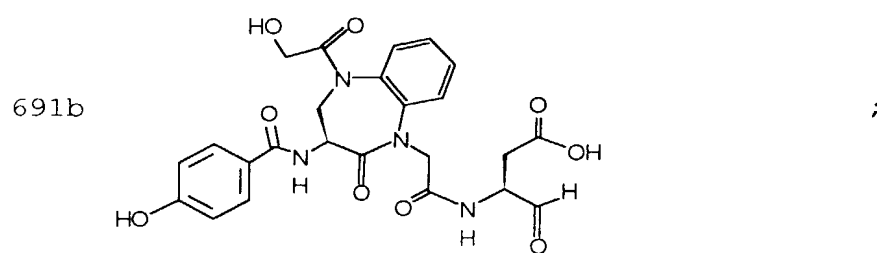
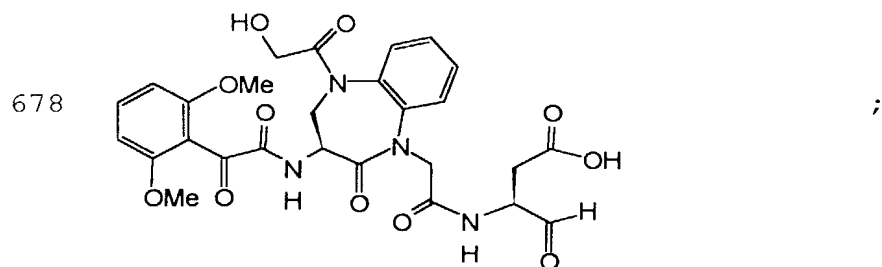
120. The compound according to claim 119,  
wherein:

- 5  $R_5$  is  $-C(O)-R_{10}$  and  $R_{10}$  is  $Ar_3$ , wherein the  $Ar_3$   
cyclic group is phenyl optionally being singly or  
multiply substituted by:
- $R_9$ , wherein  $R_9$  is a  $C_{1-4}$  straight or branched  
alkyl group;
  - 10 -F,
  - Cl,
  - N(H)- $R_5$ , wherein  $-R_5$  is -H or  $-C(O)-R_{10}$ , wherein  
 $R_{10}$  is a  $-C_{1-6}$  straight or branched alkyl group  
optionally substituted with  $-Ar_3$ , wherein  $Ar_3$  is
  - 15 phenyl,
  - N( $R_9$ )( $R_{10}$ ), wherein  $R_9$  and  $R_{10}$  are independently a  
 $-C_{1-4}$  straight or branched alkyl group, or
  - O- $R_5$ , wherein  $R_5$  is H or a  $-C_{1-4}$  straight or  
branched alkyl group.

20 121. The compound according to claim 120,  
selected from the group consisting of:

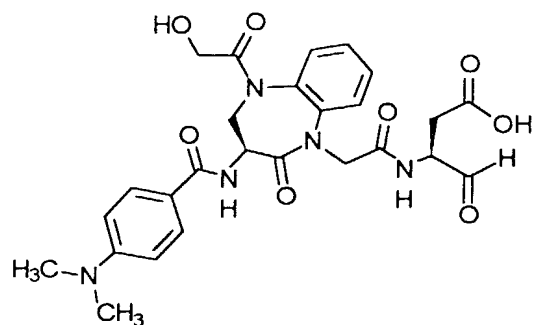


- 916 -



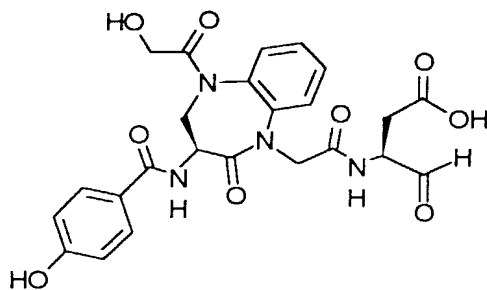
- 917 -

913



; and

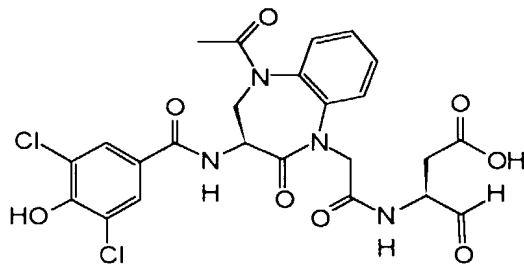
916



122. The compound according to claim 120,  
 wherein  $\text{Ar}_3$  is phenyl being singly or multiply  
 5 substituted at the 3- or 5-position by  $-\text{Cl}$  or at the 4-  
 position by  $-\text{NH}-\text{R}_5$ ,  $-\text{N}(\text{R}_9)(\text{R}_{10})$ , or  $-\text{O}-\text{R}_5$ .

123. The compound according to claim 122,  
 selected from the group consisting of:

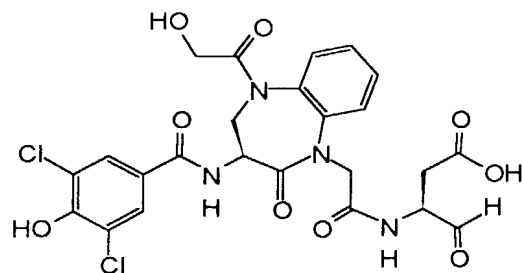
656



;

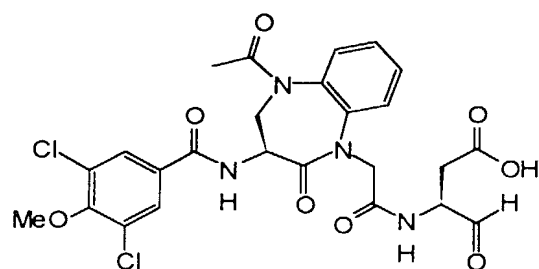
- 918 -

662



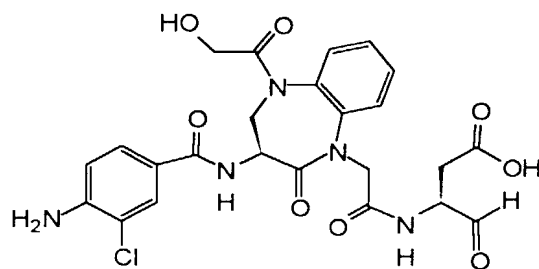
;

669



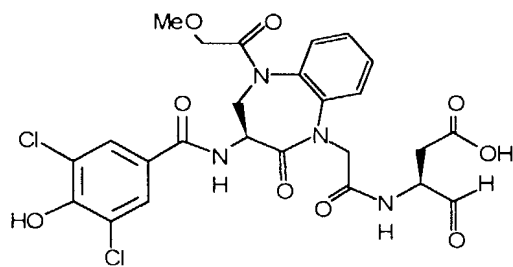
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686



;

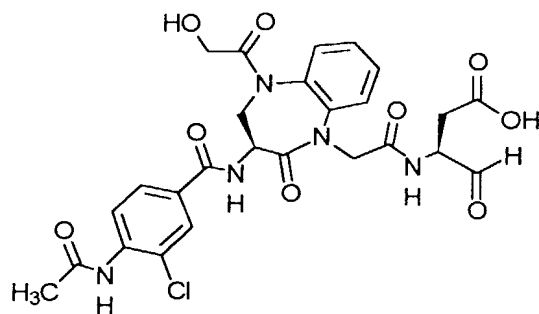
689a



;

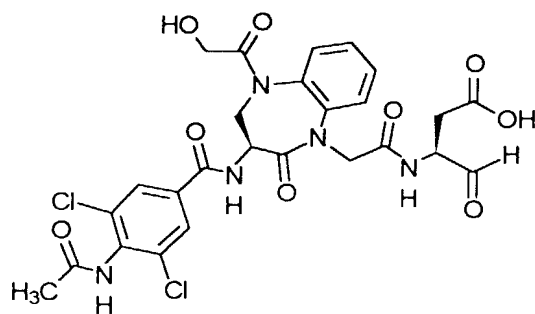
- 919 -

914



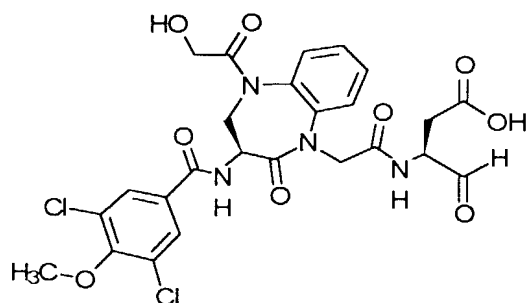
;

915



; and

918

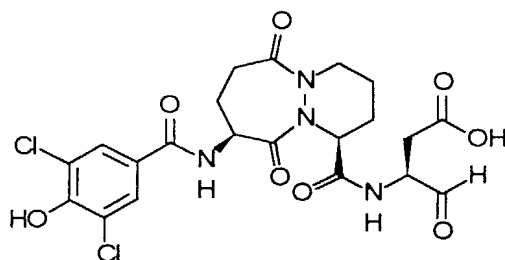


124. The compound according to claim 122,  
5 selected from the group consisting of:

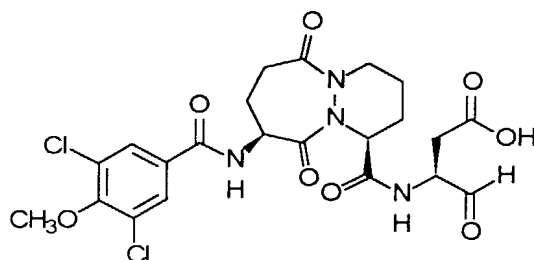
- 920 -

214k

; and



214m

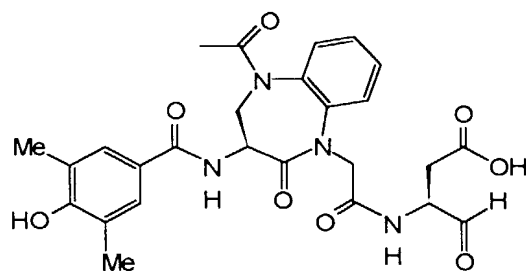


125. The compound according to claim 120,  
 wherein Ar<sub>3</sub> is phenyl being singly or multiply  
 5 substituted at the 3- or 5-position by -R<sub>9</sub>, wherein R<sub>9</sub>  
 is a C<sub>1-4</sub> straight or branched alkyl group;  
 and at the 4-position by -O-R<sub>5</sub>.

126. The compound according to claim 125,  
 selected from the group consisting of:

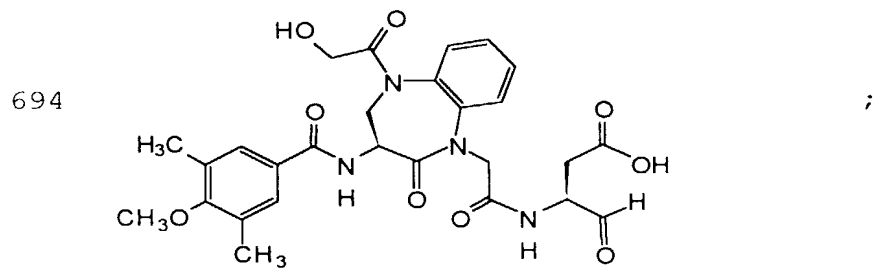
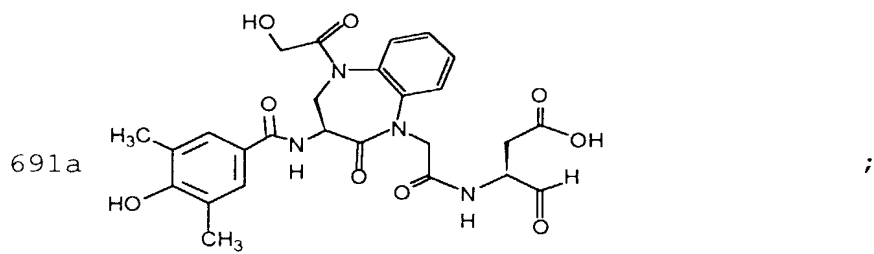
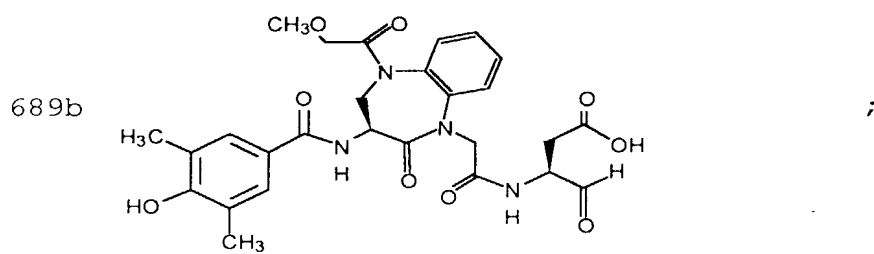
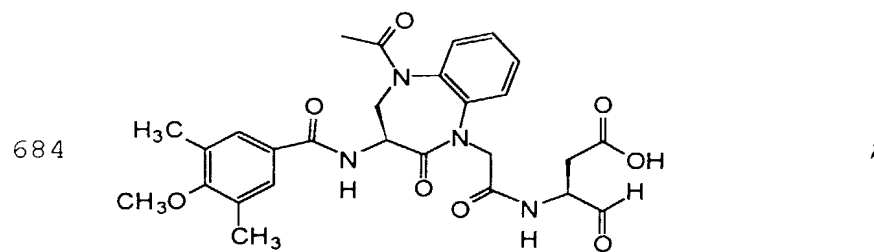
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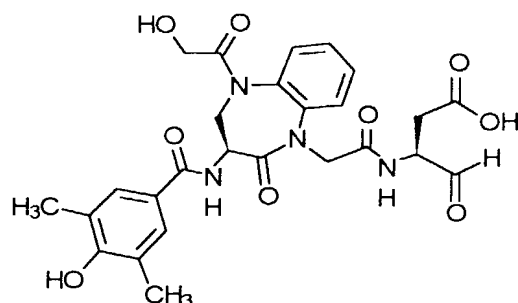


- 921 -



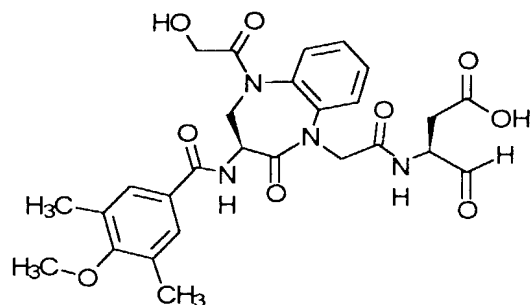
- 922 -

917



; and

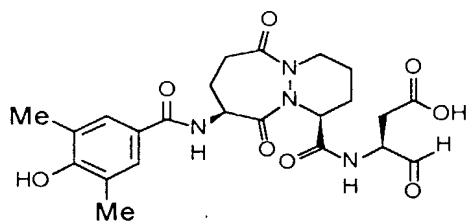
922



127. The compound according to claim 125,  
wherein the compound is:

5

214w



128. The compound according to claim 119,  
wherein:

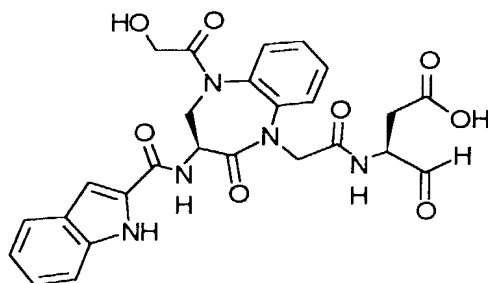
10  $R_5$  is  $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $Ar_3$  and the  $Ar_3$   
cyclic group is selected from the group consisting of  
is indolyl, benzimidazolyl, thienyl, quinolyl,  
isoquinolyl and benzo[b]thiophenyl, and said cyclic  
group optionally being singly or multiply substituted

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by  $-Q_1$ .

129. The compound according to claim 128, selected from the group consisting of:

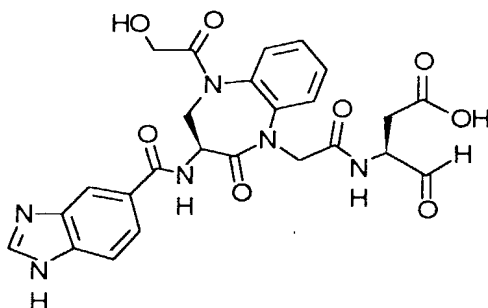
919



; and

5

920

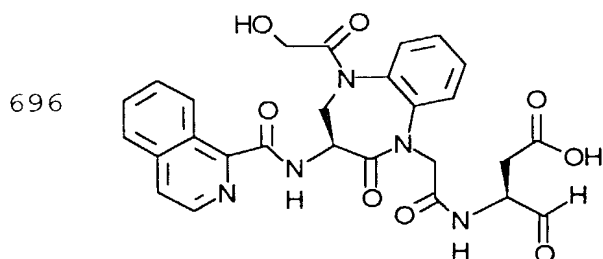


130. The compound according to claim 128, wherein the  $Ar_3$  cyclic group is isoquinolyl, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ .

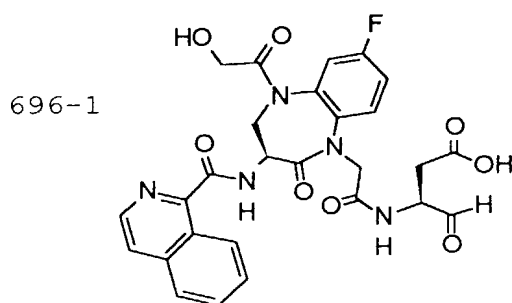
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131. The compound according to claim 130, wherein the compound is:

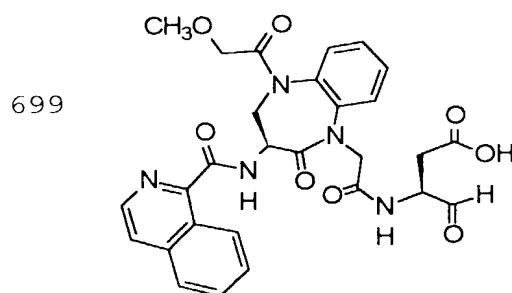
- 924 -



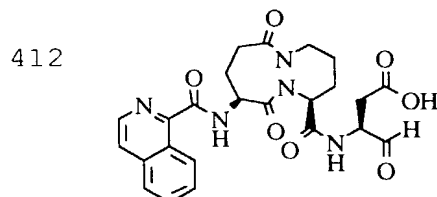
;



; and



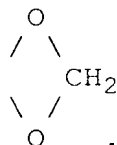
132. The compound according to claim 130,  
5 wherein the compound is:



133. The compound according to claim 119,  
wherein  $R_5$  is  $-C(O)-R_{10}$ , wherein  $R_{10}$  is  $Ar_3$  and the  $Ar_3$

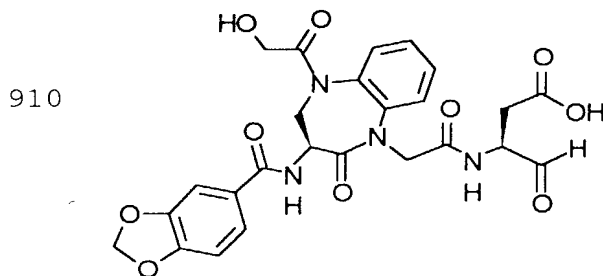
- 925 -

cyclic group is phenyl, substituted by



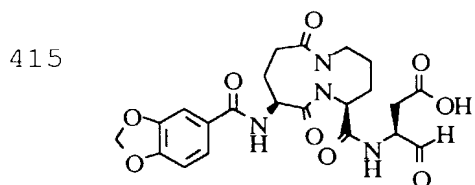
5

134. The compound according to claim 133, wherein the compound is:



10

135. The compound according to claim 133, wherein the compound is:



15

136. A pharmaceutical composition, comprising a compound according to any one of claims 1-41 and 57-135 in an amount effective for decreasing IGIF production and a pharmaceutically acceptable carrier.

137. A pharmaceutical composition comprising a compound according to any one of claims 1-41 and 57-135 in an amount effective for decreasing IFN- $\gamma$

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production and a pharmaceutically acceptable carrier.

138. A method for treating or preventing a disease selected from an IGIF mediated disease, an IFN- $\gamma$  mediated disease, an inflammatory disease, an autoimmune disease, an infectious disease, a proliferative disease, a neurodegenerative disease, a necrotic disease, osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma, rheumatoid arthritis, inflammatory bowel disease, Crohn's disease, ulcerative collitis, cerebral ischemia, myocardial ischemia, adult respiratory distress syndrome, infectious hepatitis, sepsis, septic shock, Shigellosis, glomerulonephritis, systemic lupus erythematosus, scleroderma, chronic thyroiditis, Graves' disease, autoimmune gastritis, insulin-dependent diabetes mellitus (Type I), juvenile diabetes, autoimmune hemolytic anemia, autoimmune neutropenia, thrombocytopenia, myasthenia gravis, multiple sclerosis, psoriasis, lichenplanus, graft vs. host disease, acute dermatomyositis, eczema, primary cirrhosis, hepatitis, uveitis, Behcet's disease, acute dermatomyositis, atopic skin disease, pure red cell aplasia, aplastic anemia, amyotrophic lateral sclerosis and nephrotic syndrome comprising the step of administering to said patient a pharmaceutical composition according to claims 136 or 137.

139. The method according to claim 138, wherein the disease is selected from an inflammatory disease, an autoimmune disease, an infectious disease, rheumatoid arthritis, ulcerative collitis, Crohn's disease, hepatitis, adult respiratory distress syndrome, glomerulonephritis, insulin-dependent



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of  $\text{CH}_2\text{Cl}_2$  and DMF.

145. The process according to claim 144, wherein the nucleophilic scavenger is dimethyl barbituric acid.

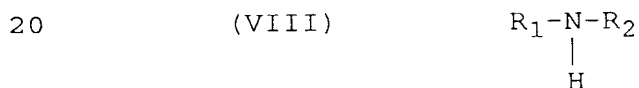
5 146. The process according to claim 145, wherein the solution comprises trifluoroacetic acid in about 1-90% by weight.

10 147. The process according to claim 146, wherein the solution comprises trifluoroacetic acid in about 20-50% by weight.

148. The process according to claim 145, wherein the solution comprises hydrochloric acid in about 0.1-30% by weight.

15 149. The process according to claim 148, wherein the solution comprises hydrochloric acid in about 5-15% by weight.

150. The process according to any one of claims 140-149, wherein the N-acylamino compound is represented by formula (VIII):

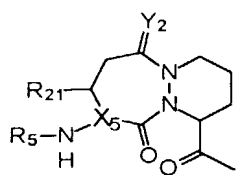


wherein:

25  $\text{R}_1$  is selected from the group consisting of the following formulae:

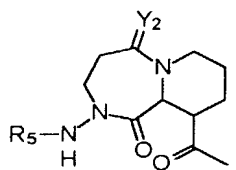


(e10)



*i*

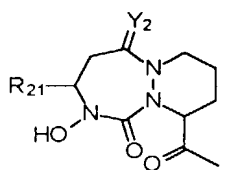
(e11)



;

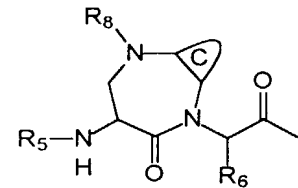
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(e12)



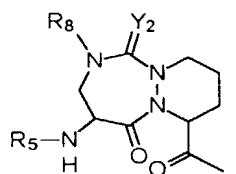
;

(w2)

*i*

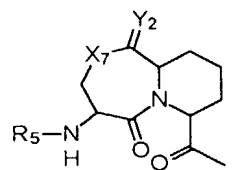
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(y1)



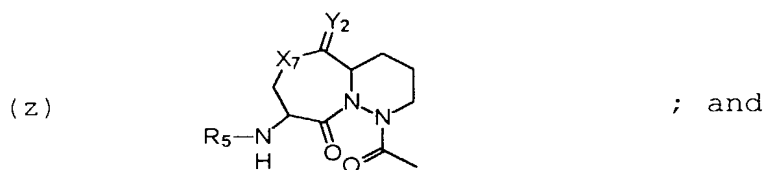
;

(y2)



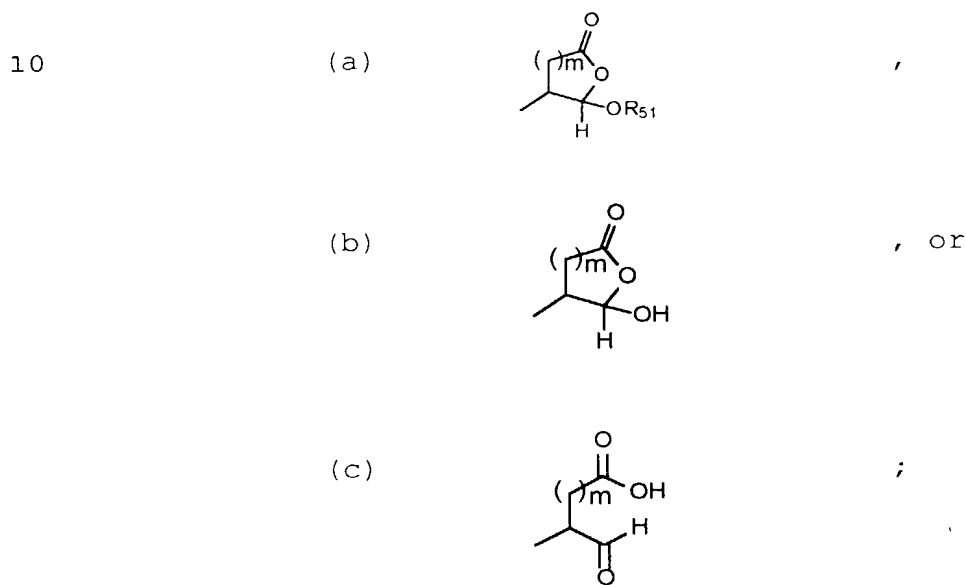
;

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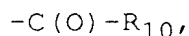
C is a ring chosen from the set consisting of benzo, pyrido, thieno, pyrrolo, furano, thiazolo, isothiazolo, oxazolo, isoxazolo, pyrimido, imidazolo, cyclopentyl, and cyclohexyl, the ring optionally being singly or multiply substituted by halogen, -NH<sub>2</sub>, or -NH-R<sub>9</sub> ;

R<sub>2</sub> is:



15 m is 1 or 2;

each R<sub>5</sub> is independently selected from the group consisting of:



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5  
-C(O)O-R<sub>9</sub>,  
-C(O)-N(R<sub>10</sub>)(R<sub>10</sub>)  
-S(O)<sub>2</sub>-R<sub>9</sub>,  
-S(O)<sub>2</sub>-NH-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-O-R<sub>9</sub>,  
-C(O)C(O)-R<sub>10</sub>,  
-R<sub>9</sub>,  
-H,  
-C(O)C(O)-OR<sub>10</sub>, and  
10 -C(O)C(O)-N(R<sub>9</sub>)(R<sub>10</sub>);

X<sub>5</sub> is CH or N;

Y<sub>2</sub> is H<sub>2</sub> or O;

15 X<sub>7</sub> is -N(R<sub>8</sub>)- or -O-;

R<sub>6</sub> is selected from the group consisting of -H and  
-CH<sub>3</sub>;

R<sub>8</sub> is selected from the group consisting of:

20 -C(O)-R<sub>10</sub>,  
-C(O)O-R<sub>9</sub>,  
-C(O)-N(H)-R<sub>10</sub>,  
-S(O)<sub>2</sub>-R<sub>9</sub>,  
-S(O)<sub>2</sub>-NH-R<sub>10</sub>,  
-C(O)-CH<sub>2</sub>-OR<sub>10</sub>,  
25 -C(O)C(O)-R<sub>10</sub>;  
-C(O)-CH<sub>2</sub>N(R<sub>10</sub>)(R<sub>10</sub>),  
-C(O)-CH<sub>2</sub>C(O)-O-R<sub>9</sub>,  
-C(O)-CH<sub>2</sub>C(O)-R<sub>9</sub>,  
-H, and  
30 -C(O)-C(O)-OR<sub>10</sub>;

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each  $R_9$  is independently selected from the group consisting of  $-Ar_3$  and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

5        each  $R_{10}$  is independently selected from the group consisting of  $-H$ ,  $-Ar_3$ , a  $-C_{3-6}$  cycloalkyl group, and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ , wherein the  $-C_{1-6}$  alkyl group is optionally unsaturated;

10         $R_{13}$  is selected from the group consisting of  $H$ ,  $Ar_3$ , and a  $-C_{1-6}$  straight or branched alkyl group optionally substituted with  $-Ar_3$ ,  $-CONH_2$ ,  $-OR_5$ ,  $-OH$ ,  $-OR_9$ , or  $-CO_2H$ ;

15        each  $R_{51}$  is independently selected from the group consisting of  $R_9$ ,  $-C(O)-R_9$ ,  $-C(O)-N(H)-R_9$ , or each  $R_{51}$  taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing  $-O-$ ,  $-S-$ , or  $-NH-$ ;

20        each  $R_{21}$  is independently selected from the group consisting of  $-H$  or a  $-C_{1-6}$  straight or branched alkyl group;

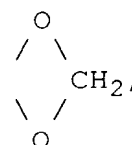
25        each  $Ar_3$  is a cyclic group independently selected from the set consisting of an aryl group which contains 6, 10, 12, or 14 carbon atoms and between 1 and 3 rings and an aromatic heterocycle group containing between 5 and 15 ring atoms and between 1 and 3 rings, said heterocyclic group containing at least one heteroatom group selected from  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $SO_2$ ,  $=N-$ , and  $-NH-$ , said heterocycle group optionally containing one or more double bonds, said heterocycle group optionally

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comprising one or more aromatic rings, and said cyclic group optionally being singly or multiply substituted by  $-Q_1$ ;

5 each  $Q_1$  is independently selected from the group consisting of  $-NH_2$ ,  $-CO_2H$ ,  $-Cl$ ,  $-F$ ,  $-Br$ ,  $-I$ ,  $-NO_2$ ,  $-CN$ ,  $=O$ ,  $-OH$ ,  $-perfluoro\ C_{1-3}\ alkyl$ ,  $R_5$ ,  $-OR_5$ ,  $-NHR_5$ ,  $-OR_9$ ,  $-N(R_9)(R_{10})$ ,  $-R_9$ ,  $-C(O)-R_{10}$ , and

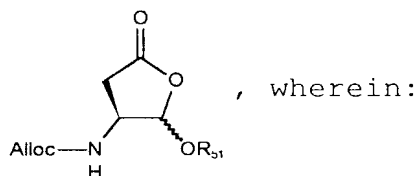
10



15 provided that when  $-Ar_3$  is substituted with a  $Q_1$  group which comprises one or more additional  $-Ar_3$  groups, said additional  $-Ar_3$  groups are not substituted with another  $-Ar_3$ ;

151. The process according to any one of claims 140 -149 wherein the N-alloc protected amine is:

20



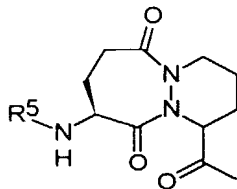
$R_{51}$  is independently selected from the group consisting of  $R_9$ ,  $-C(O)-R_9$ ,  $-C(O)-N(H)-R_9$ , or each  $R_{51}$  taken together forms a saturated 4-8 member carbocyclic ring or heterocyclic ring containing  $-O-$ ,  $-S-$ , or  $-NH-$ ;

25

152. The process according to any one of claims 140-149, wherein  $R_1$  is:

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(A-e10)



153. The process according to any one of claims 140-149, wherein  $R_1$  is:

5 (A-w2)

